PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 213/79, A61K 31/44 — A1

(11) International Publication Number: **WO 98/57934**

(43) International Publication Date: 23 December 1998 (23.12.98)

(21) International Application Number: PCT/US98/12682

(22) International Filing Date: 18 June 1998 (18.06.98)

(30) Priority Data:
08/878,562 19 June 1997 (19.06.97) US

(71) Applicant: THE DU PONT MERCK PHARMACEUTICAL COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).

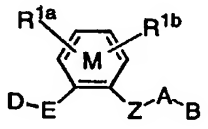
(72) Inventors: PRUITT, James, Russell; 237 Skycrest Drive, Landenberg, PA 19350 (US). PINTO, Donald, Joseph, Phillip; 39 Whitson Road, Newark, DE 19702 (US). QUAN, Mimi, Lifen; 113 Venus Drive, Newark, DE 19711 (US). WEXLER, Ruth, Richmond; 2205 Patwynn Road, Wilmington, DE 19810 (US).

(74) Agent: VANCE, David, H.; The du Pont Merck Pharmaceutical Company, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).

(81) Designated States: AU, CA, IL, JP, MX, NZ, European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).

Published
With international search report.
Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: (AMIDINO)6–MEMBERED AROMATICS AS FACTOR Xa INHIBITORS



(I)

(57) Abstract

The present application describes 6–membered aromatics of formula (I) or pharmaceutically acceptable salt forms thereof, wherein D may be CH_2NH_2 or $C(=NH)NH_2$, which are useful as inhibitors of factor Xa.

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	**ES**	Spain	**LS**	Lesotho	**SI**	Slovenia
AM	Armenia	**FI**	Finland	**LT**	Lithuania	**SK**	Slovakia
AT	Austria	**FR**	France	**LU**	Luxembourg	**SN**	Senegal
AU	Australia	**GA**	Gabon	**LV**	Latvia	**SZ**	Swaziland
AZ	Azerbaijan	**GB**	United Kingdom	**MC**	Monaco	**TD**	Chad
BA	Bosnia and Herzegovina	**GE**	Georgia	**MD**	Republic of Moldova	**TG**	Togo
BB	Barbados	**GH**	Ghana	**MG**	Madagascar	**TJ**	Tajikistan
BE	Belgium	**GN**	Guinea	**MK**	The former Yugoslav Republic of Macedonia	**TM**	Turkmenistan
BF	Burkina Faso	**GR**	Greece			**TR**	Turkey
BG	Bulgaria	**HU**	Hungary	**ML**	Mali	**TT**	Trinidad and Tobago
BJ	Benin	**IE**	Ireland	**MN**	Mongolia	**UA**	Ukraine
BR	Brazil	**IL**	Israel	**MR**	Mauritania	**UG**	Uganda
BY	Belarus	**IS**	Iceland	**MW**	Malawi	**US**	United States of America
CA	Canada	**IT**	Italy	**MX**	Mexico	**UZ**	Uzbekistan
CF	Central African Republic	**JP**	Japan	**NE**	Niger	**VN**	Viet Nam
CG	Congo	**KE**	Kenya	**NL**	Netherlands	**YU**	Yugoslavia
CH	Switzerland	**KG**	Kyrgyzstan	**NO**	Norway	**ZW**	Zimbabwe
CI	Côte d'Ivoire	**KP**	Democratic People's Republic of Korea	**NZ**	New Zealand		
CM	Cameroon			**PL**	Poland		
CN	China	**KR**	Republic of Korea	**PT**	Portugal		
CU	Cuba	**KZ**	Kazakstan	**RO**	Romania		
CZ	Czech Republic	**LC**	Saint Lucia	**RU**	Russian Federation		
DE	Germany	**LI**	Liechtenstein	**SD**	Sudan		
DK	Denmark	**LK**	Sri Lanka	**SE**	Sweden		
EE	Estonia	**LR**	Liberia	**SG**	Singapore		

TITLE

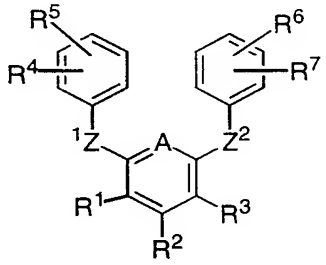
(AMIDINO)6-MEMBERED AROMATICS AS FACTOR Xa INHIBITORS

FIELD OF THE INVENTION

This invention relates generally to novel 6-membered aromatics which are inhibitors of trypsin-like serine protease enzymes, especially factor Xa, pharmaceutical compositions containing the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders.

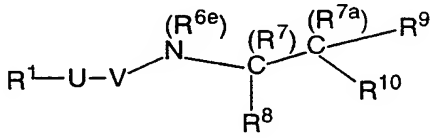
BACKGROUND OF THE INVENTION

WO 96/28427 describes benzamidine anticoagulants of the formula:



wherein Z^1 and Z^2 are O, N(R), S or OCH_2 and the central ring may be phenyl or a variety of heterocycles. The presently claimed compounds do not contain the Z^1 linker or the substitution pattern of the above compounds.

WO 95/18111 addresses fibrinogen receptor antagonists, containing basic and acidic termini, of the formula:



wherein R^1 represents the basic termini, U is an alkylene or heteroatom linker, V may be a heterocycle, and the right hand portion of the molecule represents the acidic termini. The presently claimed compounds do not contain the acidic termini of WO 95/18111.

Activated factor Xa, whose major practical role is the generation of thrombin by the limited proteolysis of prothrombin, holds a central position that links the intrinsic and extrinsic activation mechanisms in the final common pathway of blood coagulation. The generation of thrombin, the final serine protease in the pathway to generate a fibrin clot, from its precursor is amplified by formation of prothrombinase complex (factor Xa, factor V, Ca^{2+} and phospholipid). Since it is calculated that one molecule of factor Xa can generate 138 molecules of thrombin (Elodi, S., Varadi, K.: *Optimization of conditions for the catalytic effect of the factor IXa-factor VIII Complex: Probable role of the complex in the amplification of blood coagulation. Thromb. Res.* **1979**, *15*, 617-629), inhibition of factor Xa may be more efficient than inactivation of thrombin in interrupting the blood coagulation system.

Therefore, efficacious and specific inhibitors of factor Xa are needed as potentially valuable therapeutic agents for the treatment of thromboembolic disorders. It is thus desirable to discover new factor Xa inhibitors.

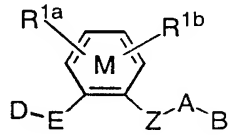
SUMMARY OF THE INVENTION

Accordingly, one object of the present invention is to provide novel 6-membered aromatics which are useful as factor Xa inhibitors or pharmaceutically acceptable salts or prodrugs thereof.

It is another object of the present invention to provide pharmaceutical compositions comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of at least one of the compounds of the present invention or a pharmaceutically acceptable salt or prodrug form thereof.

It is another object of the present invention to provide a method for treating thromboembolic disorders comprising administering to a host in need of such treatment a therapeutically effective amount of at least one of the compounds of the present invention or a pharmaceutically acceptable salt or prodrug form thereof.

These and other objects, which will become apparent during the following detailed description, have been achieved by the inventors' discovery that compounds of formula (I):

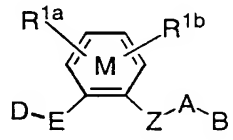


I

or pharmaceutically acceptable salt or prodrug forms thereof, wherein A, B, D, E, M, R^{1a}, R^{1b}, and Z are defined below, are effective factor Xa inhibitors.

DETAILED DESCRIPTION OF PREFERRED EMBODIMENTS

[1] Thus, in a first embodiment, the present invention provides novel compounds of formula I:



I

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein;

ring M contains from 0-4 N atoms;

D is selected from CN, $C(=NR^7)NR^8R^9$, $NHC(=NR^7)NR^8R^9$, $NR^8CH(=NR^7)$, $C(O)NR^8R^9$, and $(CR^8R^9)_tNR^8R^9$;

E is selected from phenyl, 2-pyridyl, 4-pyridyl, pyrimidyl, and piperidinyl substituted with 1 R;

R is selected from H, F, Cl, Br, I, OR^3, SR^3, CO_2R^3, NO_2, and CH_2OR^3, and $(CR^8R^9)_tNR^8R^9$;

alternatively, E and R combine to form methylenedioxy or ethylenedioxy;

Z is selected from a bond, C_{1-4} alkylene, $(CH_2)_rO(CH_2)_r$, $(CH_2)_rNR^3(CH_2)_r$, $(CH_2)_rC(O)(CH_2)_r$, $(CH_2)_rC(O)O(CH_2)_r$, $(CH_2)_rOC(O)(CH_2)_r$, $(CH_2)_rC(O)NR^3(CH_2)_r$, $(CH_2)_rNR^3C(O)(CH_2)_r$, $(CH_2)_rOC(O)O(CH_2)_r$, $(CH_2)_rOC(O)NR^3(CH_2)_r$, $(CH_2)_rNR^3C(O)O(CH_2)_r$, $(CH_2)_rNR^3C(O)NR^3(CH_2)_r$, $(CH_2)_rS(O)_p(CH_2)_r$, $(CH_2)_rSO_2NR^3(CH_2)_r$, $(CH_2)_rNR^3SO_2(CH_2)_r$, and $(CH_2)_rNR^3SO_2NR^3(CH_2)_r$, provided that Z does not form a N-N, N-O, N-S, NCH_2N, NCH_2O, or NCH_2S bond with ring M or group A;

R^{1a} and R^{1b} are independently absent or selected from $-(CH_2)_r-R^{1'}$, $-CH=CH-R^{1'}$, $NCH_2R^{1''}$, $OCH_2R^{1''}$, $SCH_2R^{1''}$, $NH(CH_2)_2(CH_2)_tR^{1'}$, $O(CH_2)_2(CH_2)_tR^{1'}$, and $S(CH_2)_2(CH_2)_tR^{1'}$;

alternatively, R^{1a} and R^{1b}, when attached to adjacent carbon atoms, together with the atoms to which they are attached form a 5-8 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^4 and which contains from 0-2 heteroatoms selected from the group consisting of N, O, and S;

alternatively, when Z is C(O)NH and R^{1a} is attached to a ring carbon adjacent to Z, then R^{1a} is a C(O) which replaces the amide hydrogen of Z to form a cyclic imide;

$R^{1'}$ is selected from H, C_{1-3} alkyl, F, Cl, Br, I, -CN, -CHO, $(CF_2)_rCF_3$, $(CH_2)_rOR^2$, NR^2R^{2a}, $C(O)R^{2c}$, $OC(O)R^2$, $(CF_2)_rCO_2R^{2c}$, $S(O)_pR^{2b}$, $NR^2(CH_2)_rOR^2$, $CH(=NR^{2c})NR^2R^{2a}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NHR^{2b}$, $NR^2C(O)_2R^{2a}$, $OC(O)NR^{2a}R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NR^2(CH_2)_rOR^2$, $SO_2NR^2R^{2a}$, $NR^2SO_2R^{2b}$, C_{3-6} carbocyclic residue substituted with 0-2 R^4, and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

$R^{1''}$ is selected from H, $CH(CH_2OR^2)_2$, $C(O)R^{2c}$, $C(O)NR^2R^{2a}$, $S(O)R^{2b}$, $S(O)_2R^{2b}$, and $SO_2NR^2R^{2a}$;

R^2, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2a}, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, phenethyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2b}, at each occurrence, is selected from CF_3, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2c}, at each occurrence, is selected from CF_3, OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

alternatively, R^2 and R^{2a}, together with the atom to which they are attached, combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} and containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^3, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3a}, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3b}, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3c}, at each occurrence, is selected from C_{1-4} alkyl, and phenyl;

A is selected from:

C_{3-10} carbocyclic residue substituted with 0-2 R^4, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

B is selected from: H, Y, and X-Y;

X is selected from C_{1-4} alkylene, $-CR^2(CR^2R^{2b})(CH_2)_t-$, $-C(O)-$, $-C(=NR^{1"})-$, $-CR^2(NR^{1"}R^2)-$, $-CR^2(OR^2)-$, $-CR^2(SR^2)-$, $-C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)$, $-S(O)_p-$, $-S(O)_pCR^2R^{2a}-$, $-CR^2R^{2a}S(O)_p-$, $-S(O)_2NR^2-$, $-NR^2S(O)_2-$, $-NR^2S(O)_2CR^2R^{2a}-$, $-CR^2R^{2a}S(O)_2NR^2-$, $-NR^2S(O)_2NR^2-$, $-C(O)NR^2-$, $-NR^2C(O)-$, $-C(O)NR^2CR^2R^{2a}-$, $-NR^2C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)NR^2-$, $-CR^2R^{2a}NR^2C(O)-$, $-NR^2C(O)O-$, $-OC(O)NR^2-$, $-NR^2C(O)NR^2-$, $-NR^2-$, $-NR^2CR^2R^{2a}-$, $-CR^2R^{2a}NR^2-$, O, $-CR^2R^{2a}O-$, and $-OCR^2R^{2a}-$;

Y is selected from:

$(CH_2)_rNR^2R^{2a}$, provided that X-Y do not form a N-N, O-N, or S-N bond,

C_{3-10} carbocyclic residue substituted with 0-2 R^{4a}, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

R^4, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, F, Cl, Br, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $CH(=NR^2)NR^2R^{2a}$, $CH(=NS(O)_2R^5)NR^2R^{2a}$, $NHC(=NR^2)NR^2R^{2a}$, $C(O)NHC(=NR^2)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $NR^2SO_2R^5$, $S(O)_pR^5$, $(CF_2)_rCF_3$, $NCH_2R^{1"}$, $OCH_2R^{1"}$,

$SCH_2R^{1''}$, $N(CH_2)_2(CH_2)_tR^{1'}$, $O(CH_2)_2(CH_2)_tR^{1'}$, and $S(CH_2)_2(CH_2)_tR^{1'}$;

alternatively, one R^4 is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R^{4a}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, $(CH_2)_r$-F, $(CH_2)_r$-Br, $(CH_2)_r$-Cl, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rNR^2R^{2b}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NH(CH_2)_2NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $CH(=NR^2)NR^2R^{2a}$, $NHC(=NR^2)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $C(O)NHSO_2$-C_{1-4} alkyl, $NR^2SO_2R^5$, $S(O)_pR^5$, and $(CF_2)_rCF_3$;

alternatively, one R^{4a} is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S and substituted with 0-1 R^5;

R^{4b}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^3$, F, Cl, Br, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^3R^{3a}$, $(CH_2)_rC(O)R^3$, $(CH_2)_rC(O)OR^{3c}$, $NR^3C(O)R^{3a}$, $C(O)NR^3R^{3a}$, $NR^3C(O)NR^3R^{3a}$, $CH(=NR^3)NR^3R^{3a}$, $NH^3C(=NR^3)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, $NR^3SO_2NR^3R^{3a}$, NR^3SO_2-C_{1-4} alkyl, $NR^3SO_2CF_3$, NR^3SO_2-phenyl, $S(O)_pCF_3$, $S(O)_p$-C_{1-4} alkyl, $S(O)_p$-phenyl, and $(CF_2)_rCF_3$;

R^5, at each occurrence, is selected from CF_3, C_{1-6} alkyl, phenyl substituted with 0-2 R^6, and benzyl substituted with 0-2 R^6;

R^6, at each occurrence, is selected from H, OH, $(CH_2)_rOR^2$, F, Cl, Br, I, C_{1-4} alkyl, CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2b}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NR^2R^{2a}$, $CH(=NH)NH_2$, $NHC(=NH)NH_2$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, and $NR^2SO_2C_{1-4}$ alkyl;

R^7, at each occurrence, is selected from H, OH, C_{1-6} alkyl, C_{1-6} alkylcarbonyl, C_{1-6} alkoxy, C_{1-4} alkoxycarbonyl, $(CH_2)_n$-phenyl, C_{6-10} aryloxy, C_{6-10} aryloxycarbonyl, C_{6-10}

arylmethylcarbonyl, C_{1-4} alkylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{6-10} arylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{1-6} alkylaminocarbonyl, phenylaminocarbonyl, and phenyl-C_{1-4} alkoxycarbonyl;

R^8, at each occurrence, is selected from H, C_{1-6} alkyl and $(CH_2)_n$-phenyl;

alternatively, R^7 and R^8 combine to form a 5 or 6 membered saturated, ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^9, at each occurrence, is selected from H, C_{1-6} alkyl and $(CH_2)_n$-phenyl;

n is selected from 0, 1, 2, and 3;

m is selected from 0, 1, and 2;

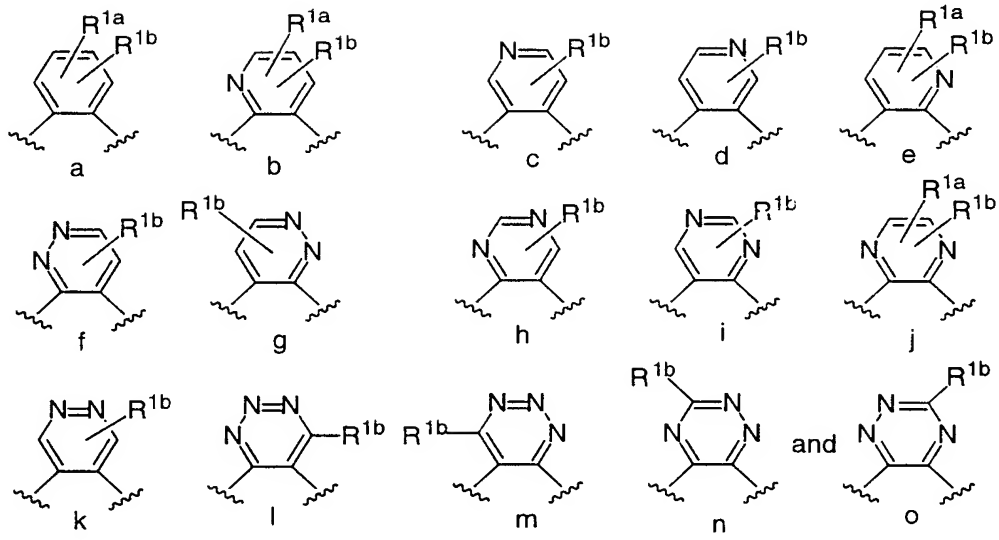
p is selected from 0, 1, and 2;

r is selected from 0, 1, 2, and 3;

s is selected from 0, 1, and 2; and,

t is selected from 0 and 1.

[2] In a preferred embodiment, the present invention provides novel compounds of formulae Ia-Io:



wherein:

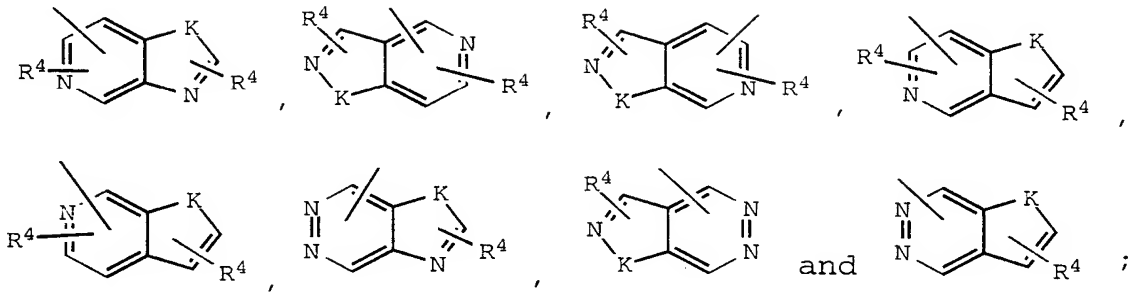
Z is selected from a bond, CH_2O, OCH_2, CH_2NH, $NHCH_2$, $CH_2C(O)$, $C(O)CH_2$, $C(O)NH$, $C(O)NH$, $CH_2S(O)_2$, $S(O)_2(CH_2)$, SO_2NH, and SO_2NH;

B is selected from: Y, X-Y, and NR^2R^{2a};

Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

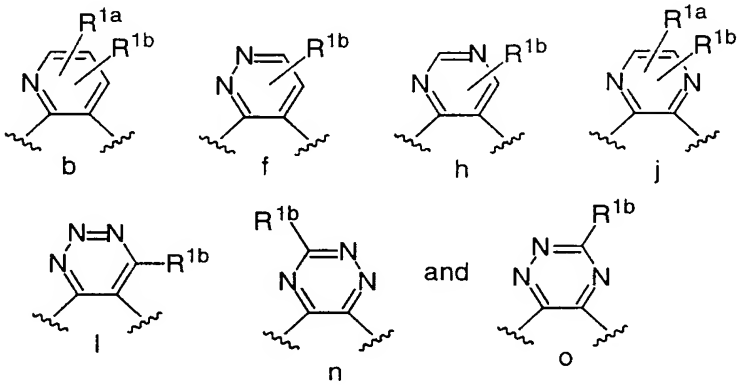
phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole, 1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole, 1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, 1,3,4-triazole, benzofuran, benzothiofuran, indole, benzimidazole, benzoxazole, benzthiazole, indazole, benzisoxazole, benzisothiazole, and isoindazole;

Y may also be selected from the following bicyclic heteroaryl ring systems:



K is selected from O, S, NH, and N.

[3] In a more preferred embodiment, the present invention provides novel compounds of formulae:



wherein:

D is selected from $C(=NR^7)NR^8R^9$ and $(CR^8R^9)_tNR^8R^9$;

R is selected from H, F, Cl, OR^3, CH_2OR^3, CH_2NH_2;

A is selected from:

piperidinyl,

piperazinyl,

C_{5-6} carbocyclic residue substituted with 0-2 R^4, and

5-6 membered heteroaryl containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};
phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, benzimidazolyl, oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole, 1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole, 1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, and 1,3,4-triazole.

[4] In an even more preferred embodiment, the present invention provides novel compounds wherein:

E is phenyl;

D is selected from $C(=NH)NH_2$ and CH_2NH_2;

R is selected from H, F, Cl, and Br;

A is selected from:
C_{5-6} carbocyclic residue substituted with 0-2 R^4, and
5-6 membered heteroaryl containing from 1-3 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};
phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, benzimidazolyl, oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole, 1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole,

1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, and 1,3,4-triazole;

R^2, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2a}, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, phenethyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2b}, at each occurrence, is selected from CF_3, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2c}, at each occurrence, is selected from CF_3, OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

alternatively, R^2 and R^{2a}, together with the atom to which they are attached, combine to form a ring selected from imidazolyl, morpholino, piperazinyl, pyridyl, and pyrrolidinyl, substituted with 0-2 R^{4b};

R^4, at each occurrence, is selected from H, =O, OR^2, CH_2OR^2, F, Cl, C_{1-4} alkyl, NR^2R^{2a}, $CH_2NR^2R^{2a}$, $C(O)R^{2c}$, $CH_2C(O)R^{2c}$, $C(O)NR^2R^{2a}$, $CH(=NR^2)NR^2R^{2a}$, $CH(=NS(O)_2R^5)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $S(O)_2R^5$, and CF_3

provided that if B is H, then R^4 is other than tetrazole, C(O)-alkoxy, and $C(O)NR^2R^{2a}$;

R^{4a}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, F, Cl, C_{1-4} alkyl, NR^2R^{2a}, $CH_2NR^2R^{2a}$, NR^2R^{2b}, $CH_2NR^2R^{2b}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NH(CH_2)_2NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $S(O)_2R^5$, and CF_3; and,

R^{4b}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^3$, F, Cl, C_{1-4} alkyl, NR^3R^{3a}, $CH_2NR^3R^{3a}$, $C(O)R^3$, $CH_2C(O)R^3$, $C(O)OR^{3c}$, $C(O)NR^3R^{3a}$, $CH(=NR^3)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, NR^3SO_2-C_{1-4} alkyl, $NR^3SO_2CF_3$, NR^3SO_2-phenyl, $S(O)_2CF_3$, $S(O)_2$-C_{1-4} alkyl, $S(O)_2$-phenyl, and CF_3.

[5] In a further preferred embodiment, the present invention provides novel compounds selected from:

N-(2'-Aminosulfonyl-[1,1']biphen-4-yl)-2-(3'-amidinophenyl)nicotinamide;

N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide;

N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide; and,

N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide;

or a pharmaceutically acceptable salt thereof.

In a second embodiment, the present invention provides novel pharmaceutical compositions, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt form thereof.

In a third embodiment, the present invention provides a novel method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound of formula (I) or a pharmaceutically acceptable salt form thereof.

DEFINITIONS

The compounds herein described may have asymmetric centers. Compounds of the present invention containing an asymmetrically substituted atom may be isolated in optically active or racemic forms. It is well known in the art how to prepare optically active forms, such as by resolution of racemic forms or by synthesis from optically active starting materials. Many geometric isomers of olefins, C=N double bonds, and the like can also be present in the compounds described herein, and all such stable isomers are contemplated in the present invention. Cis and trans geometric isomers of the compounds of the present invention are described and may be isolated as a mixture of isomers or as separated isomeric forms. All chiral, diastereomeric, racemic forms and all geometric isomeric forms of a structure are intended, unless the specific stereochemistry or isomeric form is specifically indicated.

The term "substituted," as used herein, means that any one or more hydrogens on the designated atom is replaced with a selection from the indicated group, provided that the designated atom's normal valency is not exceeded, and that the substitution results in a stable compound. When a substitent is keto (i.e., =O), then 2 hydrogens on the atom are replaced. Keto substituents are not present on aromatic moieties.

The present invention is intended to include all isotopes of atoms occurring in the present compounds. Isotopes include those atoms having the same atomic number but different mass numbers. By way of general example and without limitation, isotopes of hydrogen include tritium and deuterium. Isotopes of carbon include C-13 and C-14.

When any variable (e.g., R^6) occurs more than one time in any constituent or formula for a compound, its definition at each occurrence is independent of its definition at every other occurrence. Thus, for example, if a group is shown to be substituted with 0-2 R^6, then said group may optionally be substituted with up to two R^6 groups and R^6 at each occurrence is selected independently from the definition of R^6. Also, combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

When a bond to a substituent is shown to cross a bond connecting two atoms in a ring, then such substituent may be bonded to any atom on the ring. When a substituent is listed without indicating the atom via which such substituent is bonded to the rest of the compound of a given formula, then such substituent may be bonded via any atom in such substituent. Combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

As used herein, "alkyl" is intended to include both branched and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms. Examples of alkyl include, but are not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, and s-pentyl. "Haloalkyl" is intended to include both branched and straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms, substituted with 1 or more halogen (for example $-C_vF_w$ where v = 1 to 3 and w = 1 to (2v+1)). Examples of haloalkyl include, but are not limited to, trifluoromethyl, trichloromethyl, pentafluoroethyl, and pentachloroethyl. "Alkoxy" represents an alkyl group as defined above with the indicated number of carbon atoms attached through an oxygen bridge. Examples of alkoxy include, but are not limited to, methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, s-butoxy, t-butoxy, n-pentoxy, and s-pentoxy. "Cycloalkyl" is intended to include saturated ring groups, such as cyclopropyl, cyclobutyl, or cyclopentyl. Alkenyl" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more unsaturated

carbon-carbon bonds which may occur in any stable point along the chain, such as ethenyl, propenyl and the like. "Alkynyl" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more triple carbon-carbon bonds which may occur in any stable point along the chain, such as ethynyl, propynyl and the like.

"Halo" or "halogen" as used herein refers to fluoro, chloro, bromo, and iodo; and "counterion" is used to represent a small, negatively charged species such as chloride, bromide, hydroxide, acetate, sulfate, and the like.

As used herein, "carbocycle" or "carbocyclic residue" is intended to mean any stable 3- to 7-membered monocyclic or bicyclic or 7- to 13-membered bicyclic or tricyclic, any of which may be saturated, partially unsaturated, or aromatic. Examples of such carbocycles include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, adamantyl, cyclooctyl, [3.3.0]bicyclooctane, [4.3.0]bicyclononane, [4.4.0]bicyclodecane, [2.2.2]bicyclooctane, fluorenyl, phenyl, naphthyl, indanyl, adamantyl, or tetrahydronaphthyl.

As used herein, the term "heterocycle" or "heterocyclic system" is intended to mean a stable 5-to 7-membered monocyclic or bicyclic or 7-to 10-membered bicyclic heterocyclic ring which is saturated partially unsaturated or unsaturated (aromatic), and which consists of carbon atoms and from 1 to 4 heteroatoms independently selected from the group consisting of N, O and S and including any bicyclic group in which any of the above-defined heterocyclic rings is fused to a benzene ring. The nitrogen and sulfur heteroatoms may optionally be oxidized. The heterocyclic ring may be attached to its pendant group at any heteroatom or carbon atom which results in a stable structure. The heterocyclic rings described herein may be substituted on carbon or on a nitrogen atom if the resulting compound is stable. If specifically noted, a nitrogen in the heterocycle may optionally be quaternized. It is preferred that when the total number of S and O atoms in the heterocycle exceeds 1, then these heteroatoms are not adjacent to one another. It is preferred

that the total number of S and O atoms in the heterocycle is not more than 1. As used herein, the term "aromatic heterocyclic system" is intended to mean a stable 5-to 7-membered monocyclic or bicyclic or 7-to 10-membered bicyclic heterocyclic aromatic ring which consists of carbon atoms and from 1 to 4 heterotams independently selected from the group consisting of N, O and S. It is preferred that the total number of S and O atoms in the aromatic heterocycle is not more than 1.

Examples of heterocycles include, but are not limited to, acridinyl, azocinyl, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzthiazolyl, benztriazolyl, benztetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazolinyl, carbazolyl, 4a*H*-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, decahydroquinolinyl, 2*H*,6*H*-1,5,2-dithiazinyl, dihydrofuro[2,3-*b*]tetrahydrofuran, furanyl, furazanyl, imidazolidinyl, imidazolinyl, imidazolyl, 1*H*-indazolyl, indolenyl, indolinyl, indolizinyl, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl, isothiazolyl, isoxazolyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, purinyl, pyranyl, pyrazinyl, pyrazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, pyridooxazole, pyridoimidazole, pyridothiazole, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4*H*-quinolizinyl, quinoxalinyl, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6*H*-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl,

1,3,4-triazolyl, and xanthenyl. Preferred heterocycles include, but are not limited to, pyridinyl, furanyl, thienyl, pyrrolyl, pyrazolyl, pyrrolidinyl, imidazolyl, indolyl, benzimidazolyl, 1*H*-indazolyl, oxazolidinyl, benzotriazolyl, benzisoxazolyl, oxindolyl, benzoxazolinyl, or isatinoyl. Also included are fused ring and spiro compounds containing, for example, the above heterocycles.

The phrase "pharmaceutically acceptable" is employed herein to refer to those compounds, materials, compositions, and/or dosage forms which are, within the scope of sound medical judgment, suitable for use in contact with the tissues of human beings and animals without excessive toxicity, irritation, allergic response, or other problem or complication, commensurate with a reasonable benefit/risk ratio.

As used herein, "pharmaceutically acceptable salts" refer to derivatives of the disclosed compounds wherein the parent compound is modified by making acid or base salts thereof. Examples of pharmaceutically acceptable salts include, but are not limited to, mineral or organic acid salts of basic residues such as amines; alkali or organic salts of acidic residues such as carboxylic acids; and the like. The pharmaceutically acceptable salts include the conventional non-toxic salts or the quaternary ammonium salts of the parent compound formed, for example, from non-toxic inorganic or organic acids. For example, such conventional non-toxic salts include those derived from inorganic acids such as hydrochloric, hydrobromic, sulfuric, sulfamic, phosphoric, nitric and the like; and the salts prepared from organic acids such as acetic, propionic, succinic, glycolic, stearic, lactic, malic, tartaric, citric, ascorbic, pamoic, maleic, hydroxymaleic, phenylacetic, glutamic, benzoic, salicylic, sulfanilic, 2-acetoxybenzoic, fumaric, toluenesulfonic, methanesulfonic, ethane disulfonic, oxalic, isethionic, and the like.

The pharmaceutically acceptable salts of the present invention can be synthesized from the parent compound which contains a basic or acidic moiety by conventional chemical

methods. Generally, such salts can be prepared by reacting the free acid or base forms of these compounds with a stoichiometric amount of the appropriate base or acid in water or in an organic solvent, or in a mixture of the two; generally, nonaqueous media like ether, ethyl acetate, ethanol, isopropanol, or acetonitrile are preferred. Lists of suitable salts are found in *Remington's Pharmaceutical Sciences*, 17th ed., Mack Publishing Company, Easton, PA, 1985, p. 1418, the disclosure of which is hereby incorporated by reference.

"Prodrugs" are intended to include any covalently bonded carriers which release the active parent drug according to formula (I) *in vivo* when such prodrug is administered to a mammalian subject. Prodrugs of a compound of formula (I) are prepared by modifying functional groups present in the compound in such a way that the modifications are cleaved, either in routine manipulation or *in vivo*, to the parent compound. Prodrugs include compounds of formula (I) wherein a hydroxy, amino, or sulfhydryl group is bonded to any group that, when the prodrug or compound of formula (I) is administered to a mammalian subject, cleaves to form a free hydroxyl, free amino, or free sulfhydryl group, respectively. Examples of prodrugs include, but are not limited to, acetate, formate and benzoate derivatives of alcohol and amine functional groups in the compounds of formula (I), and the like. Preferred prodrugs are amidine prodrugs wherein D is $C(=NR^7)NH_2$, and R^7 is selected from OH, C_{1-4} alkoxy, C_{6-10} aryloxy, C_{1-4} alkoxycarbonyl, C_{6-10} aryloxycarbonyl, C_{6-10} arylmethylcarbonyl, C_{1-4} alkylcarbonyloxy C_{1-4} alkoxycarbonyl, and C_{6-10} arylcarbonyloxy C_{1-4} alkoxycarbonyl. More preferred prodrugs are where R^7 is OH, methoxy, ethoxy, benzyloxycarbonyl, methoxycarbonyl, and methylcarbonyloxymethoxycarbonyl.

"Stable compound" and "stable structure" are meant to indicate a compound that is sufficiently robust to survive isolation to a useful degree of purity from a reaction mixture, and formulation into an efficacious therapeutic agent.

"Substituted" is intended to indicate that one or more hydrogens on the atom indicated in the expression using "substituted" is replaced with a selection from the indicated group(s), provided that the indicated atom's normal valency is not exceeded, and that the substitution results in a stable compound. When a substituent is keto (i.e., =O) group, then 2 hydrogens on the atom are replaced.

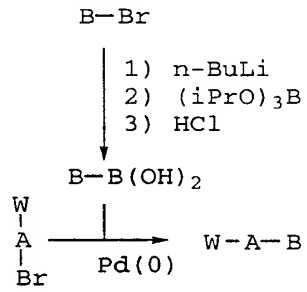
"Therapeutically effective amount" is intended to include an amount of a compound of the present invention or an amount of the combination of compounds claimed effective to inhibit HIV infection or treat the symptoms of HIV infection in a host. The combination of compounds is preferably a synergistic combination. Synergy, as described for example by Chou and Talalay, Adv. Enzyme Regul. 22:27-55 (1984), occurs when the effect (in this case, inhibition of HIV replication) of the compounds when administered in combination is greater than the additive effect of the compounds when administered alone as a single agent. In general, a synergistic effect is most clearly demonstrated at suboptimal concentrations of the compounds. Synergy can be in terms of lower cytotoxicity, increased antiviral effect, or some other beneficial effect of the combination compared with the individual components.

SYNTHESIS

The compounds of the present invention can be prepared in a number of ways known to one skilled in the art of organic synthesis. The compounds of the present invention can be synthesized using the methods described below, together with synthetic methods known in the art of synthetic organic chemistry, or variations thereon as appreciated by those skilled in the art. Preferred methods include, but are not limited to, those described below. The reactions are performed in a solvent appropriate to the reagents and materials employed and suitable for the transformations being effected. It will sometimes require a judgment to modify the order of synthetic steps or to select one particular process scheme over another in order to obtain a desired compound of the invention. It will also be recognized that another major

consideration in the planning of any synthetic route in this field is the judicious choice of the protecting group used for the protection of the reactive functional groups present in the compounds described in this invention. An authoritative account describing the many alternatives to the trained practitioner is Greene and Wuts (*Protective Groups in Organic Chemistry*, Wiley and Sons, **1991**). All references cited herein are hereby incorporated in their entirety herein by reference. Compounds of this invention where B is either a carbocyclic or heterocyclic residue as defined in Formula 1 are coupled to A as shown generically and by specific example in Schemes 1 and 2, respectively. Either or both of A and B may be substituted with 0-2 R^4. W is defined as a suitable protected nitrogen, such as NO_2 or NHBOC; a protected sulfur, such as S-tBu or SMOM; or a methyl ester. Halogen-metal exchange of the bromine in bromo-B with n-butyl lithium, quenching with triisopropyl borate and acidic hydrolysis gives the required boronic acid, B-$B(OH)_2$. The W-A-Br subunit may be already linked to ring M before the Suzuki coupling reaction. Deprotection provides the complete subunit.

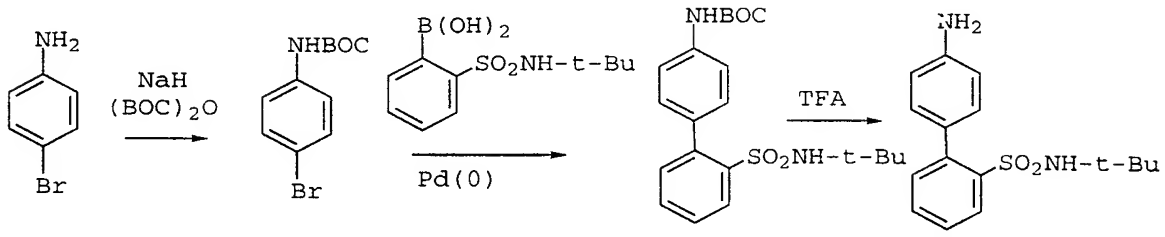
Scheme 1



Scheme 2 describes a typical example of how the A-B subunit is prepared for attachment to ring M. 4-Bromoaniline is protected as Boc-derivative and the coupled to 2-(t-butylamino)sulfonylphenylboronic acid under Suzuki conditions. 2-(t-Butylamino)sulfonylphenylboronic acid is prepared by the method described by Rivero (*Bioorg. Med. Chem. Lett.* **1994**, 189). Deprotection with TFA can provide the aminobiphenyl

compound. The aminobiphenyl is then coupled to the core ring structures as described below.

Scheme 2



When B is defined as X-Y, the following description applies. Groups A and B are available either through commercial sources, known in the literature or readily synthesized by the adaptation of standard procedures known to practitioners skilled in the art of organic synthesis. the required reactive functional groups appended to analogs of A and B are also available either through commercial sources, known in the literature or readily synthesized by the adaptation of standard procedures known to practitioners skilled in the art of synthesis. In the tables that follow the chemistry required to effect the coupling of A to B is outlined.

Table A: Preparation of Amide Ester, Urea, Sulfonamide and Sulfamide Linkages Between A and B.

If A contains:	then the reactive substituent of Y is:	to give the following product A-X-Y:
$A-NHR^2$ as a substituent	$ClC(O)-Y$	$A-NR^2-C(O)-Y$
a secondary NH as part of a ring or chain	$ClC(O)-Y$	$A-C(O)-Y$
A-OH as a substituent	$ClC(O)-Y$	$A-O-C(O)-Y$
$A-NHR^2$ as a substituent	$ClC(O)-CR^2R^{2a}-Y$	$A-NR^2-C(O)-CR^2R^{2a}-Y$

a secondary NH as part of a ring or chain	$ClC(O)-CR^2R^{2a}-Y$	$A-C(O)-CR^2R^{2a}-Y$
A-OH as a substituent	$ClC(O)-CR^2R^{2a}-Y$	$A-O-C(O)-CR^2R^{2a}-Y$
$A-NHR^2$ as a substituent	$ClC(O)-CNR^2-Y$	$A-NR^2-C(O)-CNR^2-Y$
a secondary NH as part of a ring or chain	$ClC(O)-CNR^2-Y$	$A-C(O)-CNR^2-Y$
A-OH as a substituent	$ClC(O)-CNR^2-Y$	$A-O-C(O)-CNR^2-Y$
$A-NHR^2$ as a substituent	$ClSO_2-Y$	$A-NR^2-SO_2-Y$
a secondary NH as part of a ring or chain	$ClSO_2-Y$	$A-SO_2-Y$
$A-NHR^2$ as a substituent	$ClSO_2-CR^2R^{2a}-Y$	$A-NR^2-SO_2-CR^2R^{2a}-Y$
a secondary NH as part of a ring or chain	$ClSO_2-CR^2R^{2a}-Y$	$A-SO_2-CR^2R^{2a}-Y$
$A-NHR^2$ as a substituent	$ClSO_2-NR^2-Y$	$A-NR^2-SO_2-NR^2-Y$
a secondary NH as part of a ring or chain	$ClSO_2-NR^2-Y$	$A-SO_2-NR^2-Y$
A-C(O)Cl	HO-Y as a substituent	A-C(O)-O-Y
A-C(O)Cl	NHR^2-Y as a substituent	$A-C(O)-NR^2-Y$
A-C(O)Cl	a secondary NH as part of a ring or chain	A-C(O)-Y
$A-CR^2R^{2a}C(O)Cl$	HO-Y as a substituent	$A-CR^2R^{2a}C(O)-O-Y$
$A-CR^2R^{2a}C(O)Cl$	NHR^2-Y as a substituent	$A-CR^2R^{2a}C(O)-NR^2-Y$

$A-CR^2R^{2a}C(O)Cl$	a secondary NH as part of a ring or chain	$A-CR^2R^{2a}C(O)-Y$
$A-SO_2Cl$	NHR^2-Y as a substituent	$A-SO_2-NR^2-Y$
$A-SO_2Cl$	a secondary NH as part of a ring or chain	$A-SO_2-Y$
$A-CR^2R^{2a}SO_2Cl$	NHR^2-Y as a substituent	$A-CR^2R^{2a}SO_2-NR^2-Y$
$A-CR^2R^{2a}SO_2Cl$	a secondary NH as part of a ring or chain	$A-CR^2R^{2a}SO_2-Y$

The chemistry of Table A can be carried out in aprotic solvents such as a chlorocarbon, pyridine, benzene or toluene, at temperatures ranging from -20°C to the reflux point of the solvent and with or without a trialkylamine base.

Table B: Preparation of Ketone Linkages between A and B.

If A contains:	then the reactive substituent of Y is:	to give the following product A-X-Y:
$A-C(O)Cl$	$BrMg-Y$	$A-C(O)-Y$
$A-CR^2R^{2a}C(O)Cl$	$BrMg-Y$	$A-CR^2R^{2a}C(O)-Y$
$A-C(O)Cl$	$BrMgCR^2R^{2a}-Y$	$A-C(O)CR^2R^{2a}-Y$
$A-CR^2R^{2a}C(O)Cl$	$BrMgCR^2R^{2a}-Y$	$A-CR^2R^{2a}C(O)CR^2R^{2a}-Y$

The coupling chemistry of table B can be carried out by a variety of methods. The Grignard reagent required for Y is prepared from a halogen analog of Y in dry ether, dimethoxyethane or tetrahydrofuran at 0°C to the reflux point of the solvent. This Grignard reagent can reacted directly under very controlled conditions, that is low temperature (-20°C or lower) and with a large excess of acid chloride or with catalytic or stoichiometric copper bromide•dimethyl sulfide complex in dimethyl sulfide as a solvent or with a variant thereof. Other methods available include transforming

the Grignard reagent to the cadmium reagent and coupling according to the procedure of Carson and Prout (Org. Syn. Col. Vol. 3 (1955) 601) or a coupling mediated by $Fe(acac)_3$ according to Fiandanese et al.(*Tetr. Lett.* **1984**, 4805), or a coupling mediated by manganese (II) catalysis (Cahiez and Laboue, *Tetr. Lett.* **1992**, *33(31)*, 4437).

Table C: Preparation of Ether and Thioether linkages between A and B.

If A contains:	then the reactive substituent of Y is:	to give the following product A-X-Y:
A-OH	Br-Y	A-O-Y
$A-CR^2R^{2a}-OH$	Br-Y	$A-CR^2R^{2a}O-Y$
A-OH	$Br-CR^2R^{2a}-Y$	$A-OCR^2R^{2a}-Y$
A-SH	Br-Y	A-S-Y
$A-CR^2R^{2a}-SH$	Br-Y	$A-CR^2R^{2a}S-Y$
A-SH	$Br-CR^2R^{2a}-Y$	$A-SCR^2R^{2a}-Y$

The ether and thioether linkages of Table C can be prepared by reacting the two components in a polar aprotic solvent such as acetone, dimethylformamide or dimethylsulfoxide in the presence of a base such as potassium carbonate, sodium hydride or potassium t-butoxide at a temperature ranging from ambient to the reflux point of the solvent used.

Table D: Preparation of -SO- and $-SO_2-$ linkages from thioether of Table C.

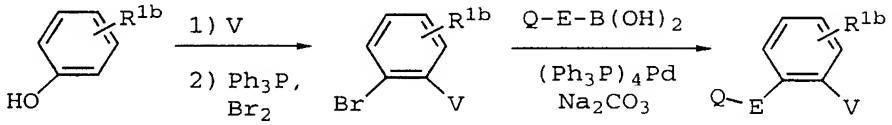
If the starting material is:	then it is oxidized with wet Alumina/Oxone to give:	then it is oxidized with m-chloroperbenzoic acid to give:
A-S-Y	A-S(O)-Y	$A-SO_2-Y$
$A-CR^2R^{2a}S-Y$	$A-CR^2R^{2a}S(O)-Y$	$A-CR^2R^{2a}SO_2-Y$
$A-SCR^2R^{2a}-Y$	$A-S(O)CR^2R^{2a}-Y$	$A-SO_2CR^2R^{2a}-Y$

The thioethers of Table C serve as a convenient starting material for the preparation of the sulfoxide and sulfone

analogs of Table D. A combination of wet alumina and Oxone can provide a reliable reagents for the oxidation of the thioether to the sulfoxide as shown by Greenhalgh (*Syn. Lett.* **1992**, 235). The sulfone can be prepared according to the method of Satoh (*Chem. Lett.* **1992**, 381) using m-chloroperbenzoic acid.

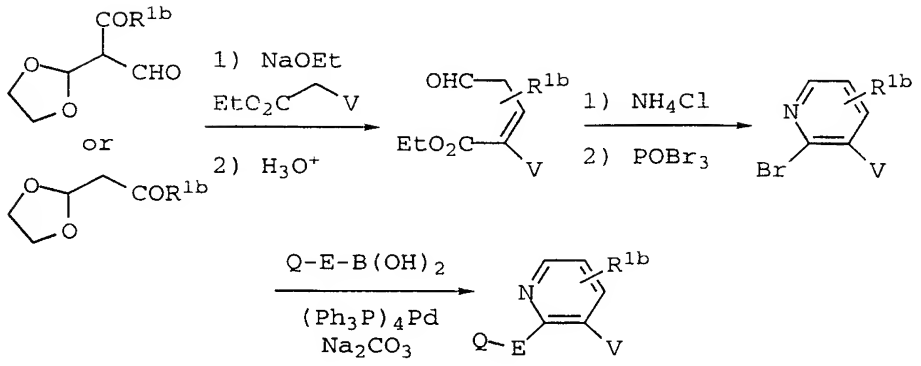
Scheme 3 describes the synthesis of compounds wherein M is a benzene ring and Q is a protected precursor of group D of Formula I and V is a nitro, protected sulfonamide or ester group and precursor of group Z of Formula I. The V group is placed on an appropriately substituted phenol either via nitration as shown by Poirier et al. (*Tetrahedron* **1989**, *45(5)*, 1415), sulfonylation as shown by Kuznetsov (*Akad. Nauk SSSR Ser. Khim* **1990**, *8*, 1888) or carboxylation by Sartori et al. (*Synthesis* **1988**, *10*, 763). Bromination with triphenylphosphine and bromine (*J. Am. Chem. Soc.* **1964**, *86*, 964) gives the desired bromide. Suzuki coupling with the appropriate boronic acid provides the desired substituted pyridine.

Scheme 3



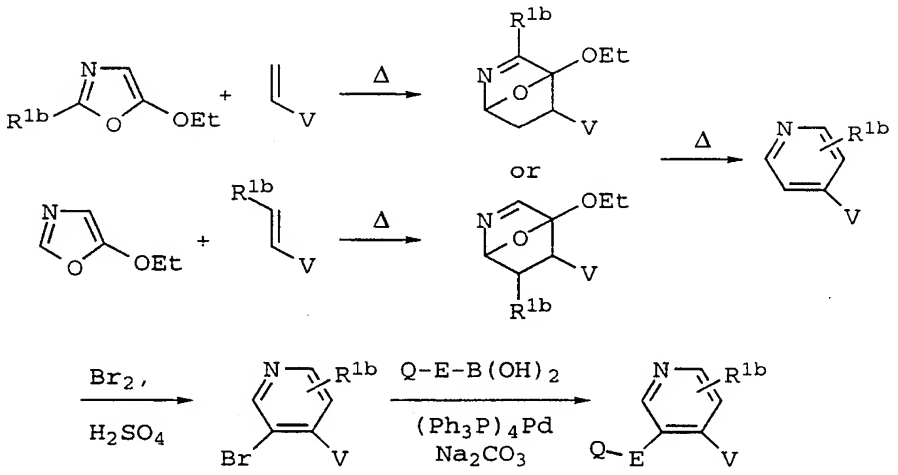
Schemes 4, 5, 6, and 7 describe the synthesis of compounds wherein M is pyridine and Q is a protected precursor of group D of Formula I. Each scheme represents a different substitution pattern for the pyridine ring. In Scheme 4, a suitably protected aldehyde is subjected to base-catalyzed condensation with an activated ester to give after deprotection the desired aldehyde. Refluxing with ammonium chloride as shown by Dornow and Ische (*Chem. Ber.* **1956**, *89*, 876) provides the pyridinol which is brominated with $POBr_3$ (Tjeenk et al. *Rec. Trav. Chim.* **1948**, *67*, 380) to give the desired 2-bromopyridine. Suzuki coupling with the appropriate boronic acid provides the desired substituted pyridine.

Scheme 4



Treatment of an appropriately substituted 5-ethoxyoxazole with an alkene as shown by Kondrat'eva et al. *Dokl. Akad. Nauk SSSR* **1965**, *164*, 816) provides a pyridine with the V substituent at the para position. Bromination at the 3-position as shown by van der Does and Hertog (*Rec. Trav. Khim. Pays-Bas* **1965**, *84*, 951) followed by palladium-catalyzed boronic acid coupling provides the desired substituted pyridine.

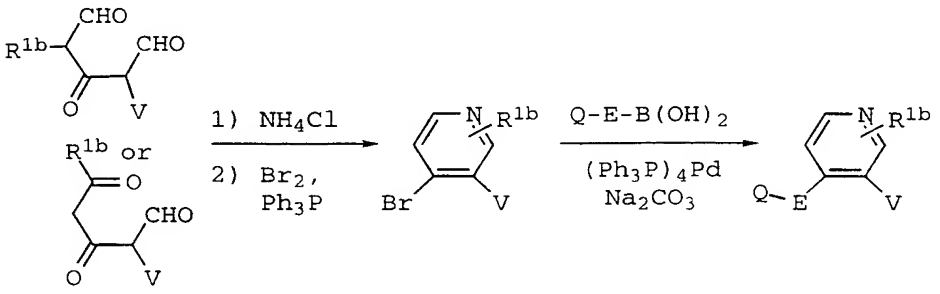
Scheme 5



Scheme 6 describes a synthesis of a third substitution pattern on a pyridine ring. The appropriate tricarbonyl compound which can be prepared by methods described in Scheme

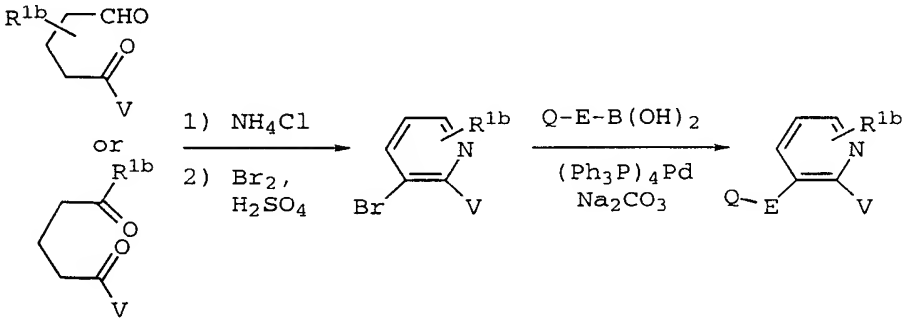
4 is treated with ammonium chloride to form the pyridinol which is subsequently brominated. Palladium-catalyzed coupling provides the desired substituted pyridine.

Scheme 6



Scheme 7 takes a suitably substituted dicarbonyl compound and by chemistry illustrated in Schemes 4 and 6, reacts it with ammonium chloride. Bromination gives the 3-bromopyridine which upon palladium-catalyzed coupling provides the desired substituted pyridine.

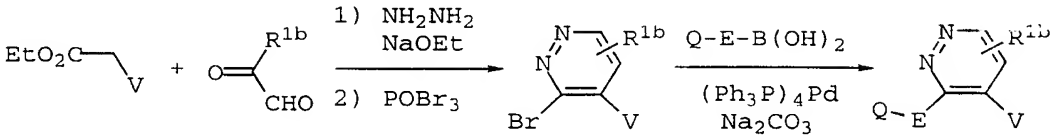
Scheme 7



Schemes 8, 9, and 10 describe the synthesis of compounds wherein M is pyridazine and Q is a protected precursor of group D of Formula I. Each scheme represents a different substitution pattern for the pyridine ring. In Scheme 8 an activated ester is reacted with an appropriately substituted α-keto aldehyde and hydrazine as shown by Schmidt and Druey (*Helv. Chim. Acta* **1954**, *37*, 134 and 1467). Conversion of the pyridazinone to the bromide using $POBr_3$ and palladium-

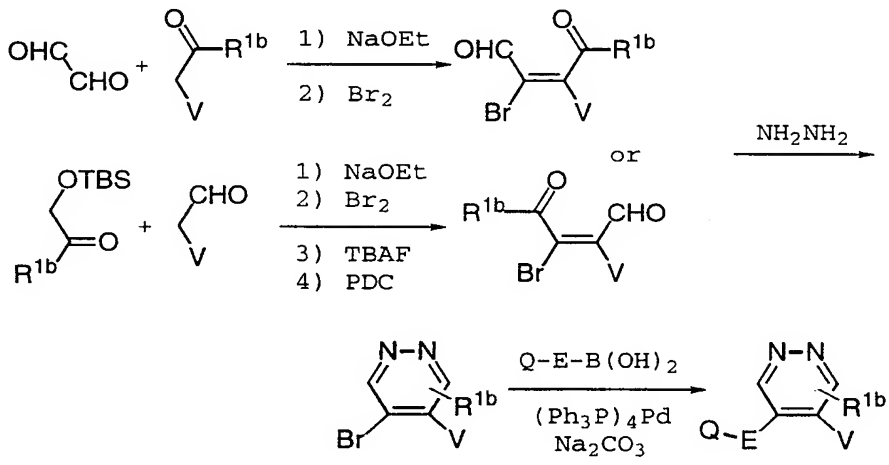
catalyzed coupling provides the desired substituted pyridazine.

Scheme 8



In Scheme 9, glyoxal can react under basic conditions with an activated ketone and subsequently brominated/dehydrobrominated to give the desired ketoaldehyde. Alternatively, a protected ketone can react with an activated aldehyde, undergo bromination/dehydrobromination, be deprotected and oxidized to give the regioisomeric ketoaldehyde. Cyclization as shown by Sprio and Madonia (*Ann. Chim.* **1958**, *48*, 1316) with hydrazine followed by palladium-catalyzed coupling provides the desired substituted pyridazine.

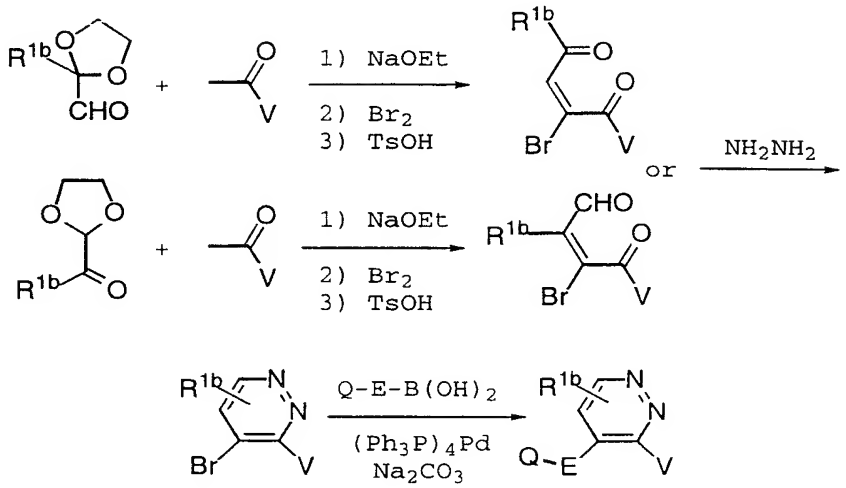
Scheme 9



By analogy to Scheme 9, in Scheme 10 a aldehyde can be reacted with an activated ketone, brominated, dehydrobrominated and deprotected to give the desired diketone. Alternatively, a regioisomeric ketone can be placed through the same reaction sequence to produce an isomeric keto aldehyde. Reaction with hydrazine followed by palladium-

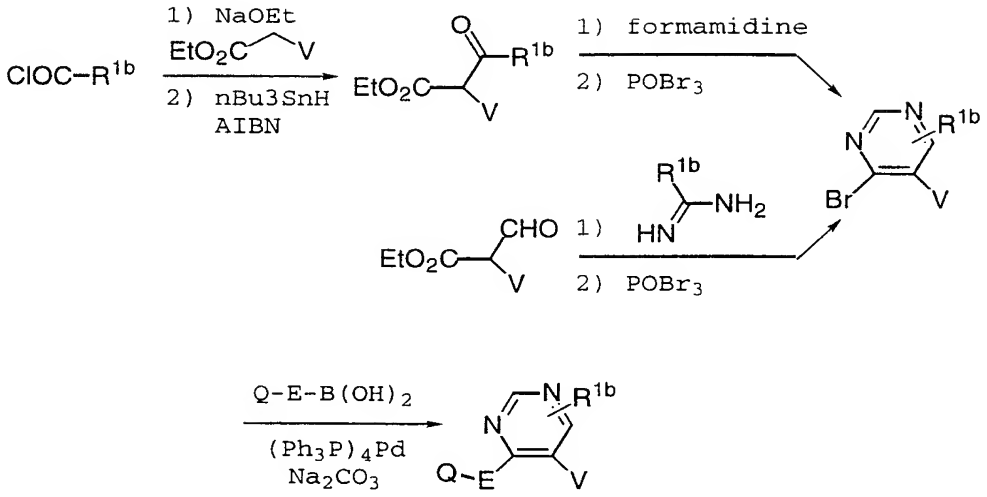
catalyzed coupling provides the desired substituted pyridazine.

Scheme 10



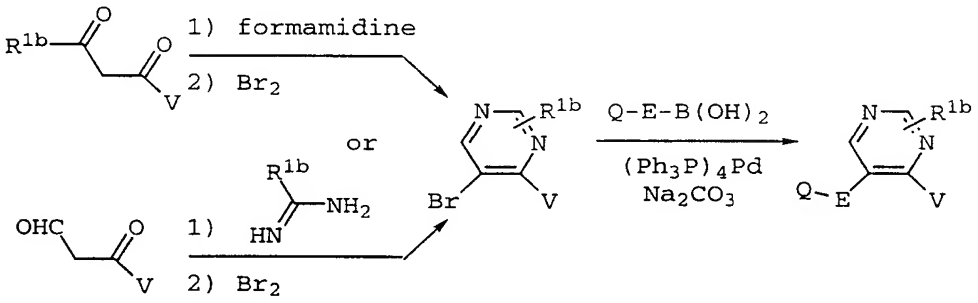
Schemes 11, and 12 describe the synthesis of compounds wherein M is pyrimidine and Q is a protected precursor of group D of Formula I. Each scheme represents a different substitution pattern for the pyrimidine ring. In Scheme 11, a condensation with an appropriately substituted acid chloride and an activated ester followed by conjugate reduction by tin hydride (Moriya et al. *J. Org. Chem.* **1986**, *51*, 4708) gives the desired 1,4 dicarbonyl compound. Cyclization with formamidine or a substituted amidine followed by bromination gives the desired regioisomeric pyrimidine. Palladium-catalyzed coupling provides the desired substituted pyrimidine.

Scheme 11



Using similar chemistry, Scheme 12 shows how an amidine can be condensed with a 1,3-dicarbonyl compound and subsequently brominated in the 5-position (*J. Het. Chem.* **1973**, *10*, 153) to give a specific regioisomeric bromopyrimidine. Palladium-catalyzed coupling provides the desired substituted pyrimidine.

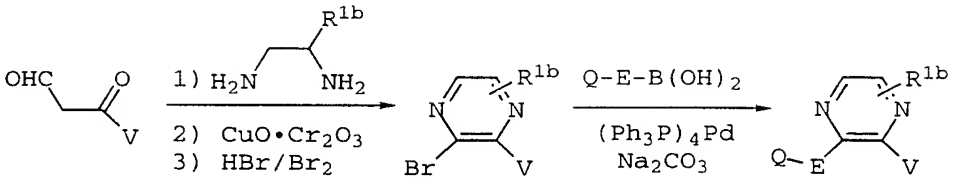
Scheme 12



Using the same ketoaldehyde from Scheme 12, cyclization with an appropriately substituted 1,2-diamine (*Chimia* **1967**, *21*, 510) followed by aromatization (*Helv. Chim. Acta* **1967**, *50*, 1754) provides a regioisomeric mixture of pyrazines as illustrated in Scheme 13. Bromination of the hydrobromide salt (U.S. Patent No. 2,403,710) yields the intermediate for

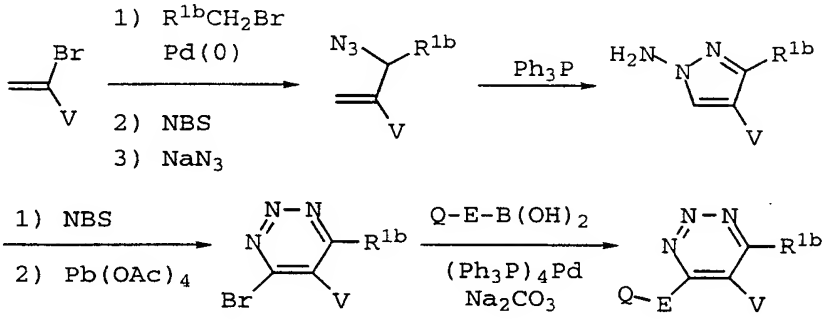
the palladium-catalyzed coupling step which occurs as shown above.

Scheme 13



Schemes 14 and 15 describe the synthesis of compounds wherein M is a 1,2,3-triazine and Q is a protected precursor of group D of Formula I. In Scheme 14, a vinyl bromide is palladium coupled to a molecule containing the substituent R^{1b}. Allylic bromination followed by azide displacement provide the cyclization precursor. Triphenylphosphine-mediated cyclization (*J. Org. Chem.* **1990**, *55*, 4724) give the 1-aminopyrazole which is subsequently brominated with N-bromosuccimide. Lead tetraacetate mediated rearrangement as shown by Neunhoeffer et al. (*Ann.* **1985**, 1732) provides the desired regioisomeric 1,2,3-triazine. Palladium-catalyzed coupling provides the substituted triazine.

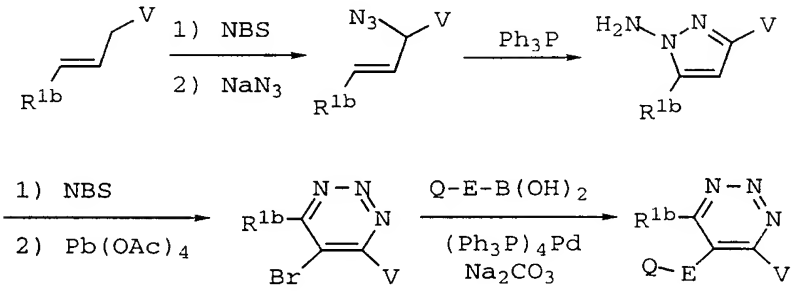
Scheme 14



In Scheme 15, an alkene is allylically brominated and the bromide is displaced to give a regioisomer of the azide in Scheme 14. Following the same reaction sequence as shown above, cyclization provides the 1-aminopyrazole. Bromination followed by lead tetraacetate mediated rearrangement give the

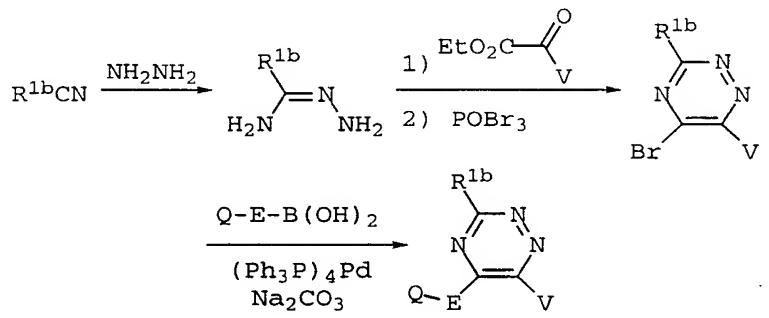
1,2,3-triazine. Palladium-catalyzed coupling provides the other desired triazine.

Scheme 15



Schemes 16 and 17 describe the synthesis of compounds wherein M is a 1,2,4-triazine and Q is a protected precursor of group D of Formula I. In Scheme 16, a nitrile is converted using hydrazine to give the amidrazone which is condensed with a α-ketoester to give the triazinone as shown by Paudler and Lee (*J. Org. Chem.* **1971**, *36*, 3921). Bromination as shown by Rykowski and van der Plas (*J. Org. Chem.* **1987**, *52*, 71) followed by palladium-catalyzed coupling provides the desired 1,2,4-triazine.

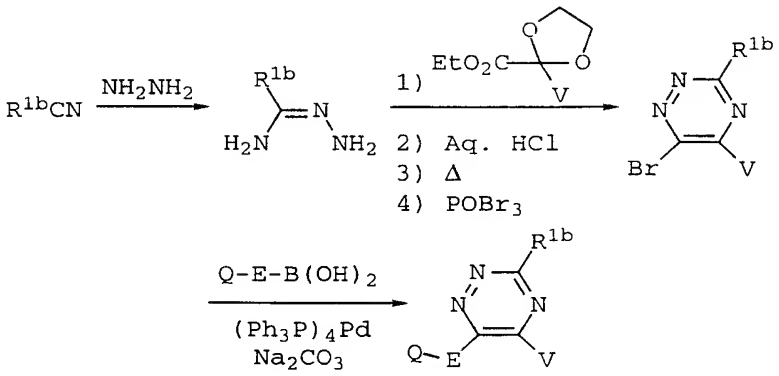
Scheme 16



In Scheme 16, to achieve the opposite regioisomer the reaction scheme shown above is modify by the substituting a protect α-ketoester. This allows the most nucleophilic nitrogen to attack the ester functionality setting up the opposite regiochemistry. Deprotection and thermal cyclization gives the triazinone which is brominated as shown above.

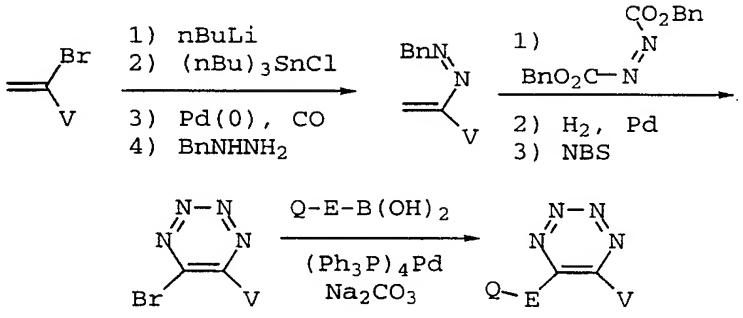
Palladium-catalyzed coupling provides the other desired 1,2,4-triazine.

Scheme 17



Scheme 18 describes the synthesis of compounds wherein M is a 1,2,3,4-tetrazine and Q is a protected precursor of group D of Formula I. Lithiation of a vinyl bromide, transmetallation with tin, palladium catalyzed carbonylation and hydrazone formation provides a diene for a subsequent Diels-Alder reaction as shown by Carboni and Lindsey (*J. Am. Chem. Soc.* **1959**, *81*, 4342). Reaction with dibenzyl azodicarboxylate followed by catalytic hydrogenation to debenzylate and decarboxylate should give after bromination the desired 1,2,3,4-tetrazine. Palladium-catalyzed coupling provides the desired substitution.

Scheme 18



Other features of the invention will become apparent in the course of the following descriptions of exemplary

embodiments which are given for illustration fo the invention and are not intended to be limiting thereof.

EXAMPLES

Example 1

N-(2'-Aminosulfonyl-[1,1']biphen-4-yl)-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt

Part A. Preparation of 2-bromonicotinic acid.

Potassium permanganate (18.4 g, 116 mmol) was dissolved in water (400 mL) and added to 2-bromo-3-methylpyridine (10.0 g, 58 mmol) and refluxed for 16 hours. After cooling to room temperature, the slurry was filtered through a celite plug and rinsed with water and chloroform. The entire filtrate was transferred to a separatory funnel and the layers were separated. The aqueous layer was extracted again with $CHCl_3$ and acidified with 6N HCl to pH 1. A white solid was obtained on standing (2.08 g of product). The pH of the remaining aqueous was adjusted to pH 4 with 2M NaOH and 2M HCl, then concentrated to <100mL. A white precipitate was filtered. The pH was adjusted to 4 and the mixture filtered again, combining the isolated solids for a total of 3.88 g of product. The filtrate was concentrated again to <100mL and adjusted to pH 1.5 and an additional quanitity of white solid was obtained (1.80 g), for a combined yield of 3 crops, (8.76 g, 66%). ^{1}H NMR (DMSO-d_6): δ 13.76 (bs, 1H), 8.46 (m, 1H), 8.09 (dd, 1H, J = 7.7, J' = 2.2), 7.51 (m, 1H).

Part B. Preparation of methyl 2-bromonicotinate.

2-Bromonicotinic acid (7.33 g, 36 mmol) was suspended in dry Et_2O (40 mL), and MeOH (2.3 mL) and diethyl azodicarboxylate (5.8 mL, 37 mmol) were added. Triphenylphosphine (9.61 g in 40mL Et_2O, 37 mmol) was added dropwise over 2.5 hours. After stirring an additional two hours, the reaction was filtered and evaporated. The resulting clear liquid was chromatographed on silica gel (10-

40% EtOAc/hexanes) to yield a clear oil (8.63 g, 100%). ^1H NMR ($CDCl_3$): δ 8.49 (dd, 1H, J = 4.8, J' = 2.2), 8.09 (dd, 1H, J = 7.7, J' = 1.8), 7.36 (m, 1H), 3.97 (s, 3H).

Part C. Preparation of 3-cyanophenylboronic acid.

3-Bromobenzonitrile (10.0 g, 55 mmol) was dissolved in dry THF (100 mL) and cooled to -100°C (Et_2O/N_2). n-Butyllithium (24.2 mL, 2.5 M in hexane) was added over 30 minutes, maintaining the internal temp under -90°. After 20 minutes, triisopropylborate (18.0 mL) was added over 15 minutes, again maintaining the internal temperature. After the addition was complete, the reaction was allowed to warm slowly to room temperature over 1.5 hours. The reaction was stirred at room temp for 16 hours, then cooled to 15°C, after which 6 M HCl (25 mL) was added. After stirring vigorously for 3.5 hours, the reaction was partitioned between water and EtOAc. After extracting a second time with EtOAc, the combined organics were washed with 2 M NaOH. The aqueous extract was neutralized with 6 M HCl. The white precipitate was filtered, yielding the desired product (4.80 g, 60%). ^1H NMR (DMSO-d_6): δ 8.37 (s, 2H), 8.10 (s, 1H), 8.03 (dt, 1H, J = 7.3, J' = 1.1), 7.83 (dt, 1H, J = 7.6, J' = 1.4), 7.53 (t, 1H, J = 7.7).

Part D. Preparation of methyl 2-(3'-cyanophenyl)nicotinate.

Methyl 2-bromonicotinate (2.0 g, 9.3 mmol) and 3-cyanophenylboronic acid (2.7 g, 18.4 mmol) were combined in 190 mL benzene. Sodium carbonate (19 mL of a 2 M aqueous solution), tetrabutylammonium bromide (152 mg, 0.5 mmol), and bis(triphenylphosphine)palladium(II) chloride (325 mg, 0.5 mmol) were added. The entire mixture was evacuated to remove dissolved gasses, then placed under argon. The reaction was refluxed for 14 hours, diluted with water and EtOAc, separated, dried over Na_2SO_4, filtered, and evaporated. The resulting yellow solid was chromatographed on silica gel (30% EtOAc/hexanes) to yield a light yellow solid (1.70 g, 77%).

^{1}H NMR ($CDCl_3$): δ 8.81 (dd, 1H, J = 4.8, J' = 1.8), 8.23 (dd, 1H, J = 8.0, J' =1.9), 7.85 (s, 1H), 7.73 (m, 2H), 7.55 (t, 1H, J = 7.7), 7.43 (m, 1H), 3.76 (s, 3H).

Part E. Preparation of 2-(t-butylaminosulfonyl)phenylboronic acid.

To a solution of 206.5 g (0.968 mol) of benzene-(N-t-butyl)sulfonamide in 2500 mL of THF under N_2 was added 790 mL (1.98 mol) of 2.5M n-butyllithium in hexane over 35 minutes, keeping the temperature between 0-5°C. The reaction mixture was allowed to warm to 10°C, at which time a thick precipitate formed. Triisopropylborate (305 mL, 1.32 mol) was added keeping the temperature below 35°C. After 1 hour, the reaction mixture was cooled, 1N HCl (1570 mL) was added, and the mixture was stirred overnight. The mixture was extracted with 400 mL of ether three times, and the combined organic extracts were extracted with 500 mL of 1N NaOH three times. The aqueous extracts were acidified to pH 1 with 6N HCl, and then extracted with 500 mL ether three times. The combined ether extracts were dried over $MgSO_4$, and the solvents evaporated *in vacuo* until the volume was 700 mL. Hexane (150 mL) was added and overnight, a white precipitate formed. The solid was collected and washed with 10% ether/hexane (250 mL), then dried *in vacuo* to give 216.3 g (87%) of the desired compound as white crystals. m.p. 118-119°C; ^{1}H NMR ($CDCl_3$): δ 8.00 (d, 1H); 7.82 (d, 1H); 7.53 (m, 2H); 6.29 (br s, 2H); 5.13 (s, 1H); 1.18 (s, 9H).

Part F. Preparation of 4-amino-2'-t-butylaminosulfonyl-[1,1']biphenyl.

A mixture of 3.44 g (20 mmol) of 4-bromoaniline and 5.14 g (20 mmol) of 2-(t-butylaminosulfonyl)phenylboronic acid, 1.16 g of tetrakis(triphenylphosphine) palladium(0) (1 mmol), 0.32 g of tetrabutylammonium bromide (1 mmol) and 20 mL of 2M aqueous sodium carbonate were refluxed with 180 mL of benzene under N_2 for 5.5 hours. After cooling, the mixture was

diluted with methylene chloride and water. The two phases were separated and the organic phase was washed with water, dried with $MgSO_4$ and concentrated *in vacuo*. The resulting thick oil was chromatographed on silica with 30% EtOAc/hexane to afford 2.52 g (41%) of the aniline. ^1H NMR ($CDCl_3$): δ 8.14 (d, 1H); 7.53 (t, 1H); 7.43 (t, 1H); 7.33 (d, 2H); 7.27 (d, 1H); 6.76 (d, 2H); 3.7 (br s, 1H); 0.99 (s, 9H).

Part G. Preparation of N-(2'-t-butylaminosulfonyl-[1,1']biphen-4-yl)-2-(3'-cyanophenyl)nicotinamide.

Methyl 2-(3'-cyanophenyl)nicotinate (300 mg, 1.3 mmol) was combined with of 4-amino-2'-t-butylaminosulfonyl-[1,1']biphenyl (383 mg, 1.3 mmol) in 12 mL dry CH_2Cl_2. A solution of trimethylaluminum (3.8 mL, 2.0 M in heptane) was added, and an exothermic reaction immediately occurred and the mixture darkened. The resulting solution was stirred at room temperature under argon for 3 days and then quenched carefully with a few drops of 1 M HCl. An emulsion was obtained on dilution with EtOAc and water. The layers were separated, and the organic was extracted again with water and brine, dried over Na_2SO_4, filtered, and evaporated. A small amount of additional material was obtained from the aqueous extract by adjusting the pH to 8 with sat. $NaHCO_3$ and extracting with EtOAc. This material was dried over Na_2SO_4, filtered, evaporated, and combined with the previous extract for chromatography on silica gel (50-60% EtOAc/hexanes) to yield the desired product (190 mg, 30%). ^1H NMR ($CDCl_3$): δ 8.86 (dd, 1H, J = 4.7, J' = 1.9), 8.14 (m, 3H), 8.00 (d, 1H, J = 7.7), 7.73 (d, 1H, J = 8.1), 7.50 (m, 9H), 7.29 (dd, 1H, J = 7.4, J' = 1.1), 3.60 (s, 1H), 1.02 (s, 9H).

Part H. Preparation of N-(2'-aminosulfonyl-[1,1']biphen-4-yl)-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt.

N-(2'-t-butylaminosulfonyl-[1,1']biphen-4-yl)-2-(3'-cyanophenyl)nicotinamide (190 mg, 0.37 mmol) was dissolved in

dry MeOH (10 mL) and cooled to 0°C. HCl(g) was generated by the addition of concentrated H_2SO_4 (60 mL) to NaCl (240 g) over 40 minutes and was bubbled into the reaction mixture. The gas was permitted to continue bubbling through the reaction for 3 hours after the H_2SO_4 addition was complete. At this point, the HCl generator and ice bath were removed, and the reaction stirred under argon for 19 hours. This solution was then evaporated, placed under high vacuum, and redissolved in dry MeOH (10 mL). Ammonium carbonate (200 mg) was added, stirred for 24 hours under argon, and evaporated. The product was purified by preparative HPLC on a C-18 reverse phase column (10-70% MeCN/H_2O/0.05% TFA), yielding a white powder (140 mg, 54%). ^1H NMR (DMSO-d_6): δ 10.65 (s, 1H), 9.38 (s, 2H), 8.92 (s, 2H), 8.81 (dd, 1H, J = 4.4, J' = 1.4), 8.10 (m, 2H), 7.97 (m, 2H), 7.76 (m, 1H), 7.67 (t, 1H, J = 8.0), 7.57 (m, 5H), 7.29 (m, 5H). HRMS calc. for $C_{25}H_{22}N_5O_3S$: m/z 472.1443; found, 472.1457.

Examples 2, 3 and 4

N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 2), N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 3), and N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide, trifluoroacetic acid salt (Example 4)

Part A. Preparation of 2-(3'-cyanophenyl)nicotinic acid.

Methyl 2-(3'-cyanophenyl)nicotinate (1.21 g, 5.1 mmol) was partially dissolved in MeOH (40 mL), and lithium hydroxide monohydrate (234 mg dissolved in 6 mL H_2O, 5.6 mmol) was added. After 20 hours, the resulting solution was diluted with water and extracted with $CHCl_3$. The aqueous was acidified to pH 4 with 1 M HCl and extracted several times with $CHCl_3$. Solid sodium chloride was added to the aqueous solution and the solution was extracted with 5-10% MeOH/$CHCl_3$. The organic extracts were combined, dried over Na_2SO_4,

filtered, and evaporated to yield a white solid (1.06 g, 93%). ^{1}H NMR ($CDCl_3$): δ 8.85 (dd, 1H, J = 5.1, J' = 1.5), 8.35 (dd, 1H, J = 7.6, J' = 1.4), 7.84 (s, 1H), 7.75 (m, 2H), 7.55 (t, 1H, J = 7.7), 7.47 (m, 1H).

Part B. Preparation of 2-amino-5-(2-t-butylamino-sulfonyl)phenylpyridine.

A mixture of 1.55 g (9.0 mmol) of 2-amino-5-bromopyridine and 2.3 g (9.0 mmol) of 2-(t-butylaminosulfonyl)phenylboronic acid, 0.52 g of tetrakis(triphenylphosphine) palladium(0) (0.45 mmol), 0.15 g of tetrabutylammonium bromide (0.45 mmol) and 9 mL of 2M aqueous sodium carbonate were refluxed with 80 mL of benzene under Ar for 5 hours. After cooling, the mixture was diluted with 25 mL of methylene chloride and 25 mL of water. The two phases were separated and the organic phase was washed with water, dried with $MgSO_4$ and concentrated *in vacuo*. The resulting thick oil was chromatographed on silica with 50% EtOAc/hexane to afford 1.34 g (49%) of the aniline. ^{1}H NMR ($CDCl_3$): δ 8.18 (d, 1H); 8.07 (m, 1H); 7.70 (dd, 1H); 7.58 (dt, 1H); 7.48 (dt, 1H); 7.28 (d, 1H); 6.56 (d, 1H); 4.62 (br s, 2H); 3.88 (br s, 1H); 1.06 (s, 9H).

Part C. Preparation of N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-cyanophenyl)nicotinamide.

2-(3'-cyanophenyl)nicotinic acid (300 mg, 1.3 mmol) was suspended in 5 mL dry CH_2Cl_2, and oxalyl chloride (175 µl, 2.0 mmol) was added, followed by 2 drops of dry DMF. The reaction stirred at room temperature under argon for 2 hours and then evaporated. This solid was redissolved in 8 mL dry CH_2Cl_2, and dimethylaminopyridine (490 mg, 4.0 mmol) was added, followed by 2-amino-5-(2-t-butylaminosulfonyl)phenylpyridine (410 mg, 1.3 mmol). The reaction was stirred 3 days at room temperature, diluted with CH_2Cl_2, extracted with saturated $NaHCO_3$, dried over Na_2SO_4, filtered, and evaporated. The resulting material was chromatographed on silica gel (50-75%

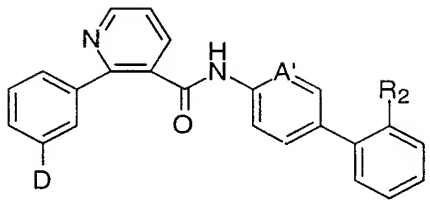
EtOAc / hexanes) to yield the desired product (423 mg, 62%). ^{1}H NMR ($CDCl_3$): δ 8.83 (dd, 1H, J = 4.8, J' = 1.5), 8.40 (bs, 1H), 8.29 (bd, 1H, J = 8.4), 8.17 (dd, 1H), J = 8.0, J' = 1.1), 8.09 (m, 3H), 7.97 (d, 1H, J = 7.7), 7.79 (d, 1H, J = 8.4), 7.69 (d, 1H, J = 7.7), 7.54 (m. 4H), 7.25 (m, 1H), 4.19 (bs, 1H), 1.08 (s, 9H).

Part D. Preparation of N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 2), N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 3), and N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide, trifluoroacetic acid salt (Example 4).

N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-cyanophenyl)nicotinamide (410 mg, 1.03) was dissolved in a mixture of dry MeOH (5mL) and dry $CHCl_3$ (15mL) and cooled to 0°C. HCl(g) was generated by the addition of concentrated H_2SO_4 (45 mL) to NaCl (220 g) over 55 min and was bubbled into the reaction mixture. The HCl generator and ice bath were removed, and the reaction was stirred under argon for 16 hours and evaporated. The resulting solid was redissolved in dry MeOH (15 mL), and ammonium carbonate (385 mg) was added. The reaction was stirred 19 hours at room temperature under argon and evaporated. The resulting solid was purified by preparative HPLC on a C-18 reverse phase column (5-70% MeCN / H2O / 0.05% TFA) to yield N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 2), (250 mg, 45%). ^{1}H NMR (DMSO-d_6): δ 11.27 (s, 1H), 9.43 (s, 2H), 8.98 (s, 2H), 8.83 (dd, 1H, J = 4.8, J' = 1.9), 8.32 (s, 1H), 8.13 (m, 2H), 8.05 (m, 2H), 7.96 (d, 1H, J = 7.3), 7.81 (d, 2H, J = 8.4), 7.65 (m, 4H), 7.47 (s, 2H), 7.37 (m, 1H). HRMS calc. for $C_{24}H_{21}N_6O_3S$: m/z 473.1396; found, 473.1397. A second product, N-[5-(2-t-butylaminosulfonyl)-phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide, trifluoroacetic acid salt (Example 3), was also obtained (58 mg, 10%). ^{1}H NMR (DMSO-d_6): δ 9.7 (s, 1H), 9.41 (s, 2H), 8.95

(s, 2H), 8.82 (m, 1H), 8.28 (s, 1H), 8.09 (m, 4H), 7.95 (d, 1H, J = 7.7), 7.79 (m, 2H), 7.63 (m, 4H), 7.34 (d, 1H, J = 7.7), 7.18 (s, 1H), 1.04 (s, 9H). HRMS calc. for $C_{28}H_{29}N_6O_3S$: 529.2022; found, 529.2050. A third product, N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide, trifluoroacetic acid salt (Example 4) was isolated and chromatographed on silica gel (10-20% MeOH/$CHCl_3$) to yield a white solid (77 mg, 20%). ^1H NMR (DMSO-d_6): δ 11.13 (s, 1H), 8.75 (dd, 1H, J = 4.8, J' = 1.9), 8.26 (m, 2H), 8.02 (m, 4H), 7.84 (d, 1H, J = 7.7), 7.74 (m, 2H), 7.59 (m, 2H), 7.47 (m, 2H), 7.36 (m, 4H).

Table 1

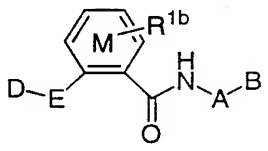


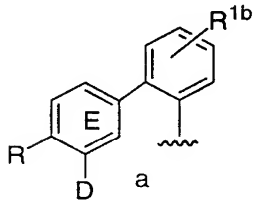
Ex	D	R2	A'	MS (M+H)+
1	C(=NH)NH2	SO2NH2	CH	472.1
2	C(=NH)NH2	SO2NH2	N	473.1
3	C(=NH)NH2	SO2NHtBu	N	529.2
4	C(O)NH2	SO2NH2	N	474.1

5

The following table contains representative examples of the present invention. Each entry in the table is intended to be paired with each formulae at the start of the table. For example, example 1 in Table 2 is intended to be paired with each of formulae a_1-ss_4.

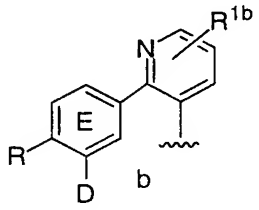
Table 2





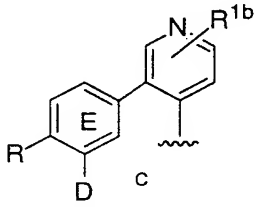
a

a_1 R=F, D=NH_2
a_2 R=H, D=NH_2
a_3 R=F, D=CH_2NH_2
a_4 R=H, D=CH_2NH_2
a_5 R=F, D=C(=NH)NH_2
a_6 R=H, D=C(=NH)NH_2
a_7 R=F, D=C(O)NH_2
a_8 R=H, D=C(O)NH_2



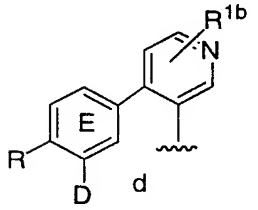
b

b_1 R=F, D=NH_2
b_2 R=H, D=NH_2
b_3 R=F, D=CH_2NH_2
b_4 R=H, D=CH_2NH_2
b_5 R=F, D=C(=NH)NH_2
b_6 R=H, D=C(=NH)NH_2
b_7 R=F, D=C(O)NH_2
b_8 R=H, D=C(O)NH_2



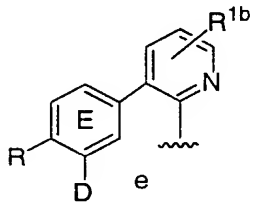
c

c_1 R=F, D=NH_2
c_2 R=H, D=NH_2
c_3 R=F, D=CH_2NH_2
c_4 R=H, D=CH_2NH_2
c_5 R=F, D=C(=NH)NH_2
c_6 R=H, D=C(=NH)NH_2
c_7 R=F, D=C(O)NH_2
c_8 R=H, D=C(O)NH_2



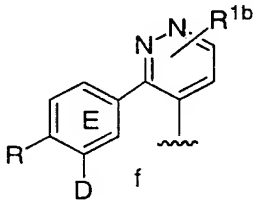
d

d_1 R=F, D=NH_2
d_2 R=H, D=NH_2
d_3 R=F, D=CH_2NH_2
d_4 R=H, D=CH_2NH_2
d_5 R=F, D=C(=NH)NH_2
d_6 R=H, D=C(=NH)NH_2
d_7 R=F, D=C(O)NH_2
d_8 R=H, D=C(O)NH_2



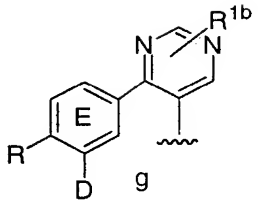
e

e_1 R=F, D=NH_2
e_2 R=H, D=NH_2
e_3 R=F, D=CH_2NH_2
e_4 R=H, D=CH_2NH_2
e_5 R=F, D=C(=NH)NH_2
e_6 R=H, D=C(=NH)NH_2
e_7 R=F, D=C(O)NH_2
e_8 R=H, D=C(O)NH_2



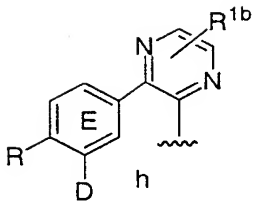
f

f_1 R=F, D=NH_2
f_2 R=H, D=NH_2
f_3 R=F, D=CH_2NH_2
f_4 R=H, D=CH_2NH_2
f_5 R=F, D=C(=NH)NH_2
f_6 R=H, D=C(=NH)NH_2
f_7 R=F, D=C(O)NH_2
f_8 R=H, D=C(O)NH_2



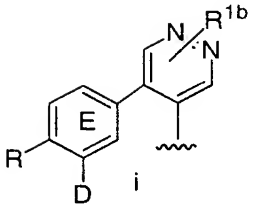
g

g_1 R=F, D=NH_2
g_2 R=H, D=NH_2
g_3 R=F, D=CH_2NH_2
g_4 R=H, D=CH_2NH_2
g_5 R=F, D=C(=NH)NH_2
g_6 R=H, D=C(=NH)NH_2
g_7 R=F, D=C(O)NH_2
g_8 R=H, D=C(O)NH_2



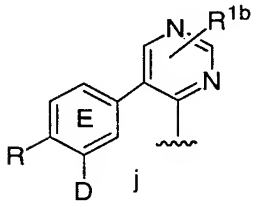
h

h_1 R=F, D=NH_2
h_2 R=H, D=NH_2
h_3 R=F, D=CH_2NH_2
h_4 R=H, D=CH_2NH_2
h_5 R=F, D=C(=NH)NH_2
h_6 R=H, D=C(=NH)NH_2
h_7 R=F, D=C(O)NH_2
h_8 R=H, D=C(O)NH_2



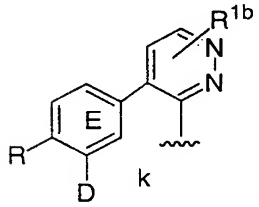
i

i_1 R=F, D=NH_2
i_2 R=H, D=NH_2
i_3 R=F, D=CH_2NH_2
i_4 R=H, D=CH_2NH_2
i_5 R=F, D=C(=NH)NH_2
i_6 R=H, D=C(=NH)NH_2
i_7 R=F, D=C(O)NH_2
i_8 R=H, D=C(O)NH_2



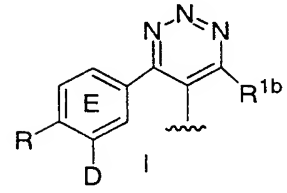
j

j_1 R=F, D=NH_2
j_2 R=H, D=NH_2
j_3 R=F, D=CH_2NH_2
j_4 R=H, D=CH_2NH_2
j_5 R=F, D=C(=NH)NH_2
j_6 R=H, D=C(=NH)NH_2
j_7 R=F, D=C(O)NH_2
j_8 R=H, D=C(O)NH_2



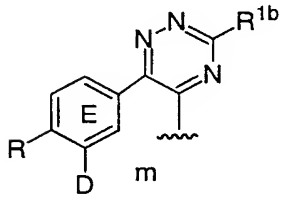
k

k_1 R=F, D=NH_2
k_2 R=H, D=NH_2
k_3 R=F, D=CH_2NH_2
k_4 R=H, D=CH_2NH_2
k_5 R=F, D=C(=NH)NH_2
k_6 R=H, D=C(=NH)NH_2
k_7 R=F, D=C(O)NH_2
k_8 R=H, D=C(O)NH_2



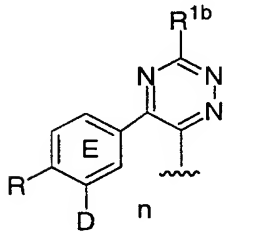
l

l_1 R=F, D=NH_2
l_2 R=H, D=NH_2
l_3 R=F, D=CH_2NH_2
l_4 R=H, D=CH_2NH_2
l_5 R=F, D=C(=NH)NH_2
l_6 R=H, D=C(=NH)NH_2
l_7 R=F, D=C(O)NH_2
l_8 R=H, D=C(O)NH_2



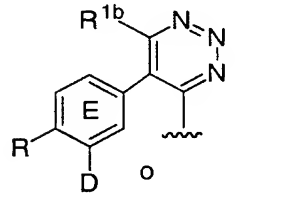
m

m_1 R=F, D=NH_2
m_2 R=H, D=NH_2
m_3 R=F, D=CH_2NH_2
m_4 R=H, D=CH_2NH_2
m_5 R=F, D=C(=NH)NH_2
m_6 R=H, D=C(=NH)NH_2
m_7 R=F, D=C(O)NH_2
m_8 R=H, D=C(O)NH_2



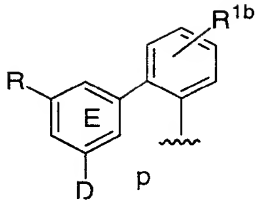
n

n_1 R=F, D=NH_2
n_2 R=H, D=NH_2
n_3 R=F, D=CH_2NH_2
n_4 R=H, D=CH_2NH_2
n_5 R=F, D=C(=NH)NH_2
n_6 R=H, D=C(=NH)NH_2
n_7 R=F, D=C(O)NH_2
n_8 R=H, D=C(O)NH_2



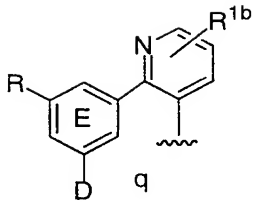
o

o_1 R=F, D=NH_2
o_2 R=H, D=NH_2
o_3 R=F, D=CH_2NH_2
o_4 R=H, D=CH_2NH_2
o_5 R=F, D=C(=NH)NH_2
o_6 R=H, D=C(=NH)NH_2
o_7 R=F, D=C(O)NH_2
o_8 R=H, D=C(O)NH_2



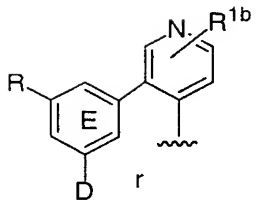
p

p_1 R=F, D=NH_2
p_2 R=Cl, D=NH_2
p_3 R=OMe, D=NH_2
p_4 R=F, D=CH_2NH_2
p_5 R=Cl, D=CH_2NH_2
p_6 R=OMe, D=CH_2NH_2
p_7 R=F, D=C(=NH)NH_2
p_8 R=Cl, D=C(=NH)NH_2
p_9 R=OMe, D=C(=NH)NH_2
p_{10} R=F, D=C(O)NH_2
p_{11} R=Cl, D=C(O)NH_2
p_{12} R=OMe, D=C(O)NH_2



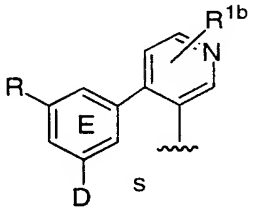
q

q_1 R=F, D=NH_2
q_2 R=Cl, D=NH_2
q_3 R=OMe, D=NH_2
q_4 R=F, D=CH_2NH_2
q_5 R=Cl, D=CH_2NH_2
q_6 R=OMe, D=CH_2NH_2
q_7 R=F, D=C(=NH)NH_2
q_8 R=Cl, D=C(=NH)NH_2
q_9 R=OMe, D=C(=NH)NH_2
q_{10} R=F, D=C(O)NH_2
q_{11} R=Cl, D=C(O)NH_2
q_{12} R=OMe, D=C(O)NH_2



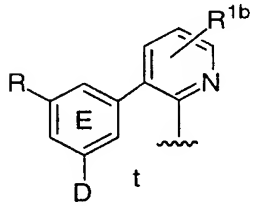
r

r_1 R=F, D=NH_2
r_2 R=Cl, D=NH_2
r_3 R=OMe, D=NH_2
r_4 R=F, D=CH_2NH_2
r_5 R=Cl, D=CH_2NH_2
r_6 R=OMe, D=CH_2NH_2
r_7 R=F, D=C(=NH)NH_2
r_8 R=Cl, D=C(=NH)NH_2
r_9 R=OMe, D=C(=NH)NH_2
r_{10} R=F, D=C(O)NH_2
r_{11} R=Cl, D=C(O)NH_2
r_{12} R=OMe, D=C(O)NH_2



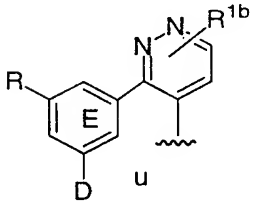
s

s_1 R=F, D=NH_2
s_2 R=Cl, D=NH_2
s_3 R=OMe, D=NH_2
s_4 R=F, D=CH_2NH_2
s_5 R=Cl, D=CH_2NH_2
s_6 R=OMe, D=CH_2NH_2
s_7 R=F, D=C(=NH)NH_2
s_8 R=Cl, D=C(=NH)NH_2
s_9 R=OMe, D=C(=NH)NH_2
s_{10} R=F, D=C(O)NH_2
s_{11} R=Cl, D=C(O)NH_2
s_{12} R=OMe, D=C(O)NH_2



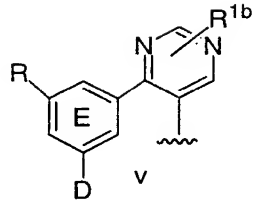
t

t_1 R=F, D=NH_2
t_2 R=Cl, D=NH_2
t_3 R=OMe, D=NH_2
t_4 R=F, D=CH_2NH_2
t_5 R=Cl, D=CH_2NH_2
t_6 R=OMe, D=CH_2NH_2
t_7 R=F, D=C(=NH)NH_2
t_8 R=Cl, D=C(=NH)NH_2
t_9 R=OMe, D=C(=NH)NH_2
t_{10} R=F, D=C(O)NH_2
t_{11} R=Cl, D=C(O)NH_2
t_{12} R=OMe, D=C(O)NH_2



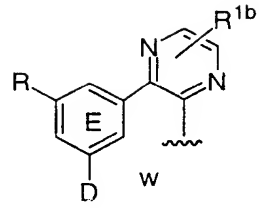
u

u_1 R=F, D=NH_2
u_2 R=Cl, D=NH_2
u_3 R=OMe, D=NH_2
u_4 R=F, D=CH_2NH_2
u_5 R=Cl, D=CH_2NH_2
u_6 R=OMe, D=CH_2NH_2
u_7 R=F, D=C(=NH)NH_2
u_8 R=Cl, D=C(=NH)NH_2
u_9 R=OMe, D=C(=NH)NH_2
u_{10} R=F, D=C(O)NH_2
u_{11} R=Cl, D=C(O)NH_2
u_{12} R=OMe, D=C(O)NH_2



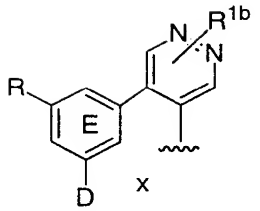
v

v_1 R=F, D=NH_2
v_2 R=Cl, D=NH_2
v_3 R=OMe, D=NH_2
v_4 R=F, D=CH_2NH_2
v_5 R=Cl, D=CH_2NH_2
v_6 R=OMe, D=CH_2NH_2
v_7 R=F, D=C(=NH)NH_2
v_8 R=Cl, D=C(=NH)NH_2
v_9 R=OMe, D=C(=NH)NH_2
v_{10} R=F, D=C(O)NH_2
v_{11} R=Cl, D=C(O)NH_2
v_{12} R=OMe, D=C(O)NH_2



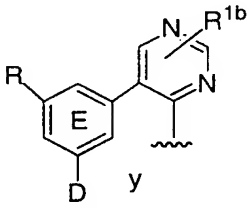
w

w_1 R=F, D=NH_2
w_2 R=Cl, D=NH_2
w_3 R=OMe, D=NH_2
w_4 R=F, D=CH_2NH_2
w_5 R=Cl, D=CH_2NH_2
w_6 R=OMe, D=CH_2NH_2
w_7 R=F, D=C(=NH)NH_2
w_8 R=Cl, D=C(=NH)NH_2
w_9 R=OMe, D=C(=NH)NH_2
w_{10} R=F, D=C(O)NH_2
w_{11} R=Cl, D=C(O)NH_2
w_{12} R=OMe, D=C(O)NH_2



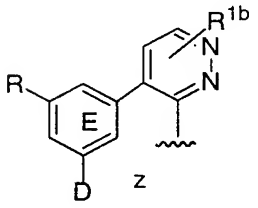
x

x_1 R=F, D=NH_2
x_2 R=Cl, D=NH_2
x_3 R=OMe, D=NH_2
x_4 R=F, D=CH_2NH_2
x_5 R=Cl, D=CH_2NH_2
x_6 R=OMe, D=CH_2NH_2
x_7 R=F, D=C(=NH)NH_2
x_8 R=Cl, D=C(=NH)NH_2
x_9 R=OMe, D=C(=NH)NH_2
x_{10} R=F, D=C(O)NH_2
x_{11} R=Cl, D=C(O)NH_2
x_{12} R=OMe, D=C(O)NH_2



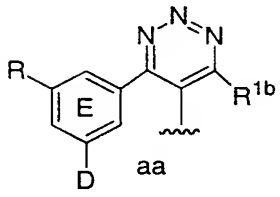
y

y_1 R=F, D=NH_2
y_2 R=Cl, D=NH_2
y_3 R=OMe, D=NH_2
y_4 R=F, D=CH_2NH_2
y_5 R=Cl, D=CH_2NH_2
y_6 R=OMe, D=CH_2NH_2
y_7 R=F, D=C(=NH)NH_2
y_8 R=Cl, D=C(=NH)NH_2
y_9 R=OMe, D=C(=NH)NH_2
y_{10} R=F, D=C(O)NH_2
y_{11} R=Cl, D=C(O)NH_2
y_{12} R=OMe, D=C(O)NH_2



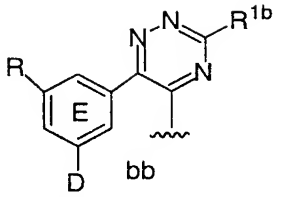
z

z_1 R=F, D=NH_2
z_2 R=Cl, D=NH_2
z_3 R=OMe, D=NH_2
z_4 R=F, D=CH_2NH_2
z_5 R=Cl, D=CH_2NH_2
z_6 R=OMe, D=CH_2NH_2
z_7 R=F, D=C(=NH)NH_2
z_8 R=Cl, D=C(=NH)NH_2
z_9 R=OMe, D=C(=NH)NH_2
z_{10} R=F, D=C(O)NH_2
z_{11} R=Cl, D=C(O)NH_2
z_{12} R=OMe, D=C(O)NH_2



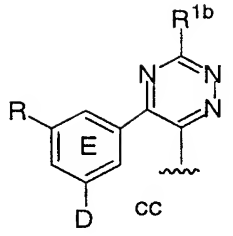
aa

aa_1 R=F, D=NH_2
aa_2 R=Cl, D=NH_2
aa_3 R=OMe, D=NH_2
aa_4 R=F, D=CH_2NH_2
aa_5 R=Cl, D=CH_2NH_2
aa_6 R=OMe, D=CH_2NH_2
aa_7 R=F, D=C(=NH)NH_2
aa_8 R=Cl, D=C(=NH)NH_2
aa_9 R=OMe, D=C(=NH)NH_2
aa_{10} R=F, D=C(O)NH_2
aa_{11} R=Cl, D=C(O)NH_2
aa_{12} R=OMe, D=C(O)NH_2



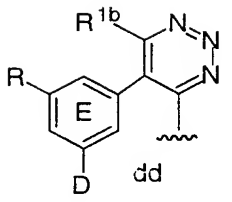
bb

bb_1 R=F, D=NH_2
bb_2 R=Cl, D=NH_2
bb_3 R=OMe, D=NH_2
bb_4 R=F, D=CH_2NH_2
bb_5 R=Cl, D=CH_2NH_2
bb_6 R=OMe, D=CH_2NH_2
bb_7 R=F, D=C(=NH)NH_2
bb_8 R=Cl, D=C(=NH)NH_2
bb_9 R=OMe, D=C(=NH)NH_2
bb_{10} R=F, D=C(O)NH_2
bb_{11} R=Cl, D=C(O)NH_2
bb_{12} R=OMe, D=C(O)NH_2



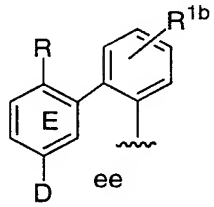
cc

cc_1 R=F, D=NH_2
cc_2 R=Cl, D=NH_2
cc_3 R=OMe, D=NH_2
cc_4 R=F, D=CH_2NH_2
cc_5 R=Cl, D=CH_2NH_2
cc_6 R=OMe, D=CH_2NH_2
cc_7 R=F, D=C(=NH)NH_2
cc_8 R=Cl, D=C(=NH)NH_2
cc_9 R=OMe, D=C(=NH)NH_2
cc_{10} R=F, D=C(O)NH_2
cc_{11} R=Cl, D=C(O)NH_2
cc_{12} R=OMe, D=C(O)NH_2



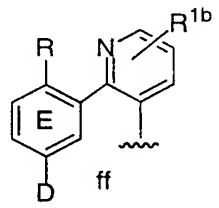
dd

dd_1 R=F, D=NH_2
dd_2 R=Cl, D=NH_2
dd_3 R=OMe, D=NH_2
dd_4 R=F, D=CH_2NH_2
dd_5 R=Cl, D=CH_2NH_2
dd_6 R=OMe, D=CH_2NH_2
dd_7 R=F, D=C(=NH)NH_2
dd_8 R=Cl, D=C(=NH)NH_2
dd_9 R=OMe, D=C(=NH)NH_2
dd_{10} R=F, D=C(O)NH_2
dd_{11} R=Cl, D=C(O)NH_2
dd_{12} R=OMe, D=C(O)NH_2



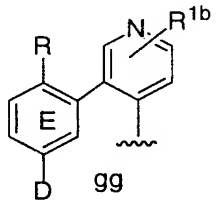
ee

ee_1 R=F, D=CH_2NH_2
ee_2 R=Cl, D=CH_2NH_2
ee_3 R=OMe, D=CH_2NH_2
ee_4 R=CH_2NH_2, D=CH_2NH_2



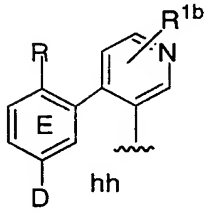
ff

ff_1 R=F, D=CH_2NH_2
ff_2 R=Cl, D=CH_2NH_2
ff_3 R=OMe, D=CH_2NH_2
ff_4 R=CH_2NH_2, D=CH_2NH_2



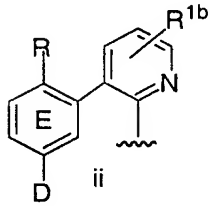
gg

gg_1 R=F, D=CH_2NH_2
gg_2 R=Cl, D=CH_2NH_2
gg_3 R=OMe, D=CH_2NH_2
gg_4 R=CH_2NH_2, D=CH_2NH_2



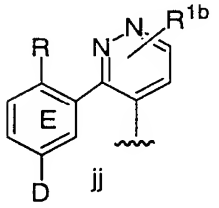
hh

hh_1 R=F, D=CH_2NH_2
hh_2 R=Cl, D=CH_2NH_2
hh_3 R=OMe, D=CH_2NH_2
hh_4 R=CH_2NH_2, D=CH_2NH_2



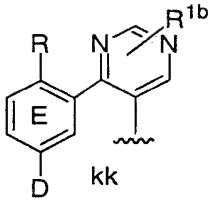
ii

ii_1 R=F, D=CH_2NH_2
ii_2 R=Cl, D=CH_2NH_2
ii_3 R=OMe, D=CH_2NH_2
ii_4 R=CH_2NH_2, D=CH_2NH_2



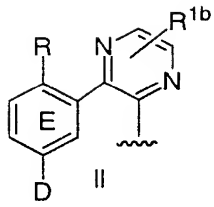
jj

jj_1 R=F, D=CH_2NH_2
jj_2 R=Cl, D=CH_2NH_2
jj_3 R=OMe, D=CH_2NH_2
jj_4 R=CH_2NH_2, D=CH_2NH_2



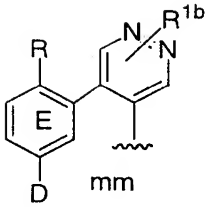
kk

kk_1 R=F, D=CH_2NH_2
kk_2 R=Cl, D=CH_2NH_2
kk_3 R=OMe, D=CH_2NH_2
kk_4 R=CH_2NH_2, D=CH_2NH_2



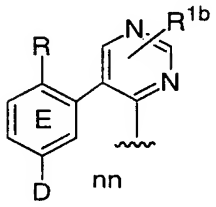
ll

ll_1 R=F, D=CH_2NH_2
ll_2 R=Cl, D=CH_2NH_2
ll_3 R=OMe, D=CH_2NH_2
ll_4 R=CH_2NH_2, D=CH_2NH_2



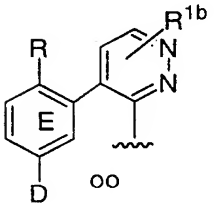
mm

mm_1 R=F, D=CH_2NH_2
mm_2 R=Cl, D=CH_2NH_2
mm_3 R=OMe, D=CH_2NH_2
mm_4 R=CH_2NH_2, D=CH_2NH_2



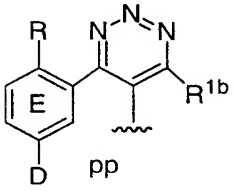
nn

nn_1 R=F, D=CH_2NH_2
nn_2 R=Cl, D=CH_2NH_2
nn_3 R=OMe, D=CH_2NH_2
nn_4 R=CH_2NH_2, D=CH_2NH_2



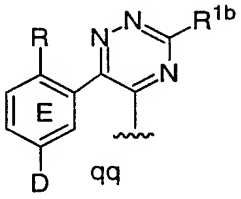
oo

oo_1 R=F, D=CH_2NH_2
oo_2 R=Cl, D=CH_2NH_2
oo_3 R=OMe, D=CH_2NH_2
oo_4 R=CH_2NH_2, D=CH_2NH_2



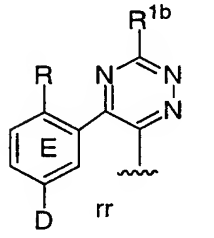
pp

pp_1 R=F, D=CH_2NH_2
pp_2 R=Cl, D=CH_2NH_2
pp_3 R=OMe, D=CH_2NH_2
pp_4 R=CH_2NH_2, D=CH_2NH_2



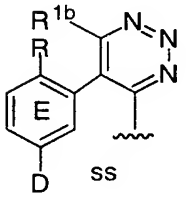
qq

qq_1 R=F, D=CH_2NH_2
qq_2 R=Cl, D=CH_2NH_2
qq_3 R=OMe, D=CH_2NH_2
qq_4 R=CH_2NH_2, D=CH_2NH_2



rr

rr_1 R=F, D=CH_2NH_2
rr_2 R=Cl, D=CH_2NH_2
rr_3 R=OMe, D=CH_2NH_2
rr_4 R=CH_2NH_2, D=CH_2NH_2



ss

ss_1 R=F, D=CH_2NH_2
ss_2 R=Cl, D=CH_2NH_2
ss_3 R=OMe, D=CH_2NH_2
ss_4 R=CH_2NH_2, D=CH_2NH_2

Ex #	R^{1b}	A	B
1	H	phenyl	2-(aminosulfonyl)phenyl
2	H	phenyl	2-(methylaminosulfonyl)phenyl
3	H	phenyl	1-pyrrolidinocarbonyl
4	H	phenyl	2-(methylsulfonyl)phenyl
5	H	phenyl	4-morpholino
6	H	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
7	H	phenyl	4-morpholinocarbonyl
8	H	2-pyridyl	2-(aminosulfonyl)phenyl
9	H	2-pyridyl	2-(methylaminosulfonyl)phenyl
10	H	2-pyridyl	1-pyrrolidinocarbonyl
11	H	2-pyridyl	2-(methylsulfonyl)phenyl
12	H	2-pyridyl	4-morpholino
13	H	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl

14	H	2-pyridyl	4-morpholinocarbonyl
15	H	3-pyridyl	2-(aminosulfonyl)phenyl
16	H	3-pyridyl	2-(methylaminosulfonyl)phenyl
17	H	3-pyridyl	1-pyrrolidinocarbonyl
18	H	3-pyridyl	2-(methylsulfonyl)phenyl
19	H	3-pyridyl	4-morpholino
20	H	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
21	H	3-pyridyl	4-morpholinocarbonyl
22	H	2-pyrimidyl	2-(aminosulfonyl)phenyl
23	H	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
24	H	2-pyrimidyl	1-pyrrolidinocarbonyl
25	H	2-pyrimidyl	2-(methylsulfonyl)phenyl
26	H	2-pyrimidyl	4-morpholino
27	H	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
28	H	2-pyrimidyl	4-morpholinocarbonyl
29	H	5-pyrimidyl	2-(aminosulfonyl)phenyl
30	H	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
31	H	5-pyrimidyl	1-pyrrolidinocarbonyl
32	H	5-pyrimidyl	2-(methylsulfonyl)phenyl
33	H	5-pyrimidyl	4-morpholino
34	H	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
35	H	5-pyrimidyl	4-morpholinocarbonyl
36	H	2-Cl-phenyl	2-(aminosulfonyl)phenyl
37	H	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
38	H	2-Cl-phenyl	1-pyrrolidinocarbonyl
39	H	2-Cl-phenyl	2-(methylsulfonyl)phenyl
40	H	2-Cl-phenyl	4-morpholino
41	H	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
42	H	2-Cl-phenyl	4-morpholinocarbonyl
43	H	2-F-phenyl	2-(aminosulfonyl)phenyl
44	H	2-F-phenyl	2-(methylaminosulfonyl)phenyl
45	H	2-F-phenyl	1-pyrrolidinocarbonyl
46	H	2-F-phenyl	2-(methylsulfonyl)phenyl
47	H	2-F-phenyl	4-morpholino
48	H	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
49	H	2-F-phenyl	4-morpholinocarbonyl
50	H	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
51	H	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
52	H	2,5-diF-phenyl	1-pyrrolidinocarbonyl
53	H	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
54	H	2,5-diF-phenyl	4-morpholino
55	H	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
56	H	2,5-diF-phenyl	4-morpholinocarbonyl
57	H	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
58	H	phenyl	2-(N-piperidinyl-methyl)phenyl
59	H	phenyl	2-(N-morpholino-methyl)phenyl
60	H	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
61	H	phenyl	2-(N-pyridinium-methyl)phenyl
62	H	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
63	H	phenyl	2-(N-azatanyl-methyl)phenyl
64	H	phenyl	2-(N-azetidinyl-methyl)phenyl
65	H	phenyl	2-(N-piperazinyl-methyl)phenyl
66	H	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl

67	H	phenyl	2-(N-imidazolyl-methyl)phenyl
68	H	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
69	H	phenyl	2-(N-pyridonyl-methyl)phenyl
70	H	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
71	H	phenyl	2-(amidinyl)phenyl
72	H	phenyl	2-(N-guanidinyl)phenyl
73	H	phenyl	2-(imidazolyl)phenyl
74	H	phenyl	2-(imidazolidinyl)phenyl
75	H	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
76	H	phenyl	2-(2-pyrrolidinyl)phenyl
77	H	phenyl	2-(2-piperidinyl)phenyl
78	H	phenyl	2-(amidinyl-methyl)phenyl
79	H	phenyl	2-(2-imidazolidinyl-methyl)phenyl
80	H	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
81	H	phenyl	2-dimethylaminoimidazol-1-yl
82	H	phenyl	2-(3-aminophenyl)
83	H	phenyl	2-(3-pyrrolidinylcarbonyl)
84	H	phenyl	2-glycinoyl
85	H	phenyl	2-(imidazol-1-ylacetyl)
86	H	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
87	H	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
88	H	2-pyridyl	2-(N-morpholino-methyl)phenyl
89	H	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
90	H	2-pyridyl	2-(N-pyridinium-methyl)phenyl
91	H	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
92	H	2-pyridyl	2-(N-azatanyl-methyl)phenyl
93	H	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
94	H	2-pyridyl	2-(N-piperazinyl-methyl)phenyl
95	H	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
96	H	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
97	H	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
98	H	2-pyridyl	2-(N-pyridonyl-methyl)phenyl
99	H	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
100	H	2-pyridyl	2-(amidinyl)phenyl
101	H	2-pyridyl	2-(N-guanidinyl)phenyl
102	H	2-pyridyl	2-(imidazolyl)phenyl
103	H	2-pyridyl	2-(imidazolidinyl)phenyl
104	H	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
105	H	2-pyridyl	2-(2-pyrrolidinyl)phenyl
106	H	2-pyridyl	2-(2-piperidinyl)phenyl
107	H	2-pyridyl	2-(amidinyl-methyl)phenyl
108	H	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
109	H	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl

110	H	2-pyridyl	2-dimethylaminoimidazol-1-yl
111	H	2-pyridyl	2-(3-aminophenyl)
112	H	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
113	H	2-pyridyl	2-glycinoyl
114	H	2-pyridyl	2-(imidazol-1-ylacetyl)
115	H	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
116	H	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
117	H	3-pyridyl	2-(N-morpholino-methyl)phenyl
118	H	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
119	H	3-pyridyl	2-(N-pyridinium-methyl)phenyl
120	H	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
121	H	3-pyridyl	2-(N-azatanyl-methyl)phenyl
122	H	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
123	H	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
124	H	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
125	H	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
126	H	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
127	H	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
128	H	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
129	H	3-pyridyl	2-(amidinyl)phenyl
130	H	3-pyridyl	2-(N-guanidinyl)phenyl
131	H	3-pyridyl	2-(imidazolyl)phenyl
132	H	3-pyridyl	2-(imidazolidinyl)phenyl
133	H	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
134	H	3-pyridyl	2-(2-pyrrolidinyl)phenyl
135	H	3-pyridyl	2-(2-piperidinyl)phenyl
136	H	3-pyridyl	2-(amidinyl-methyl)phenyl
137	H	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
138	H	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
139	H	3-pyridyl	2-dimethylaminoimidazol-1-yl
140	H	3-pyridyl	2-(3-aminophenyl)
141	H	3-pyridyl	2-(3-pyrrolidinylcarbonyl)
142	H	3-pyridyl	2-glycinoyl
143	H	3-pyridyl	2-(imidazol-1-ylacetyl)
144	H	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
145	H	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
146	H	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
147	H	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
148	H	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
149	H	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
150	H	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
151	H	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
152	H	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
153	H	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
154	H	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl

155	H	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
156	H	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
157	H	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
158	H	2-pyrimidyl	2-(amidinyl)phenyl
159	H	2-pyrimidyl	2-(N-guanidinyl)phenyl
160	H	2-pyrimidyl	2-(imidazolyl)phenyl
161	H	2-pyrimidyl	2-(imidazolidinyl)phenyl
162	H	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
163	H	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
164	H	2-pyrimidyl	2-(2-piperidinyl)phenyl
165	H	2-pyrimidyl	2-(amidinyl-methyl)phenyl
166	H	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
167	H	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
168	H	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
169	H	2-pyrimidyl	2-(3-aminophenyl)
170	H	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
171	H	2-pyrimidyl	2-glycinoyl
172	H	2-pyrimidyl	2-(imidazol-1-ylacetyl)
173	H	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
174	H	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
175	H	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
176	H	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
177	H	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
178	H	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
179	H	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
180	H	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
181	H	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
182	H	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
183	H	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
184	H	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
185	H	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
186	H	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
187	H	2-Cl-phenyl	2-(amidinyl)phenyl
188	H	2-Cl-phenyl	2-(N-guanidinyl)phenyl
189	H	2-Cl-phenyl	2-(imidazolyl)phenyl
190	H	2-Cl-phenyl	2-(imidazolidinyl)phenyl
191	H	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
192	H	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
193	H	2-Cl-phenyl	2-(2-piperidinyl)phenyl
194	H	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
195	H	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
196	H	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
197	H	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl

198	H	2-Cl-phenyl	2-(3-aminophenyl)
199	H	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
200	H	2-Cl-phenyl	2-glycinoyl
201	H	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
202	H	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
203	H	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
204	H	2-F-phenyl	2-(N-morpholino-methyl)phenyl
205	H	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
206	H	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
207	H	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
208	H	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
209	H	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
210	H	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
211	H	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
212	H	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
213	H	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
214	H	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
215	H	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
216	H	2-F-phenyl	2-(amidinyl)phenyl
217	H	2-F-phenyl	2-(N-guanidinyl)phenyl
218	H	2-F-phenyl	2-(imidazolyl)phenyl
219	H	2-F-phenyl	2-(imidazolidinyl)phenyl
220	H	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
221	H	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
222	H	2-F-phenyl	2-(2-piperidinyl)phenyl
223	H	2-F-phenyl	2-(amidinyl-methyl)phenyl
224	H	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl
225	H	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
226	H	2-F-phenyl	2-dimethylaminoimidazol-1-yl
227	H	2-F-phenyl	2-(3-aminophenyl)
228	H	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
229	H	2-F-phenyl	2-glycinoyl
230	H	2-F-phenyl	2-(imidazol-1-ylacetyl)
231	H	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
232	H	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
233	H	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
234	H	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
235	H	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
236	H	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
237	H	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
238	H	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
239	H	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
240	H	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
241	H	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
242	H	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-

				methyl)phenyl
	243	H	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
	244	H	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	245	H	2,5-diF-phenyl	2-(amidinyl)phenyl
	246	H	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
	247	H	2,5-diF-phenyl	2-(imidazolyl)phenyl
	248	H	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
	249	H	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	250	H	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
	251	H	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
	252	H	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
	253	H	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	254	H	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	255	H	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
	256	H	2,5-diF-phenyl	2-(3-aminophenyl)
	257	H	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
	258	H	2,5-diF-phenyl	2-glycinoyl
	259	H	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
	260	-CN	phenyl	2-(aminosulfonyl)phenyl
	261	-CN	phenyl	2-(methylaminosulfonyl)phenyl
	262	-CN	phenyl	1-pyrrolidinocarbonyl
	263	-CN	phenyl	2-(methylsulfonyl)phenyl
	264	-CN	phenyl	4-morpholino
	265	-CN	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	266	-CN	phenyl	4-morpholinocarbonyl
	267	-CN	2-pyridyl	2-(aminosulfonyl)phenyl
	268	-CN	2-pyridyl	2-(methylaminosulfonyl)phenyl
	269	-CN	2-pyridyl	1-pyrrolidinocarbonyl
	270	-CN	2-pyridyl	2-(methylsulfonyl)phenyl
	271	-CN	2-pyridyl	4-morpholino
	272	-CN	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	273	-CN	2-pyridyl	4-morpholinocarbonyl
	274	-CN	3-pyridyl	2-(aminosulfonyl)phenyl
	275	-CN	3-pyridyl	2-(methylaminosulfonyl)phenyl
	276	-CN	3-pyridyl	1-pyrrolidinocarbonyl
	277	-CN	3-pyridyl	2-(methylsulfonyl)phenyl
	278	-CN	3-pyridyl	4-morpholino
	279	-CN	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	280	-CN	3-pyridyl	4-morpholinocarbonyl
	281	-CN	2-pyrimidyl	2-(aminosulfonyl)phenyl
	282	-CN	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	283	-CN	2-pyrimidyl	1-pyrrolidinocarbonyl
	284	-CN	2-pyrimidyl	2-(methylsulfonyl)phenyl
	285	-CN	2-pyrimidyl	4-morpholino
	286	-CN	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	287	-CN	2-pyrimidyl	4-morpholinocarbonyl
	288	-CN	5-pyrimidyl	2-(aminosulfonyl)phenyl
	289	-CN	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	290	-CN	5-pyrimidyl	1-pyrrolidinocarbonyl
	291	-CN	5-pyrimidyl	2-(methylsulfonyl)phenyl
	292	-CN	5-pyrimidyl	4-morpholino
	293	-CN	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl

294	-CN	5-pyrimidyl	4-morpholinocarbonyl
295	-CN	2-Cl-phenyl	2-(aminosulfonyl)phenyl
296	-CN	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
297	-CN	2-Cl-phenyl	1-pyrrolidinocarbonyl
298	-CN	2-Cl-phenyl	2-(methylsulfonyl)phenyl
299	-CN	2-Cl-phenyl	4-morpholino
300	-CN	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
301	-CN	2-Cl-phenyl	4-morpholinocarbonyl
302	-CN	2-F-phenyl	2-(aminosulfonyl)phenyl
303	-CN	2-F-phenyl	2-(methylaminosulfonyl)phenyl
304	-CN	2-F-phenyl	1-pyrrolidinocarbonyl
305	-CN	2-F-phenyl	2-(methylsulfonyl)phenyl
306	-CN	2-F-phenyl	4-morpholino
307	-CN	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
308	-CN	2-F-phenyl	4-morpholinocarbonyl
309	-CN	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
310	-CN	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
311	-CN	2,5-diF-phenyl	1-pyrrolidinocarbonyl
312	-CN	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
313	-CN	2,5-diF-phenyl	4-morpholino
314	-CN	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
315	-CN	2,5-diF-phenyl	4-morpholinocarbonyl
316	-CN	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
317	-CN	phenyl	2-(N-piperidinyl-methyl)phenyl
318	-CN	phenyl	2-(N-morpholino-methyl)phenyl
319	-CN	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
320	-CN	phenyl	2-(N-pyridinium-methyl)phenyl
321	-CN	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
322	-CN	phenyl	2-(N-azatanyl-methyl)phenyl
323	-CN	phenyl	2-(N-azetidinyl-methyl)phenyl
324	-CN	phenyl	2-(N-piperazinyl-methyl)phenyl
325	-CN	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
326	-CN	phenyl	2-(N-imidazolyl-methyl)phenyl
327	-CN	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
328	-CN	phenyl	2-(N-pyridonyl-methyl)phenyl
329	-CN	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
330	-CN	phenyl	2-(amidinyl)phenyl
331	-CN	phenyl	2-(N-guanidinyl)phenyl
332	-CN	phenyl	2-(imidazolyl)phenyl
333	-CN	phenyl	2-(imidazolidinyl)phenyl
334	-CN	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
335	-CN	phenyl	2-(2-pyrrolidinyl)phenyl
336	-CN	phenyl	2-(2-piperidinyl)phenyl
337	-CN	phenyl	2-(amidinyl-methyl)phenyl
338	-CN	phenyl	2-(2-imidazolidinyl-methyl)phenyl
339	-CN	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
340	-CN	phenyl	2-dimethylaminoimidazol-1-yl
341	-CN	phenyl	2-(3-aminophenyl)

	342	-CN	phenyl	2-(3-pyrrolidinylcarbonyl)
	343	-CN	phenyl	2-glycinoyl
	344	-CN	phenyl	2-(imidazol-1-ylacetyl)
	345	-CN	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
5	346	-CN	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
	347	-CN	2-pyridyl	2-(N-morpholino-methyl)phenyl
	348	-CN	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	349	-CN	2-pyridyl	2-(N-pyridinium-methyl)phenyl
10	350	-CN	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	351	-CN	2-pyridyl	2-(N-azatanyl-methyl)phenyl
	352	-CN	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
	353	-CN	2-pyridyl	2-(N-piperazinyl-methyl)phenyl
15	354	-CN	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	355	-CN	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
	356	-CN	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
20	357	-CN	2-pyridyl	2-(N-pyridonyl-methyl)phenyl
	358	-CN	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	359	-CN	2-pyridyl	2-(amidinyl)phenyl
	360	-CN	2-pyridyl	2-(N-guanidinyl)phenyl
25	361	-CN	2-pyridyl	2-(imidazolyl)phenyl
	362	-CN	2-pyridyl	2-(imidazolidinyl)phenyl
	363	-CN	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	364	-CN	2-pyridyl	2-(2-pyrrolidinyl)phenyl
30	365	-CN	2-pyridyl	2-(2-piperidinyl)phenyl
	366	-CN	2-pyridyl	2-(amidinyl-methyl)phenyl
	367	-CN	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	368	-CN	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
35				
	369	-CN	2-pyridyl	2-dimethylaminoimidazol-1-yl
	370	-CN	2-pyridyl	2-(3-aminophenyl)
	371	-CN	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
	372	-CN	2-pyridyl	2-glycinoyl
40	373	-CN	2-pyridyl	2-(imidazol-1-ylacetyl)
	374	-CN	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	375	-CN	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
	376	-CN	3-pyridyl	2-(N-morpholino-methyl)phenyl
	377	-CN	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
45				
	378	-CN	3-pyridyl	2-(N-pyridinium-methyl)phenyl
	379	-CN	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	380	-CN	3-pyridyl	2-(N-azatanyl-methyl)phenyl
50	381	-CN	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
	382	-CN	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
	383	-CN	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	384	-CN	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
55	385	-CN	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl

386	-CN	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
387	-CN	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
388	-CN	3-pyridyl	2-(amidinyl)phenyl
389	-CN	3-pyridyl	2-(N-guanidinyl)phenyl
390	-CN	3-pyridyl	2-(imidazolyl)phenyl
391	-CN	3-pyridyl	2-(imidazolidinyl)phenyl
392	-CN	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
393	-CN	3-pyridyl	2-(2-pyrrolidinyl)phenyl
394	-CN	3-pyridyl	2-(2-piperidinyl)phenyl
395	-CN	3-pyridyl	2-(amidinyl-methyl)phenyl
396	-CN	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
397	-CN	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
398	-CN	3-pyridyl	2-dimethylaminoimidazol-1-yl
399	-CN	3-pyridyl	2-(3-aminophenyl)
400	-CN	3-pyridyl	2-(3-pyrrolidinylcarbonyl)
401	-CN	3-pyridyl	2-glycinoyl
402	-CN	3-pyridyl	2-(imidazol-1-ylacetyl)
403	-CN	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
404	-CN	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
405	-CN	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
406	-CN	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
407	-CN	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
408	-CN	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
409	-CN	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
410	-CN	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
411	-CN	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
412	-CN	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
413	-CN	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
414	-CN	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
415	-CN	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
416	-CN	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
417	-CN	2-pyrimidyl	2-(amidinyl)phenyl
418	-CN	2-pyrimidyl	2-(N-guanidinyl)phenyl
419	-CN	2-pyrimidyl	2-(imidazolyl)phenyl
420	-CN	2-pyrimidyl	2-(imidazolidinyl)phenyl
421	-CN	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
422	-CN	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
423	-CN	2-pyrimidyl	2-(2-piperidinyl)phenyl
424	-CN	2-pyrimidyl	2-(amidinyl-methyl)phenyl
425	-CN	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
426	-CN	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
427	-CN	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
428	-CN	2-pyrimidyl	2-(3-aminophenyl)
429	-CN	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)

430	-CN	2-pyrimidyl	2-glycinoyl
431	-CN	2-pyrimidyl	2-(imidazol-1-ylacetyl)
432	-CN	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
433	-CN	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
434	-CN	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
435	-CN	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
436	-CN	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
437	-CN	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
438	-CN	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
439	-CN	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
440	-CN	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
441	-CN	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
442	-CN	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
443	-CN	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
444	-CN	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
445	-CN	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
446	-CN	2-Cl-phenyl	2-(amidinyl)phenyl
447	-CN	2-Cl-phenyl	2-(N-guanidinyl)phenyl
448	-CN	2-Cl-phenyl	2-(imidazolyl)phenyl
449	-CN	2-Cl-phenyl	2-(imidazolidinyl)phenyl
450	-CN	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
451	-CN	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
452	-CN	2-Cl-phenyl	2-(2-piperidinyl)phenyl
453	-CN	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
454	-CN	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
455	-CN	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
456	-CN	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
457	-CN	2-Cl-phenyl	2-(3-aminophenyl)
458	-CN	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
459	-CN	2-Cl-phenyl	2-glycinoyl
460	-CN	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
461	-CN	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
462	-CN	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
463	-CN	2-F-phenyl	2-(N-morpholino-methyl)phenyl
464	-CN	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
465	-CN	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
466	-CN	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
467	-CN	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
468	-CN	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
469	-CN	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
470	-CN	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
471	-CN	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
472	-CN	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
473	-CN	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl

474	-CN	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
475	-CN	2-F-phenyl	2-(amidinyl)phenyl
476	-CN	2-F-phenyl	2-(N-guanidinyl)phenyl
477	-CN	2-F-phenyl	2-(imidazolyl)phenyl
478	-CN	2-F-phenyl	2-(imidazolidinyl)phenyl
479	-CN	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
480	-CN	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
481	-CN	2-F-phenyl	2-(2-piperidinyl)phenyl
482	-CN	2-F-phenyl	2-(amidinyl-methyl)phenyl
483	-CN	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl
484	-CN	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
485	-CN	2-F-phenyl	2-dimethylaminoimidazol-1-yl
486	-CN	2-F-phenyl	2-(3-aminophenyl)
487	-CN	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
488	-CN	2-F-phenyl	2-glycinoyl
489	-CN	2-F-phenyl	2-(imidazol-1-ylacetyl)
490	-CN	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
491	-CN	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
492	-CN	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
493	-CN	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
494	-CN	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
495	-CN	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
496	-CN	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
497	-CN	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
498	-CN	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
499	-CN	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
500	-CN	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
501	-CN	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
502	-CN	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
503	-CN	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
504	-CN	2,5-diF-phenyl	2-(amidinyl)phenyl
505	-CN	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
506	-CN	2,5-diF-phenyl	2-(imidazolyl)phenyl
507	-CN	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
508	-CN	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
509	-CN	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
510	-CN	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
511	-CN	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
512	-CN	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
513	-CN	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
514	-CN	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
515	-CN	2,5-diF-phenyl	2-(3-aminophenyl)
516	-CN	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
517	-CN	2,5-diF-phenyl	2-glycinoyl

518	-CN	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
519	CF_3	phenyl	2-(aminosulfonyl)phenyl
520	CF_3	phenyl	2-(methylaminosulfonyl)phenyl
521	CF_3	phenyl	1-pyrrolidinocarbonyl
522	CF_3	phenyl	2-(methylsulfonyl)phenyl
523	CF_3	phenyl	4-morpholino
524	CF_3	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
525	CF_3	phenyl	4-morpholinocarbonyl
526	CF_3	2-pyridyl	2-(aminosulfonyl)phenyl
527	CF_3	2-pyridyl	2-(methylaminosulfonyl)phenyl
528	CF_3	2-pyridyl	1-pyrrolidinocarbonyl
529	CF_3	2-pyridyl	2-(methylsulfonyl)phenyl
530	CF_3	2-pyridyl	4-morpholino
531	CF_3	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
532	CF_3	2-pyridyl	4-morpholinocarbonyl
533	CF_3	3-pyridyl	2-(aminosulfonyl)phenyl
534	CF_3	3-pyridyl	2-(methylaminosulfonyl)phenyl
535	CF_3	3-pyridyl	1-pyrrolidinocarbonyl
536	CF_3	3-pyridyl	2-(methylsulfonyl)phenyl
537	CF_3	3-pyridyl	4-morpholino
538	CF_3	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
539	CF_3	3-pyridyl	4-morpholinocarbonyl
540	CF_3	2-pyrimidyl	2-(aminosulfonyl)phenyl
541	CF_3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
542	CF_3	2-pyrimidyl	1-pyrrolidinocarbonyl
543	CF_3	2-pyrimidyl	2-(methylsulfonyl)phenyl
544	CF_3	2-pyrimidyl	4-morpholino
545	CF_3	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
546	CF_3	2-pyrimidyl	4-morpholinocarbonyl
547	CF_3	5-pyrimidyl	2-(aminosulfonyl)phenyl
548	CF_3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
549	CF_3	5-pyrimidyl	1-pyrrolidinocarbonyl
550	CF_3	5-pyrimidyl	2-(methylsulfonyl)phenyl
551	CF_3	5-pyrimidyl	4-morpholino
552	CF_3	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
553	CF_3	5-pyrimidyl	4-morpholinocarbonyl
554	CF_3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
555	CF_3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
556	CF_3	2-Cl-phenyl	1-pyrrolidinocarbonyl
557	CF_3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
558	CF_3	2-Cl-phenyl	4-morpholino
559	CF_3	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
560	CF_3	2-Cl-phenyl	4-morpholinocarbonyl
561	CF_3	2-F-phenyl	2-(aminosulfonyl)phenyl
562	CF_3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
563	CF_3	2-F-phenyl	1-pyrrolidinocarbonyl
564	CF_3	2-F-phenyl	2-(methylsulfonyl)phenyl
565	CF_3	2-F-phenyl	4-morpholino
566	CF_3	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
567	CF_3	2-F-phenyl	4-morpholinocarbonyl
568	CF_3	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
569	CF_3	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl

	570	CF_3	2,5-diF-phenyl	1-pyrrolidinocarbonyl
	571	CF_3	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
	572	CF_3	2,5-diF-phenyl	4-morpholino
	573	CF_3	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
5	574	CF_3	2,5-diF-phenyl	4-morpholinocarbonyl
	575	CF_3	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	576	CF_3	phenyl	2-(N-piperidinyl-methyl)phenyl
	577	CF_3	phenyl	2-(N-morpholino-methyl)phenyl
	578	CF_3	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
10				
	579	CF_3	phenyl	2-(N-pyridinium-methyl)phenyl
	580	CF_3	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	581	CF_3	phenyl	2-(N-azatanyl-methyl)phenyl
15	582	CF_3	phenyl	2-(N-azetidinyl-methyl)phenyl
	583	CF_3	phenyl	2-(N-piperazinyl-methyl)phenyl
	584	CF_3	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	585	CF_3	phenyl	2-(N-imidazolyl-methyl)phenyl
20	586	CF_3	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	587	CF_3	phenyl	2-(N-pyridonyl-methyl)phenyl
	588	CF_3	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
25	589	CF_3	phenyl	2-(amidinyl)phenyl
	590	CF_3	phenyl	2-(N-guanidinyl)phenyl
	591	CF_3	phenyl	2-(imidazolyl)phenyl
	592	CF_3	phenyl	2-(imidazolidinyl)phenyl
	593	CF_3	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
30				
	594	CF_3	phenyl	2-(2-pyrrolidinyl)phenyl
	595	CF_3	phenyl	2-(2-piperidinyl)phenyl
	596	CF_3	phenyl	2-(amidinyl-methyl)phenyl
	597	CF_3	phenyl	2-(2-imidazolidinyl-methyl)phenyl
35				
	598	CF_3	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	599	CF_3	phenyl	2-dimethylaminoimidazol-1-yl
	600	CF_3	phenyl	2-(3-aminophenyl)
40	601	CF_3	phenyl	2-(3-pyrrolidinylcarbonyl)
	602	CF_3	phenyl	2-glycinoyl
	603	CF_3	phenyl	2-(imidazol-1-ylacetyl)
	604	CF_3	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	605	CF_3	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
45	606	CF_3	2-pyridyl	2-(N-morpholino-methyl)phenyl
	607	CF_3	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	608	CF_3	2-pyridyl	2-(N-pyridinium-methyl)phenyl
	609	CF_3	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
50				
	610	CF_3	2-pyridyl	2-(N-azatanyl-methyl)phenyl
	611	CF_3	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
	612	CF_3	2-pyridyl	2-(N-piperazinyl-methyl)phenyl

	613	CF_3	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	614	CF_3	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
	615	CF_3	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	616	CF_3	2-pyridyl	2-(N-pyridonyl-methyl)phenyl
	617	CF_3	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	618	CF_3	2-pyridyl	2-(amidinyl)phenyl
	619	CF_3	2-pyridyl	2-(N-guanidinyl)phenyl
	620	CF_3	2-pyridyl	2-(imidazolyl)phenyl
	621	CF_3	2-pyridyl	2-(imidazolidinyl)phenyl
	622	CF_3	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	623	CF_3	2-pyridyl	2-(2-pyrrolidinyl)phenyl
	624	CF_3	2-pyridyl	2-(2-piperidinyl)phenyl
	625	CF_3	2-pyridyl	2-(amidinyl-methyl)phenyl
	626	CF_3	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	627	CF_3	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	628	CF_3	2-pyridyl	2-dimethylaminoimidazol-1-yl
	629	CF_3	2-pyridyl	2-(3-aminophenyl)
	630	CF_3	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
	631	CF_3	2-pyridyl	2-glycinoyl
	632	CF_3	2-pyridyl	2-(imidazol-1-ylacetyl)
	633	CF_3	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	634	CF_3	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
	635	CF_3	3-pyridyl	2-(N-morpholino-methyl)phenyl
	636	CF_3	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	637	CF_3	3-pyridyl	2-(N-pyridinium-methyl)phenyl
	638	CF_3	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	639	CF_3	3-pyridyl	2-(N-azatanyl-methyl)phenyl
	640	CF_3	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
	641	CF_3	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
	642	CF_3	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	643	CF_3	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
	644	CF_3	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	645	CF_3	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
	646	CF_3	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	647	CF_3	3-pyridyl	2-(amidinyl)phenyl
	648	CF_3	3-pyridyl	2-(N-guanidinyl)phenyl
	649	CF_3	3-pyridyl	2-(imidazolyl)phenyl
	650	CF_3	3-pyridyl	2-(imidazolidinyl)phenyl
	651	CF_3	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	652	CF_3	3-pyridyl	2-(2-pyrrolidinyl)phenyl
	653	CF_3	3-pyridyl	2-(2-piperidinyl)phenyl

654	CF_3	3-pyridyl	2-(amidinyl-methyl)phenyl
655	CF_3	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
656	CF_3	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
657	CF_3	3-pyridyl	2-dimethylaminoimidazol-1-yl
658	CF_3	3-pyridyl	2-(3-aminophenyl)
659	CF_3	3-pyridyl	2-(3-pyrrolidinylcarbonyl)
660	CF_3	3-pyridyl	2-glycinoyl
661	CF_3	3-pyridyl	2-(imidazol-1-ylacetyl)
662	CF_3	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
663	CF_3	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
664	CF_3	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
665	CF_3	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
666	CF_3	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
667	CF_3	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
668	CF_3	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
669	CF_3	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
670	CF_3	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
671	CF_3	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
672	CF_3	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
673	CF_3	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
674	CF_3	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
675	CF_3	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
676	CF_3	2-pyrimidyl	2-(amidinyl)phenyl
677	CF_3	2-pyrimidyl	2-(N-guanidinyl)phenyl
678	CF_3	2-pyrimidyl	2-(imidazolyl)phenyl
679	CF_3	2-pyrimidyl	2-(imidazolidinyl)phenyl
680	CF_3	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
681	CF_3	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
682	CF_3	2-pyrimidyl	2-(2-piperidinyl)phenyl
683	CF_3	2-pyrimidyl	2-(amidinyl-methyl)phenyl
684	CF_3	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
685	CF_3	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
686	CF_3	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
687	CF_3	2-pyrimidyl	2-(3-aminophenyl)
688	CF_3	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
689	CF_3	2-pyrimidyl	2-glycinoyl
690	CF_3	2-pyrimidyl	2-(imidazol-1-ylacetyl)
691	CF_3	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
692	CF_3	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
693	CF_3	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
694	CF_3	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
695	CF_3	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl

696	CF_3	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
697	CF_3	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
698	CF_3	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
699	CF_3	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
700	CF_3	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
701	CF_3	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
702	CF_3	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
703	CF_3	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
704	CF_3	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
705	CF_3	2-Cl-phenyl	2-(amidinyl)phenyl
706	CF_3	2-Cl-phenyl	2-(N-guanidinyl)phenyl
707	CF_3	2-Cl-phenyl	2-(imidazolyl)phenyl
708	CF_3	2-Cl-phenyl	2-(imidazolidinyl)phenyl
709	CF_3	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
710	CF_3	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
711	CF_3	2-Cl-phenyl	2-(2-piperidinyl)phenyl
712	CF_3	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
713	CF_3	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
714	CF_3	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
715	CF_3	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
716	CF_3	2-Cl-phenyl	2-(3-aminophenyl)
717	CF_3	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
718	CF_3	2-Cl-phenyl	2-glycinoyl
719	CF_3	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
720	CF_3	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
721	CF_3	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
722	CF_3	2-F-phenyl	2-(N-morpholino-methyl)phenyl
723	CF_3	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
724	CF_3	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
725	CF_3	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
726	CF_3	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
727	CF_3	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
728	CF_3	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
729	CF_3	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
730	CF_3	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
731	CF_3	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
732	CF_3	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
733	CF_3	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
734	CF_3	2-F-phenyl	2-(amidinyl)phenyl
735	CF_3	2-F-phenyl	2-(N-guanidinyl)phenyl
736	CF_3	2-F-phenyl	2-(imidazolyl)phenyl

	737	CF_3	2-F-phenyl	2-(imidazolidinyl)phenyl
	738	CF_3	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	739	CF_3	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
5	740	CF_3	2-F-phenyl	2-(2-piperidinyl)phenyl
	741	CF_3	2-F-phenyl	2-(amidinyl-methyl)phenyl
	742	CF_3	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	743	CF_3	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
10				
	744	CF_3	2-F-phenyl	2-dimethylaminoimidazol-1-yl
	745	CF_3	2-F-phenyl	2-(3-aminophenyl)
	746	CF_3	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
	747	CF_3	2-F-phenyl	2-glycinoyl
15	748	CF_3	2-F-phenyl	2-(imidazol-1-ylacetyl)
	749	CF_3	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	750	CF_3	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
	751	CF_3	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
	752	CF_3	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
20				
	753	CF_3	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
	754	CF_3	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	755	CF_3	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
25	756	CF_3	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
	757	CF_3	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
	758	CF_3	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	759	CF_3	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
30	760	CF_3	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	761	CF_3	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
	762	CF_3	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
35	763	CF_3	2,5-diF-phenyl	2-(amidinyl)phenyl
	764	CF_3	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
	765	CF_3	2,5-diF-phenyl	2-(imidazolyl)phenyl
	766	CF_3	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
	767	CF_3	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
40				
	768	CF_3	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
	769	CF_3	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
	770	CF_3	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
	771	CF_3	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
45				
	772	CF_3	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	773	CF_3	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
	774	CF_3	2,5-diF-phenyl	2-(3-aminophenyl)
50	775	CF_3	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
	776	CF_3	2,5-diF-phenyl	2-glycinoyl
	777	CF_3	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
	778	$CONH_2$	phenyl	2-(aminosulfonyl)phenyl

	779	$CONH_2$	phenyl	2-(methylaminosulfonyl)phenyl
	780	$CONH_2$	phenyl	1-pyrrolidinocarbonyl
	781	$CONH_2$	phenyl	2-(methylsulfonyl)phenyl
	782	$CONH_2$	phenyl	4-morpholino
5	783	$CONH_2$	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	784	$CONH_2$	phenyl	4-morpholinocarbonyl
	785	$CONH_2$	2-pyridyl	2-(aminosulfonyl)phenyl
	786	$CONH_2$	2-pyridyl	2-(methylaminosulfonyl)phenyl
	787	$CONH_2$	2-pyridyl	1-pyrrolidinocarbonyl
10	788	$CONH_2$	2-pyridyl	2-(methylsulfonyl)phenyl
	789	$CONH_2$	2-pyridyl	4-morpholino
	790	$CONH_2$	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	791	$CONH_2$	2-pyridyl	4-morpholinocarbonyl
	792	$CONH_2$	3-pyridyl	2-(aminosulfonyl)phenyl
15	793	$CONH_2$	3-pyridyl	2-(methylaminosulfonyl)phenyl
	794	$CONH_2$	3-pyridyl	1-pyrrolidinocarbonyl
	795	$CONH_2$	3-pyridyl	2-(methylsulfonyl)phenyl
	796	$CONH_2$	3-pyridyl	4-morpholino
	797	$CONH_2$	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
20	798	$CONH_2$	3-pyridyl	4-morpholinocarbonyl
	799	$CONH_2$	2-pyrimidyl	2-(aminosulfonyl)phenyl
	800	$CONH_2$	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	801	$CONH_2$	2-pyrimidyl	1-pyrrolidinocarbonyl
	802	$CONH_2$	2-pyrimidyl	2-(methylsulfonyl)phenyl
25	803	$CONH_2$	2-pyrimidyl	4-morpholino
	804	$CONH_2$	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	805	$CONH_2$	2-pyrimidyl	4-morpholinocarbonyl
	806	$CONH_2$	5-pyrimidyl	2-(aminosulfonyl)phenyl
	807	$CONH_2$	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
30	808	$CONH_2$	5-pyrimidyl	1-pyrrolidinocarbonyl
	809	$CONH_2$	5-pyrimidyl	2-(methylsulfonyl)phenyl
	810	$CONH_2$	5-pyrimidyl	4-morpholino
	811	$CONH_2$	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	812	$CONH_2$	5-pyrimidyl	4-morpholinocarbonyl
35	813	$CONH_2$	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	814	$CONH_2$	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	815	$CONH_2$	2-Cl-phenyl	1-pyrrolidinocarbonyl
	816	$CONH_2$	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	817	$CONH_2$	2-Cl-phenyl	4-morpholino
40	818	$CONH_2$	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	819	$CONH_2$	2-Cl-phenyl	4-morpholinocarbonyl
	820	$CONH_2$	2-F-phenyl	2-(aminosulfonyl)phenyl
	821	$CONH_2$	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	822	$CONH_2$	2-F-phenyl	1-pyrrolidinocarbonyl
45	823	$CONH_2$	2-F-phenyl	2-(methylsulfonyl)phenyl
	824	$CONH_2$	2-F-phenyl	4-morpholino
	825	$CONH_2$	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	826	$CONH_2$	2-F-phenyl	4-morpholinocarbonyl
	827	$CONH_2$	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
50	828	$CONH_2$	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
	829	$CONH_2$	2,5-diF-phenyl	1-pyrrolidinocarbonyl
	830	$CONH_2$	2,5-diF-phenyl	2-(methylsulfonyl)phenyl

	831	$CONH_2$	2,5-diF-phenyl	4-morpholino
	832	$CONH_2$	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	833	$CONH_2$	2,5-diF-phenyl	4-morpholinocarbonyl
	834	$CONH_2$	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
5	835	$CONH_2$	phenyl	2-(N-piperidinyl-methyl)phenyl
	836	$CONH_2$	phenyl	2-(N-morpholino-methyl)phenyl
	837	$CONH_2$	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	838	$CONH_2$	phenyl	2-(N-pyridinium-methyl)phenyl
10	839	$CONH_2$	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	840	$CONH_2$	phenyl	2-(N-azatanyl-methyl)phenyl
	841	$CONH_2$	phenyl	2-(N-azetidinyl-methyl)phenyl
	842	$CONH_2$	phenyl	2-(N-piperazinyl-methyl)phenyl
15	843	$CONH_2$	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	844	$CONH_2$	phenyl	2-(N-imidazolyl-methyl)phenyl
	845	$CONH_2$	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
20	846	$CONH_2$	phenyl	2-(N-pyridonyl-methyl)phenyl
	847	$CONH_2$	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	848	$CONH_2$	phenyl	2-(amidinyl)phenyl
	849	$CONH_2$	phenyl	2-(N-guanidinyl)phenyl
25	850	$CONH_2$	phenyl	2-(imidazolyl)phenyl
	851	$CONH_2$	phenyl	2-(imidazolidinyl)phenyl
	852	$CONH_2$	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	853	$CONH_2$	phenyl	2-(2-pyrrolidinyl)phenyl
30	854	$CONH_2$	phenyl	2-(2-piperidinyl)phenyl
	855	$CONH_2$	phenyl	2-(amidinyl-methyl)phenyl
	856	$CONH_2$	phenyl	2-(2-imidazolidinyl-methyl)phenyl
	857	$CONH_2$	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
35				
	858	$CONH_2$	phenyl	2-dimethylaminoimidazol-1-yl
	859	$CONH_2$	phenyl	2-(3-aminophenyl)
	860	$CONH_2$	phenyl	2-(3-pyrrolidinylcarbonyl)
	861	$CONH_2$	phenyl	2-glycinoyl
40	862	$CONH_2$	phenyl	2-(imidazol-1-ylacetyl)
	863	$CONH_2$	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	864	$CONH_2$	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
	865	$CONH_2$	2-pyridyl	2-(N-morpholino-methyl)phenyl
	866	$CONH_2$	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
45				
	867	$CONH_2$	2-pyridyl	2-(N-pyridinium-methyl)phenyl
	868	$CONH_2$	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	869	$CONH_2$	2-pyridyl	2-(N-azatanyl-methyl)phenyl
50	870	$CONH_2$	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
	871	$CONH_2$	2-pyridyl	2-(N-piperazinyl-methyl)phenyl
	872	$CONH_2$	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl

873	$CONH_2$	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
874	$CONH_2$	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
875	$CONH_2$	2-pyridyl	2-(N-pyridonyl-methyl)phenyl
876	$CONH_2$	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
877	$CONH_2$	2-pyridyl	2-(amidinyl)phenyl
878	$CONH_2$	2-pyridyl	2-(N-guanidinyl)phenyl
879	$CONH_2$	2-pyridyl	2-(imidazolyl)phenyl
880	$CONH_2$	2-pyridyl	2-(imidazolidinyl)phenyl
881	$CONH_2$	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
882	$CONH_2$	2-pyridyl	2-(2-pyrrolidinyl)phenyl
883	$CONH_2$	2-pyridyl	2-(2-piperidinyl)phenyl
884	$CONH_2$	2-pyridyl	2-(amidinyl-methyl)phenyl
885	$CONH_2$	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
886	$CONH_2$	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
887	$CONH_2$	2-pyridyl	2-dimethylaminoimidazol-1-yl
888	$CONH_2$	2-pyridyl	2-(3-aminophenyl)
889	$CONH_2$	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
890	$CONH_2$	2-pyridyl	2-glycinoyl
891	$CONH_2$	2-pyridyl	2-(imidazol-1-ylacetyl)
892	$CONH_2$	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
893	$CONH_2$	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
894	$CONH_2$	3-pyridyl	2-(N-morpholino-methyl)phenyl
895	$CONH_2$	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
896	$CONH_2$	3-pyridyl	2-(N-pyridinium-methyl)phenyl
897	$CONH_2$	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
898	$CONH_2$	3-pyridyl	2-(N-azatanyl-methyl)phenyl
899	$CONH_2$	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
900	$CONH_2$	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
901	$CONH_2$	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
902	$CONH_2$	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
903	$CONH_2$	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
904	$CONH_2$	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
905	$CONH_2$	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
906	$CONH_2$	3-pyridyl	2-(amidinyl)phenyl
907	$CONH_2$	3-pyridyl	2-(N-guanidinyl)phenyl
908	$CONH_2$	3-pyridyl	2-(imidazolyl)phenyl
909	$CONH_2$	3-pyridyl	2-(imidazolidinyl)phenyl
910	$CONH_2$	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
911	$CONH_2$	3-pyridyl	2-(2-pyrrolidinyl)phenyl
912	$CONH_2$	3-pyridyl	2-(2-piperidinyl)phenyl
913	$CONH_2$	3-pyridyl	2-(amidinyl-methyl)phenyl
914	$CONH_2$	3-pyridyl	2-(2-imidazolidinyl-

				methyl)phenyl
	915	$CONH_2$	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	916	$CONH_2$	3-pyridyl	2-dimethylaminoimidazol-1-yl
5	917	$CONH_2$	3-pyridyl	2-(3-aminophenyl)
	918	$CONH_2$	3-pyridyl	2-(3-pyrrolidinylcarbonyl)
	919	$CONH_2$	3-pyridyl	2-glycinoyl
	920	$CONH_2$	3-pyridyl	2-(imidazol-1-ylacetyl)
	921	$CONH_2$	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
10	922	$CONH_2$	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
	923	$CONH_2$	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
	924	$CONH_2$	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	925	$CONH_2$	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
15	926	$CONH_2$	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	927	$CONH_2$	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
	928	$CONH_2$	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
	929	$CONH_2$	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
20	930	$CONH_2$	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	931	$CONH_2$	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
	932	$CONH_2$	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
25	933	$CONH_2$	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
	934	$CONH_2$	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	935	$CONH_2$	2-pyrimidyl	2-(amidinyl)phenyl
	936	$CONH_2$	2-pyrimidyl	2-(N-guanidinyl)phenyl
30	937	$CONH_2$	2-pyrimidyl	2-(imidazolyl)phenyl
	938	$CONH_2$	2-pyrimidyl	2-(imidazolidinyl)phenyl
	939	$CONH_2$	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	940	$CONH_2$	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
35	941	$CONH_2$	2-pyrimidyl	2-(2-piperidinyl)phenyl
	942	$CONH_2$	2-pyrimidyl	2-(amidinyl-methyl)phenyl
	943	$CONH_2$	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
	944	$CONH_2$	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
40				
	945	$CONH_2$	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
	946	$CONH_2$	2-pyrimidyl	2-(3-aminophenyl)
	947	$CONH_2$	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
	948	$CONH_2$	2-pyrimidyl	2-glycinoyl
45	949	$CONH_2$	2-pyrimidyl	2-(imidazol-1-ylacetyl)
	950	$CONH_2$	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	951	$CONH_2$	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
	952	$CONH_2$	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
	953	$CONH_2$	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
50				
	954	$CONH_2$	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
	955	$CONH_2$	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl

	956	$CONH_2$	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
	957	$CONH_2$	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
	958	$CONH_2$	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
	959	$CONH_2$	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	960	$CONH_2$	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
	961	$CONH_2$	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	962	$CONH_2$	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
	963	$CONH_2$	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	964	$CONH_2$	2-Cl-phenyl	2-(amidinyl)phenyl
	965	$CONH_2$	2-Cl-phenyl	2-(N-guanidinyl)phenyl
	966	$CONH_2$	2-Cl-phenyl	2-(imidazolyl)phenyl
	967	$CONH_2$	2-Cl-phenyl	2-(imidazolidinyl)phenyl
	968	$CONH_2$	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	969	$CONH_2$	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
	970	$CONH_2$	2-Cl-phenyl	2-(2-piperidinyl)phenyl
	971	$CONH_2$	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
	972	$CONH_2$	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	973	$CONH_2$	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	974	$CONH_2$	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
	975	$CONH_2$	2-Cl-phenyl	2-(3-aminophenyl)
	976	$CONH_2$	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
	977	$CONH_2$	2-Cl-phenyl	2-glycinoyl
	978	$CONH_2$	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
	979	$CONH_2$	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	980	$CONH_2$	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
	981	$CONH_2$	2-F-phenyl	2-(N-morpholino-methyl)phenyl
	982	$CONH_2$	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	983	$CONH_2$	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
	984	$CONH_2$	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	985	$CONH_2$	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
	986	$CONH_2$	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
	987	$CONH_2$	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
	988	$CONH_2$	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	989	$CONH_2$	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
	990	$CONH_2$	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	991	$CONH_2$	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
	992	$CONH_2$	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	993	$CONH_2$	2-F-phenyl	2-(amidinyl)phenyl
	994	$CONH_2$	2-F-phenyl	2-(N-guanidinyl)phenyl
	995	$CONH_2$	2-F-phenyl	2-(imidazolyl)phenyl
	996	$CONH_2$	2-F-phenyl	2-(imidazolidinyl)phenyl
	997	$CONH_2$	2-F-phenyl	2-(2-imidazolidinyl-

				sulfonyl)phenyl
	998	$CONH_2$	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
	999	$CONH_2$	2-F-phenyl	2-(2-piperidinyl)phenyl
	1000	$CONH_2$	2-F-phenyl	2-(amidinyl-methyl)phenyl
5	1001	$CONH_2$	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	1002	$CONH_2$	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1003	$CONH_2$	2-F-phenyl	2-dimethylaminoimidazol-1-yl
10	1004	$CONH_2$	2-F-phenyl	2-(3-aminophenyl)
	1005	$CONH_2$	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
	1006	$CONH_2$	2-F-phenyl	2-glycinoyl
	1007	$CONH_2$	2-F-phenyl	2-(imidazol-1-ylacetyl)
	1008	$CONH_2$	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
15	1009	$CONH_2$	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
	1010	$CONH_2$	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
	1011	$CONH_2$	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1012	$CONH_2$	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
20	1013	$CONH_2$	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1014	$CONH_2$	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
	1015	$CONH_2$	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
	1016	$CONH_2$	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
25	1017	$CONH_2$	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1018	$CONH_2$	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
	1019	$CONH_2$	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
30	1020	$CONH_2$	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
	1021	$CONH_2$	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1022	$CONH_2$	2,5-diF-phenyl	2-(amidinyl)phenyl
	1023	$CONH_2$	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
35	1024	$CONH_2$	2,5-diF-phenyl	2-(imidazolyl)phenyl
	1025	$CONH_2$	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
	1026	$CONH_2$	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1027	$CONH_2$	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
40	1028	$CONH_2$	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
	1029	$CONH_2$	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
	1030	$CONH_2$	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	1031	$CONH_2$	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
45				
	1032	$CONH_2$	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
	1033	$CONH_2$	2,5-diF-phenyl	2-(3-aminophenyl)
	1034	$CONH_2$	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
	1035	$CONH_2$	2,5-diF-phenyl	2-glycinoyl
50	1036	$CONH_2$	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
	1037	SCH_3	phenyl	2-(aminosulfonyl)phenyl
	1038	SCH_3	phenyl	2-(methylaminosulfonyl)phenyl
	1039	SCH_3	phenyl	1-pyrrolidinocarbonyl

1040	SCH_3	phenyl	2-(methylsulfonyl)phenyl
1041	SCH_3	phenyl	4-morpholino
1042	SCH_3	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1043	SCH_3	phenyl	4-morpholinocarbonyl
1044	SCH_3	2-pyridyl	2-(aminosulfonyl)phenyl
1045	SCH_3	2-pyridyl	2-(methylaminosulfonyl)phenyl
1046	SCH_3	2-pyridyl	1-pyrrolidinocarbonyl
1047	SCH_3	2-pyridyl	2-(methylsulfonyl)phenyl
1048	SCH_3	2-pyridyl	4-morpholino
1049	SCH_3	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1050	SCH_3	2-pyridyl	4-morpholinocarbonyl
1051	SCH_3	3-pyridyl	2-(aminosulfonyl)phenyl
1052	SCH_3	3-pyridyl	2-(methylaminosulfonyl)phenyl
1053	SCH_3	3-pyridyl	1-pyrrolidinocarbonyl
1054	SCH_3	3-pyridyl	2-(methylsulfonyl)phenyl
1055	SCH_3	3-pyridyl	4-morpholino
1056	SCH_3	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1057	SCH_3	3-pyridyl	4-morpholinocarbonyl
1058	SCH_3	2-pyrimidyl	2-(aminosulfonyl)phenyl
1059	SCH_3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
1060	SCH_3	2-pyrimidyl	1-pyrrolidinocarbonyl
1061	SCH_3	2-pyrimidyl	2-(methylsulfonyl)phenyl
1062	SCH_3	2-pyrimidyl	4-morpholino
1063	SCH_3	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1064	SCH_3	2-pyrimidyl	4-morpholinocarbonyl
1065	SCH_3	5-pyrimidyl	2-(aminosulfonyl)phenyl
1066	SCH_3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
1067	SCH_3	5-pyrimidyl	1-pyrrolidinocarbonyl
1068	SCH_3	5-pyrimidyl	2-(methylsulfonyl)phenyl
1069	SCH_3	5-pyrimidyl	4-morpholino
1070	SCH_3	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1071	SCH_3	5-pyrimidyl	4-morpholinocarbonyl
1072	SCH_3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
1073	SCH_3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
1074	SCH_3	2-Cl-phenyl	1-pyrrolidinocarbonyl
1075	SCH_3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
1076	SCH_3	2-Cl-phenyl	4-morpholino
1077	SCH_3	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1078	SCH_3	2-Cl-phenyl	4-morpholinocarbonyl
1079	SCH_3	2-F-phenyl	2-(aminosulfonyl)phenyl
1080	SCH_3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1081	SCH_3	2-F-phenyl	1-pyrrolidinocarbonyl
1082	SCH_3	2-F-phenyl	2-(methylsulfonyl)phenyl
1083	SCH_3	2-F-phenyl	4-morpholino
1084	SCH_3	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1085	SCH_3	2-F-phenyl	4-morpholinocarbonyl
1086	SCH_3	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
1087	SCH_3	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
1088	SCH_3	2,5-diF-phenyl	1-pyrrolidinocarbonyl
1089	SCH_3	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
1090	SCH_3	2,5-diF-phenyl	4-morpholino
1091	SCH_3	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl

	1092	SCH_3	2,5-diF-phenyl	4-morpholinocarbonyl
	1093	SCH_3	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1094	SCH_3	phenyl	2-(N-piperidinyl-methyl)phenyl
	1095	SCH_3	phenyl	2-(N-morpholino-methyl)phenyl
5	1096	SCH_3	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1097	SCH_3	phenyl	2-(N-pyridinium-methyl)phenyl
	1098	SCH_3	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
10	1099	SCH_3	phenyl	2-(N-azatanyl-methyl)phenyl
	1100	SCH_3	phenyl	2-(N-azetidinyl-methyl)phenyl
	1101	SCH_3	phenyl	2-(N-piperazinyl-methyl)phenyl
	1102	SCH_3	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
15	1103	SCH_3	phenyl	2-(N-imidazolyl-methyl)phenyl
	1104	SCH_3	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1105	SCH_3	phenyl	2-(N-pyridonyl-methyl)phenyl
	1106	SCH_3	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
20				
	1107	SCH_3	phenyl	2-(amidinyl)phenyl
	1108	SCH_3	phenyl	2-(N-guanidinyl)phenyl
	1109	SCH_3	phenyl	2-(imidazolyl)phenyl
	1110	SCH_3	phenyl	2-(imidazolidinyl)phenyl
25	1111	SCH_3	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1112	SCH_3	phenyl	2-(2-pyrrolidinyl)phenyl
	1113	SCH_3	phenyl	2-(2-piperidinyl)phenyl
	1114	SCH_3	phenyl	2-(amidinyl-methyl)phenyl
30	1115	SCH_3	phenyl	2-(2-imidazolidinyl-methyl)phenyl
	1116	SCH_3	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1117	SCH_3	phenyl	2-dimethylaminoimidazol-1-yl
35	1118	SCH_3	phenyl	2-(3-aminophenyl)
	1119	SCH_3	phenyl	2-(3-pyrrolidinylcarbonyl)
	1120	SCH_3	phenyl	2-glycinoyl
	1121	SCH_3	phenyl	2-(imidazol-1-ylacetyl)
	1122	SCH_3	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
40	1123	SCH_3	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
	1124	SCH_3	2-pyridyl	2-(N-morpholino-methyl)phenyl
	1125	SCH_3	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1126	SCH_3	2-pyridyl	2-(N-pyridinium-methyl)phenyl
45	1127	SCH_3	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1128	SCH_3	2-pyridyl	2-(N-azatanyl-methyl)phenyl
	1129	SCH_3	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
	1130	SCH_3	2-pyridyl	2-(N-piperazinyl-methyl)phenyl
50	1131	SCH_3	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1132	SCH_3	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
	1133	SCH_3	2-pyridyl	2-(N-methoxy-N-methylamino-

				methyl)phenyl
	1134	SCH_3	2-pyridyl	2-(N-pyridonyl-methyl)phenyl
	1135	SCH_3	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1136	SCH_3	2-pyridyl	2-(amidinyl)phenyl
	1137	SCH_3	2-pyridyl	2-(N-guanidinyl)phenyl
	1138	SCH_3	2-pyridyl	2-(imidazolyl)phenyl
	1139	SCH_3	2-pyridyl	2-(imidazolidinyl)phenyl
	1140	SCH_3	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1141	SCH_3	2-pyridyl	2-(2-pyrrolidinyl)phenyl
	1142	SCH_3	2-pyridyl	2-(2-piperidinyl)phenyl
	1143	SCH_3	2-pyridyl	2-(amidinyl-methyl)phenyl
	1144	SCH_3	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	1145	SCH_3	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1146	SCH_3	2-pyridyl	2-dimethylaminoimidazol-1-yl
	1147	SCH_3	2-pyridyl	2-(3-aminophenyl)
	1148	SCH_3	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
	1149	SCH_3	2-pyridyl	2-glycinoyl
	1150	SCH_3	2-pyridyl	2-(imidazol-1-ylacetyl)
	1151	SCH_3	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	1152	SCH_3	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
	1153	SCH_3	3-pyridyl	2-(N-morpholino-methyl)phenyl
	1154	SCH_3	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1155	SCH_3	3-pyridyl	2-(N-pyridinium-methyl)phenyl
	1156	SCH_3	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1157	SCH_3	3-pyridyl	2-(N-azatanyl-methyl)phenyl
	1158	SCH_3	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
	1159	SCH_3	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
	1160	SCH_3	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1161	SCH_3	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
	1162	SCH_3	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1163	SCH_3	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
	1164	SCH_3	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1165	SCH_3	3-pyridyl	2-(amidinyl)phenyl
	1166	SCH_3	3-pyridyl	2-(N-guanidinyl)phenyl
	1167	SCH_3	3-pyridyl	2-(imidazolyl)phenyl
	1168	SCH_3	3-pyridyl	2-(imidazolidinyl)phenyl
	1169	SCH_3	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1170	SCH_3	3-pyridyl	2-(2-pyrrolidinyl)phenyl
	1171	SCH_3	3-pyridyl	2-(2-piperidinyl)phenyl
	1172	SCH_3	3-pyridyl	2-(amidinyl-methyl)phenyl
	1173	SCH_3	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	1174	SCH_3	3-pyridyl	2-(N-(2-aminoimidazolyl)-

				methyl)phenyl
	1175	SCH_3	3-pyridyl	2-dimethylaminoimidazol-1-yl
	1176	SCH_3	3-pyridyl	2-(3-aminophenyl)
	1177	SCH_3	3-pyridyl	2-(3-pyrrolidinylcarbonyl)
5	1178	SCH_3	3-pyridyl	2-glycinoyl
	1179	SCH_3	3-pyridyl	2-(imidazol-1-ylacetyl)
	1180	SCH_3	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
	1181	SCH_3	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
	1182	SCH_3	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
10	1183	SCH_3	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1184	SCH_3	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
	1185	SCH_3	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
15	1186	SCH_3	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
	1187	SCH_3	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
	1188	SCH_3	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
	1189	SCH_3	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
20	1190	SCH_3	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
	1191	SCH_3	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1192	SCH_3	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
	1193	SCH_3	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
25				
	1194	SCH_3	2-pyrimidyl	2-(amidinyl)phenyl
	1195	SCH_3	2-pyrimidyl	2-(N-guanidinyl)phenyl
	1196	SCH_3	2-pyrimidyl	2-(imidazolyl)phenyl
	1197	SCH_3	2-pyrimidyl	2-(imidazolidinyl)phenyl
30	1198	SCH_3	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1199	SCH_3	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
	1200	SCH_3	2-pyrimidyl	2-(2-piperidinyl)phenyl
	1201	SCH_3	2-pyrimidyl	2-(amidinyl-methyl)phenyl
35	1202	SCH_3	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
	1203	SCH_3	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1204	SCH_3	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
40	1205	SCH_3	2-pyrimidyl	2-(3-aminophenyl)
	1206	SCH_3	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
	1207	SCH_3	2-pyrimidyl	2-glycinoyl
	1208	SCH_3	2-pyrimidyl	2-(imidazol-1-ylacetyl)
	1209	SCH_3	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
45	1210	SCH_3	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
	1211	SCH_3	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
	1212	SCH_3	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1213	SCH_3	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
50	1214	SCH_3	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1215	SCH_3	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
	1216	SCH_3	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl

1217	SCH_3	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
1218	SCH_3	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1219	SCH_3	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
1220	SCH_3	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1221	SCH_3	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
1222	SCH_3	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1223	SCH_3	2-Cl-phenyl	2-(amidinyl)phenyl
1224	SCH_3	2-Cl-phenyl	2-(N-guanidinyl)phenyl
1225	SCH_3	2-Cl-phenyl	2-(imidazolyl)phenyl
1226	SCH_3	2-Cl-phenyl	2-(imidazolidinyl)phenyl
1227	SCH_3	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1228	SCH_3	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
1229	SCH_3	2-Cl-phenyl	2-(2-piperidinyl)phenyl
1230	SCH_3	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
1231	SCH_3	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
1232	SCH_3	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1233	SCH_3	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
1234	SCH_3	2-Cl-phenyl	2-(3-aminophenyl)
1235	SCH_3	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
1236	SCH_3	2-Cl-phenyl	2-glycinoyl
1237	SCH_3	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
1238	SCH_3	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
1239	SCH_3	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
1240	SCH_3	2-F-phenyl	2-(N-morpholino-methyl)phenyl
1241	SCH_3	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1242	SCH_3	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
1243	SCH_3	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1244	SCH_3	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
1245	SCH_3	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
1246	SCH_3	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
1247	SCH_3	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1248	SCH_3	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
1249	SCH_3	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1250	SCH_3	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
1251	SCH_3	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1252	SCH_3	2-F-phenyl	2-(amidinyl)phenyl
1253	SCH_3	2-F-phenyl	2-(N-guanidinyl)phenyl
1254	SCH_3	2-F-phenyl	2-(imidazolyl)phenyl
1255	SCH_3	2-F-phenyl	2-(imidazolidinyl)phenyl
1256	SCH_3	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1257	SCH_3	2-F-phenyl	2-(2-pyrrolidinyl)phenyl

1258	SCH_3	2-F-phenyl	2-(2-piperidinyl)phenyl
1259	SCH_3	2-F-phenyl	2-(amidinyl-methyl)phenyl
1260	SCH_3	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl
1261	SCH_3	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1262	SCH_3	2-F-phenyl	2-dimethylaminoimidazol-1-yl
1263	SCH_3	2-F-phenyl	2-(3-aminophenyl)
1264	SCH_3	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
1265	SCH_3	2-F-phenyl	2-glycinoyl
1266	SCH_3	2-F-phenyl	2-(imidazol-1-ylacetyl)
1267	SCH_3	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
1268	SCH_3	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
1269	SCH_3	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
1270	SCH_3	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1271	SCH_3	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
1272	SCH_3	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1273	SCH_3	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
1274	SCH_3	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
1275	SCH_3	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
1276	SCH_3	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1277	SCH_3	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
1278	SCH_3	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1279	SCH_3	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
1280	SCH_3	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1281	SCH_3	2,5-diF-phenyl	2-(amidinyl)phenyl
1282	SCH_3	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
1283	SCH_3	2,5-diF-phenyl	2-(imidazolyl)phenyl
1284	SCH_3	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
1285	SCH_3	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1286	SCH_3	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
1287	SCH_3	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
1288	SCH_3	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
1289	SCH_3	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
1290	SCH_3	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1291	SCH_3	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
1292	SCH_3	2,5-diF-phenyl	2-(3-aminophenyl)
1293	SCH_3	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
1294	SCH_3	2,5-diF-phenyl	2-glycinoyl
1295	SCH_3	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
1296	SO_2CH_3	phenyl	2-(aminosulfonyl)phenyl
1297	SO_2CH_3	phenyl	2-(methylaminosulfonyl)phenyl
1298	SO_2CH_3	phenyl	1-pyrrolidinocarbonyl
1299	SO_2CH_3	phenyl	2-(methylsulfonyl)phenyl
1300	SO_2CH_3	phenyl	4-morpholino

1301	SO_2CH_3	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1302	SO_2CH_3	phenyl	4-morpholinocarbonyl
1303	SO_2CH_3	2-pyridyl	2-(aminosulfonyl)phenyl
1304	SO_2CH_3	2-pyridyl	2-(methylaminosulfonyl)phenyl
1305	SO_2CH_3	2-pyridyl	1-pyrrolidinocarbonyl
1306	SO_2CH_3	2-pyridyl	2-(methylsulfonyl)phenyl
1307	SO_2CH_3	2-pyridyl	4-morpholino
1308	SO_2CH_3	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1309	SO_2CH_3	2-pyridyl	4-morpholinocarbonyl
1310	SO_2CH_3	3-pyridyl	2-(aminosulfonyl)phenyl
1311	SO_2CH_3	3-pyridyl	2-(methylaminosulfonyl)phenyl
1312	SO_2CH_3	3-pyridyl	1-pyrrolidinocarbonyl
1313	SO_2CH_3	3-pyridyl	2-(methylsulfonyl)phenyl
1314	SO_2CH_3	3-pyridyl	4-morpholino
1315	SO_2CH_3	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1316	SO_2CH_3	3-pyridyl	4-morpholinocarbonyl
1317	SO_2CH_3	2-pyrimidyl	2-(aminosulfonyl)phenyl
1318	SO_2CH_3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
1319	SO_2CH_3	2-pyrimidyl	1-pyrrolidinocarbonyl
1320	SO_2CH_3	2-pyrimidyl	2-(methylsulfonyl)phenyl
1321	SO_2CH_3	2-pyrimidyl	4-morpholino
1322	SO_2CH_3	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1323	SO_2CH_3	2-pyrimidyl	4-morpholinocarbonyl
1324	SO_2CH_3	5-pyrimidyl	2-(aminosulfonyl)phenyl
1325	SO_2CH_3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
1326	SO_2CH_3	5-pyrimidyl	1-pyrrolidinocarbonyl
1327	SO_2CH_3	5-pyrimidyl	2-(methylsulfonyl)phenyl
1328	SO_2CH_3	5-pyrimidyl	4-morpholino
1329	SO_2CH_3	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1330	SO_2CH_3	5-pyrimidyl	4-morpholinocarbonyl
1331	SO_2CH_3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
1332	SO_2CH_3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
1333	SO_2CH_3	2-Cl-phenyl	1-pyrrolidinocarbonyl
1334	SO_2CH_3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
1335	SO_2CH_3	2-Cl-phenyl	4-morpholino
1336	SO_2CH_3	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1337	SO_2CH_3	2-Cl-phenyl	4-morpholinocarbonyl
1338	SO_2CH_3	2-F-phenyl	2-(aminosulfonyl)phenyl
1339	SO_2CH_3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1340	SO_2CH_3	2-F-phenyl	1-pyrrolidinocarbonyl
1341	SO_2CH_3	2-F-phenyl	2-(methylsulfonyl)phenyl
1342	SO_2CH_3	2-F-phenyl	4-morpholino
1343	SO_2CH_3	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1344	SO_2CH_3	2-F-phenyl	4-morpholinocarbonyl
1345	SO_2CH_3	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
1346	SO_2CH_3	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
1347	SO_2CH_3	2,5-diF-phenyl	1-pyrrolidinocarbonyl
1348	SO_2CH_3	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
1349	SO_2CH_3	2,5-diF-phenyl	4-morpholino
1350	SO_2CH_3	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1351	SO_2CH_3	2,5-diF-phenyl	4-morpholinocarbonyl
1352	SO_2CH_3	phenyl	2-(N-pyrrolidinyl-methyl)phenyl

1353	SO_2CH_3	phenyl	2-(N-piperidinyl-methyl)phenyl
1354	SO_2CH_3	phenyl	2-(N-morpholino-methyl)phenyl
1355	SO_2CH_3	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1356	SO_2CH_3	phenyl	2-(N-pyridinium-methyl)phenyl
1357	SO_2CH_3	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1358	SO_2CH_3	phenyl	2-(N-azatanyl-methyl)phenyl
1359	SO_2CH_3	phenyl	2-(N-azetidinyl-methyl)phenyl
1360	SO_2CH_3	phenyl	2-(N-piperazinyl-methyl)phenyl
1361	SO_2CH_3	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1362	SO_2CH_3	phenyl	2-(N-imidazolyl-methyl)phenyl
1363	SO_2CH_3	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1364	SO_2CH_3	phenyl	2-(N-pyridonyl-methyl)phenyl
1365	SO_2CH_3	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1366	SO_2CH_3	phenyl	2-(amidinyl)phenyl
1367	SO_2CH_3	phenyl	2-(N-guanidinyl)phenyl
1368	SO_2CH_3	phenyl	2-(imidazolyl)phenyl
1369	SO_2CH_3	phenyl	2-(imidazolidinyl)phenyl
1370	SO_2CH_3	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1371	SO_2CH_3	phenyl	2-(2-pyrrolidinyl)phenyl
1372	SO_2CH_3	phenyl	2-(2-piperidinyl)phenyl
1373	SO_2CH_3	phenyl	2-(amidinyl-methyl)phenyl
1374	SO_2CH_3	phenyl	2-(2-imidazolidinyl-methyl)phenyl
1375	SO_2CH_3	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1376	SO_2CH_3	phenyl	2-dimethylaminoimidazol-1-yl
1377	SO_2CH_3	phenyl	2-(3-aminophenyl)
1378	SO_2CH_3	phenyl	2-(3-pyrrolidinylcarbonyl)
1379	SO_2CH_3	phenyl	2-glycinoyl
1380	SO_2CH_3	phenyl	2-(imidazol-1-ylacetyl)
1381	SO_2CH_3	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
1382	SO_2CH_3	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
1383	SO_2CH_3	2-pyridyl	2-(N-morpholino-methyl)phenyl
1384	SO_2CH_3	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1385	SO_2CH_3	2-pyridyl	2-(N-pyridinium-methyl)phenyl
1386	SO_2CH_3	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1387	SO_2CH_3	2-pyridyl	2-(N-azatanyl-methyl)phenyl
1388	SO_2CH_3	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
1389	SO_2CH_3	2-pyridyl	2-(N-piperazinyl-methyl)phenyl
1390	SO_2CH_3	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1391	SO_2CH_3	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
1392	SO_2CH_3	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1393	SO_2CH_3	2-pyridyl	2-(N-pyridonyl-methyl)phenyl

1394	SO_2CH_3	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1395	SO_2CH_3	2-pyridyl	2-(amidinyl)phenyl
1396	SO_2CH_3	2-pyridyl	2-(N-guanidinyl)phenyl
1397	SO_2CH_3	2-pyridyl	2-(imidazolyl)phenyl
1398	SO_2CH_3	2-pyridyl	2-(imidazolidinyl)phenyl
1399	SO_2CH_3	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1400	SO_2CH_3	2-pyridyl	2-(2-pyrrolidinyl)phenyl
1401	SO_2CH_3	2-pyridyl	2-(2-piperidinyl)phenyl
1402	SO_2CH_3	2-pyridyl	2-(amidinyl-methyl)phenyl
1403	SO_2CH_3	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
1404	SO_2CH_3	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1405	SO_2CH_3	2-pyridyl	2-dimethylaminoimidazol-1-yl
1406	SO_2CH_3	2-pyridyl	2-(3-aminophenyl)
1407	SO_2CH_3	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
1408	SO_2CH_3	2-pyridyl	2-glycinoyl
1409	SO_2CH_3	2-pyridyl	2-(imidazol-1-ylacetyl)
1410	SO_2CH_3	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
1411	SO_2CH_3	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
1412	SO_2CH_3	3-pyridyl	2-(N-morpholino-methyl)phenyl
1413	SO_2CH_3	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1414	SO_2CH_3	3-pyridyl	2-(N-pyridinium-methyl)phenyl
1415	SO_2CH_3	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1416	SO_2CH_3	3-pyridyl	2-(N-azatanyl-methyl)phenyl
1417	SO_2CH_3	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
1418	SO_2CH_3	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
1419	SO_2CH_3	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1420	SO_2CH_3	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
1421	SO_2CH_3	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1422	SO_2CH_3	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
1423	SO_2CH_3	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1424	SO_2CH_3	3-pyridyl	2-(amidinyl)phenyl
1425	SO_2CH_3	3-pyridyl	2-(N-guanidinyl)phenyl
1426	SO_2CH_3	3-pyridyl	2-(imidazolyl)phenyl
1427	SO_2CH_3	3-pyridyl	2-(imidazolidinyl)phenyl
1428	SO_2CH_3	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1429	SO_2CH_3	3-pyridyl	2-(2-pyrrolidinyl)phenyl
1430	SO_2CH_3	3-pyridyl	2-(2-piperidinyl)phenyl
1431	SO_2CH_3	3-pyridyl	2-(amidinyl-methyl)phenyl
1432	SO_2CH_3	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
1433	SO_2CH_3	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1434	SO_2CH_3	3-pyridyl	2-dimethylaminoimidazol-1-yl

1435	SO_2CH_3	3-pyridyl	2-(3-aminophenyl)
1436	SO_2CH_3	3-pyridyl	2-(3-pyrrolidinylcarbonyl)
1437	SO_2CH_3	3-pyridyl	2-glycinoyl
1438	SO_2CH_3	3-pyridyl	2-(imidazol-1-ylacetyl)
1439	SO_2CH_3	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
1440	SO_2CH_3	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
1441	SO_2CH_3	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
1442	SO_2CH_3	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1443	SO_2CH_3	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
1444	SO_2CH_3	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1445	SO_2CH_3	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
1446	SO_2CH_3	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
1447	SO_2CH_3	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
1448	SO_2CH_3	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1449	SO_2CH_3	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
1450	SO_2CH_3	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1451	SO_2CH_3	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
1452	SO_2CH_3	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1453	SO_2CH_3	2-pyrimidyl	2-(amidinyl)phenyl
1454	SO_2CH_3	2-pyrimidyl	2-(N-guanidinyl)phenyl
1455	SO_2CH_3	2-pyrimidyl	2-(imidazolyl)phenyl
1456	SO_2CH_3	2-pyrimidyl	2-(imidazolidinyl)phenyl
1457	SO_2CH_3	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1458	SO_2CH_3	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
1459	SO_2CH_3	2-pyrimidyl	2-(2-piperidinyl)phenyl
1460	SO_2CH_3	2-pyrimidyl	2-(amidinyl-methyl)phenyl
1461	SO_2CH_3	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
1462	SO_2CH_3	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1463	SO_2CH_3	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
1464	SO_2CH_3	2-pyrimidyl	2-(3-aminophenyl)
1465	SO_2CH_3	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
1466	SO_2CH_3	2-pyrimidyl	2-glycinoyl
1467	SO_2CH_3	2-pyrimidyl	2-(imidazol-1-ylacetyl)
1468	SO_2CH_3	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
1469	SO_2CH_3	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
1470	SO_2CH_3	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
1471	SO_2CH_3	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1472	SO_2CH_3	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
1473	SO_2CH_3	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1474	SO_2CH_3	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
1475	SO_2CH_3	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
1476	SO_2CH_3	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
1477	SO_2CH_3	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-

				methyl)phenyl
	1478	SO_2CH_3	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl
	1479	SO_2CH_3	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
5	1480	SO_2CH_3	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
	1481	SO_2CH_3	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1482	SO_2CH_3	2-Cl-phenyl	2-(amidinyl)phenyl
	1483	SO_2CH_3	2-Cl-phenyl	2-(N-guanidinyl)phenyl
10	1484	SO_2CH_3	2-Cl-phenyl	2-(imidazolyl)phenyl
	1485	SO_2CH_3	2-Cl-phenyl	2-(imidazolidinyl)phenyl
	1486	SO_2CH_3	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1487	SO_2CH_3	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
15	1488	SO_2CH_3	2-Cl-phenyl	2-(2-piperidinyl)phenyl
	1489	SO_2CH_3	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
	1490	SO_2CH_3	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
	1491	SO_2CH_3	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-
20				methyl)phenyl
	1492	SO_2CH_3	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
	1493	SO_2CH_3	2-Cl-phenyl	2-(3-aminophenyl)
	1494	SO_2CH_3	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
	1495	SO_2CH_3	2-Cl-phenyl	2-glycinoyl
25	1496	SO_2CH_3	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
	1497	SO_2CH_3	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
	1498	SO_2CH_3	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
	1499	SO_2CH_3	2-F-phenyl	2-(N-morpholino-methyl)phenyl
	1500	SO_2CH_3	2-F-phenyl	2-(N,N'-methylmorpholinium-
30				methyl)phenyl
	1501	SO_2CH_3	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
	1502	SO_2CH_3	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1503	SO_2CH_3	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
35	1504	SO_2CH_3	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
	1505	SO_2CH_3	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
	1506	SO_2CH_3	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1507	SO_2CH_3	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
40	1508	SO_2CH_3	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1509	SO_2CH_3	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
	1510	SO_2CH_3	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
45	1511	SO_2CH_3	2-F-phenyl	2-(amidinyl)phenyl
	1512	SO_2CH_3	2-F-phenyl	2-(N-guanidinyl)phenyl
	1513	SO_2CH_3	2-F-phenyl	2-(imidazolyl)phenyl
	1514	SO_2CH_3	2-F-phenyl	2-(imidazolidinyl)phenyl
	1515	SO_2CH_3	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
50				
	1516	SO_2CH_3	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
	1517	SO_2CH_3	2-F-phenyl	2-(2-piperidinyl)phenyl
	1518	SO_2CH_3	2-F-phenyl	2-(amidinyl-methyl)phenyl

1519	SO_2CH_3	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl
1520	SO_2CH_3	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1521	SO_2CH_3	2-F-phenyl	2-dimethylaminoimidazol-1-yl
1522	SO_2CH_3	2-F-phenyl	2-(3-aminophenyl)
1523	SO_2CH_3	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
1524	SO_2CH_3	2-F-phenyl	2-glycinoyl
1525	SO_2CH_3	2-F-phenyl	2-(imidazol-1-ylacetyl)
1526	SO_2CH_3	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
1527	SO_2CH_3	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
1528	SO_2CH_3	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
1529	SO_2CH_3	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1530	SO_2CH_3	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
1531	SO_2CH_3	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1532	SO_2CH_3	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
1533	SO_2CH_3	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
1534	SO_2CH_3	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
1535	SO_2CH_3	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1536	SO_2CH_3	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
1537	SO_2CH_3	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1538	SO_2CH_3	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
1539	SO_2CH_3	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1540	SO_2CH_3	2,5-diF-phenyl	2-(amidinyl)phenyl
1541	SO_2CH_3	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
1542	SO_2CH_3	2,5-diF-phenyl	2-(imidazolyl)phenyl
1543	SO_2CH_3	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
1544	SO_2CH_3	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1545	SO_2CH_3	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
1546	SO_2CH_3	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
1547	SO_2CH_3	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
1548	SO_2CH_3	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
1549	SO_2CH_3	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1550	SO_2CH_3	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
1551	SO_2CH_3	2,5-diF-phenyl	2-(3-aminophenyl)
1552	SO_2CH_3	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
1553	SO_2CH_3	2,5-diF-phenyl	2-glycinoyl
1554	SO_2CH_3	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)
1555	$NHSO_2CH_3$	phenyl	2-(aminosulfonyl)phenyl
1556	$NHSO_2CH_3$	phenyl	2-(methylaminosulfonyl)phenyl
1557	$NHSO_2CH_3$	phenyl	1-pyrrolidinocarbonyl
1558	$NHSO_2CH_3$	phenyl	2-(methylsulfonyl)phenyl
1559	$NHSO_2CH_3$	phenyl	4-morpholino
1560	$NHSO_2CH_3$	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1561	$NHSO_2CH_3$	phenyl	4-morpholinocarbonyl

1562	$NHSO_2CH_3$	2-pyridyl	2-(aminosulfonyl)phenyl
1563	$NHSO_2CH_3$	2-pyridyl	2-(methylaminosulfonyl)phenyl
1564	$NHSO_2CH_3$	2-pyridyl	1-pyrrolidinocarbonyl
1565	$NHSO_2CH_3$	2-pyridyl	2-(methylsulfonyl)phenyl
1566	$NHSO_2CH_3$	2-pyridyl	4-morpholino
1567	$NHSO_2CH_3$	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1568	$NHSO_2CH_3$	2-pyridyl	4-morpholinocarbonyl
1569	$NHSO_2CH_3$	3-pyridyl	2-(aminosulfonyl)phenyl
1570	$NHSO_2CH_3$	3-pyridyl	2-(methylaminosulfonyl)phenyl
1571	$NHSO_2CH_3$	3-pyridyl	1-pyrrolidinocarbonyl
1572	$NHSO_2CH_3$	3-pyridyl	2-(methylsulfonyl)phenyl
1573	$NHSO_2CH_3$	3-pyridyl	4-morpholino
1574	$NHSO_2CH_3$	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1575	$NHSO_2CH_3$	3-pyridyl	4-morpholinocarbonyl
1576	$NHSO_2CH_3$	2-pyrimidyl	2-(aminosulfonyl)phenyl
1577	$NHSO_2CH_3$	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
1578	$NHSO_2CH_3$	2-pyrimidyl	1-pyrrolidinocarbonyl
1579	$NHSO_2CH_3$	2-pyrimidyl	2-(methylsulfonyl)phenyl
1580	$NHSO_2CH_3$	2-pyrimidyl	4-morpholino
1581	$NHSO_2CH_3$	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1582	$NHSO_2CH_3$	2-pyrimidyl	4-morpholinocarbonyl
1583	$NHSO_2CH_3$	5-pyrimidyl	2-(aminosulfonyl)phenyl
1584	$NHSO_2CH_3$	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
1585	$NHSO_2CH_3$	5-pyrimidyl	1-pyrrolidinocarbonyl
1586	$NHSO_2CH_3$	5-pyrimidyl	2-(methylsulfonyl)phenyl
1587	$NHSO_2CH_3$	5-pyrimidyl	4-morpholino
1588	$NHSO_2CH_3$	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1589	$NHSO_2CH_3$	5-pyrimidyl	4-morpholinocarbonyl
1590	$NHSO_2CH_3$	2-Cl-phenyl	2-(aminosulfonyl)phenyl
1591	$NHSO_2CH_3$	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
1592	$NHSO_2CH_3$	2-Cl-phenyl	1-pyrrolidinocarbonyl
1593	$NHSO_2CH_3$	2-Cl-phenyl	2-(methylsulfonyl)phenyl
1594	$NHSO_2CH_3$	2-Cl-phenyl	4-morpholino
1595	$NHSO_2CH_3$	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1596	$NHSO_2CH_3$	2-Cl-phenyl	4-morpholinocarbonyl
1597	$NHSO_2CH_3$	2-F-phenyl	2-(aminosulfonyl)phenyl
1598	$NHSO_2CH_3$	2-F-phenyl	2-(methylaminosulfonyl)phenyl
1599	$NHSO_2CH_3$	2-F-phenyl	1-pyrrolidinocarbonyl
1600	$NHSO_2CH_3$	2-F-phenyl	2-(methylsulfonyl)phenyl
1601	$NHSO_2CH_3$	2-F-phenyl	4-morpholino
1602	$NHSO_2CH_3$	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1603	$NHSO_2CH_3$	2-F-phenyl	4-morpholinocarbonyl
1604	$NHSO_2CH_3$	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
1605	$NHSO_2CH_3$	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
1606	$NHSO_2CH_3$	2,5-diF-phenyl	1-pyrrolidinocarbonyl
1607	$NHSO_2CH_3$	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
1608	$NHSO_2CH_3$	2,5-diF-phenyl	4-morpholino
1609	$NHSO_2CH_3$	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
1610	$NHSO_2CH_3$	2,5-diF-phenyl	4-morpholinocarbonyl
1611	$NHSO_2CH_3$	phenyl	2-(N-pyrrolidinyl-methyl)phenyl
1612	$NHSO_2CH_3$	phenyl	2-(N-piperidinyl-methyl)phenyl
1613	$NHSO_2CH_3$	phenyl	2-(N-morpholino-methyl)phenyl

	1614	$NHSO_2CH_3$	phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1615	$NHSO_2CH_3$	phenyl	2-(N-pyridinium-methyl)phenyl
	1616	$NHSO_2CH_3$	phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1617	$NHSO_2CH_3$	phenyl	2-(N-azatanyl-methyl)phenyl
	1618	$NHSO_2CH_3$	phenyl	2-(N-azetidinyl-methyl)phenyl
	1619	$NHSO_2CH_3$	phenyl	2-(N-piperazinyl-methyl)phenyl
	1620	$NHSO_2CH_3$	phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1621	$NHSO_2CH_3$	phenyl	2-(N-imidazolyl-methyl)phenyl
	1622	$NHSO_2CH_3$	phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1623	$NHSO_2CH_3$	phenyl	2-(N-pyridonyl-methyl)phenyl
	1624	$NHSO_2CH_3$	phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1625	$NHSO_2CH_3$	phenyl	2-(amidinyl)phenyl
	1626	$NHSO_2CH_3$	phenyl	2-(N-guanidinyl)phenyl
	1627	$NHSO_2CH_3$	phenyl	2-(imidazolyl)phenyl
	1628	$NHSO_2CH_3$	phenyl	2-(imidazolidinyl)phenyl
	1629	$NHSO_2CH_3$	phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1630	$NHSO_2CH_3$	phenyl	2-(2-pyrrolidinyl)phenyl
	1631	$NHSO_2CH_3$	phenyl	2-(2-piperidinyl)phenyl
	1632	$NHSO_2CH_3$	phenyl	2-(amidinyl-methyl)phenyl
	1633	$NHSO_2CH_3$	phenyl	2-(2-imidazolidinyl-methyl)phenyl
	1634	$NHSO_2CH_3$	phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1635	$NHSO_2CH_3$	phenyl	2-dimethylaminoimidazol-1-yl
	1636	$NHSO_2CH_3$	phenyl	2-(3-aminophenyl)
	1637	$NHSO_2CH_3$	phenyl	2-(3-pyrrolidinylcarbonyl)
	1638	$NHSO_2CH_3$	phenyl	2-glycinoyl
	1639	$NHSO_2CH_3$	phenyl	2-(imidazol-1-ylacetyl)
	1640	$NHSO_2CH_3$	2-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
	1641	$NHSO_2CH_3$	2-pyridyl	2-(N-piperidinyl-methyl)phenyl
	1642	$NHSO_2CH_3$	2-pyridyl	2-(N-morpholino-methyl)phenyl
	1643	$NHSO_2CH_3$	2-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1644	$NHSO_2CH_3$	2-pyridyl	2-(N-pyridinium-methyl)phenyl
	1645	$NHSO_2CH_3$	2-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1646	$NHSO_2CH_3$	2-pyridyl	2-(N-azatanyl-methyl)phenyl
	1647	$NHSO_2CH_3$	2-pyridyl	2-(N-azetidinyl-methyl)phenyl
	1648	$NHSO_2CH_3$	2-pyridyl	2-(N-piperazinyl-methyl)phenyl
	1649	$NHSO_2CH_3$	2-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1650	$NHSO_2CH_3$	2-pyridyl	2-(N-imidazolyl-methyl)phenyl
	1651	$NHSO_2CH_3$	2-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
	1652	$NHSO_2CH_3$	2-pyridyl	2-(N-pyridonyl-methyl)phenyl
	1653	$NHSO_2CH_3$	2-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl

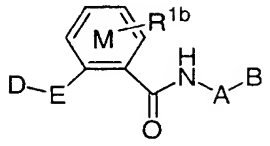
	1654	$NHSO_2CH_3$	2-pyridyl	2-(amidinyl)phenyl
	1655	$NHSO_2CH_3$	2-pyridyl	2-(N-guanidinyl)phenyl
	1656	$NHSO_2CH_3$	2-pyridyl	2-(imidazolyl)phenyl
	1657	$NHSO_2CH_3$	2-pyridyl	2-(imidazolidinyl)phenyl
5	1658	$NHSO_2CH_3$	2-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1659	$NHSO_2CH_3$	2-pyridyl	2-(2-pyrrolidinyl)phenyl
	1660	$NHSO_2CH_3$	2-pyridyl	2-(2-piperidinyl)phenyl
	1661	$NHSO_2CH_3$	2-pyridyl	2-(amidinyl-methyl)phenyl
10	1662	$NHSO_2CH_3$	2-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	1663	$NHSO_2CH_3$	2-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
	1664	$NHSO_2CH_3$	2-pyridyl	2-dimethylaminoimidazol-1-yl
15	1665	$NHSO_2CH_3$	2-pyridyl	2-(3-aminophenyl)
	1666	$NHSO_2CH_3$	2-pyridyl	2-(3-pyrrolidinylcarbonyl)
	1667	$NHSO_2CH_3$	2-pyridyl	2-glycinoyl
	1668	$NHSO_2CH_3$	2-pyridyl	2-(imidazol-1-ylacetyl)
	1669	$NHSO_2CH_3$	3-pyridyl	2-(N-pyrrolidinyl-methyl)phenyl
20	1670	$NHSO_2CH_3$	3-pyridyl	2-(N-piperidinyl-methyl)phenyl
	1671	$NHSO_2CH_3$	3-pyridyl	2-(N-morpholino-methyl)phenyl
	1672	$NHSO_2CH_3$	3-pyridyl	2-(N,N'-methylmorpholinium-methyl)phenyl
	1673	$NHSO_2CH_3$	3-pyridyl	2-(N-pyridinium-methyl)phenyl
25	1674	$NHSO_2CH_3$	3-pyridyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
	1675	$NHSO_2CH_3$	3-pyridyl	2-(N-azatanyl-methyl)phenyl
	1676	$NHSO_2CH_3$	3-pyridyl	2-(N-azetidinyl-methyl)phenyl
	1677	$NHSO_2CH_3$	3-pyridyl	2-(N-piperazinyl-methyl)phenyl
30	1678	$NHSO_2CH_3$	3-pyridyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
	1679	$NHSO_2CH_3$	3-pyridyl	2-(N-imidazolyl-methyl)phenyl
	1680	$NHSO_2CH_3$	3-pyridyl	2-(N-methoxy-N-methylamino-methyl)phenyl
35	1681	$NHSO_2CH_3$	3-pyridyl	2-(N-pyridonyl-methyl)phenyl
	1682	$NHSO_2CH_3$	3-pyridyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
	1683	$NHSO_2CH_3$	3-pyridyl	2-(amidinyl)phenyl
	1684	$NHSO_2CH_3$	3-pyridyl	2-(N-guanidinyl)phenyl
40	1685	$NHSO_2CH_3$	3-pyridyl	2-(imidazolyl)phenyl
	1686	$NHSO_2CH_3$	3-pyridyl	2-(imidazolidinyl)phenyl
	1687	$NHSO_2CH_3$	3-pyridyl	2-(2-imidazolidinyl-sulfonyl)phenyl
	1688	$NHSO_2CH_3$	3-pyridyl	2-(2-pyrrolidinyl)phenyl
45	1689	$NHSO_2CH_3$	3-pyridyl	2-(2-piperidinyl)phenyl
	1690	$NHSO_2CH_3$	3-pyridyl	2-(amidinyl-methyl)phenyl
	1691	$NHSO_2CH_3$	3-pyridyl	2-(2-imidazolidinyl-methyl)phenyl
	1692	$NHSO_2CH_3$	3-pyridyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
50				
	1693	$NHSO_2CH_3$	3-pyridyl	2-dimethylaminoimidazol-1-yl
	1694	$NHSO_2CH_3$	3-pyridyl	2-(3-aminophenyl)
	1695	$NHSO_2CH_3$	3-pyridyl	2-(3-pyrrolidinylcarbonyl)

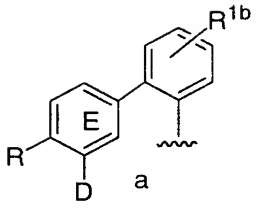
1696	$NHSO_2CH_3$	3-pyridyl	2-glycinoyl
1697	$NHSO_2CH_3$	3-pyridyl	2-(imidazol-1-ylacetyl)
1698	$NHSO_2CH_3$	2-pyrimidyl	2-(N-pyrrolidinyl-methyl)phenyl
1699	$NHSO_2CH_3$	2-pyrimidyl	2-(N-piperidinyl-methyl)phenyl
1700	$NHSO_2CH_3$	2-pyrimidyl	2-(N-morpholino-methyl)phenyl
1701	$NHSO_2CH_3$	2-pyrimidyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1702	$NHSO_2CH_3$	2-pyrimidyl	2-(N-pyridinium-methyl)phenyl
1703	$NHSO_2CH_3$	2-pyrimidyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1704	$NHSO_2CH_3$	2-pyrimidyl	2-(N-azatanyl-methyl)phenyl
1705	$NHSO_2CH_3$	2-pyrimidyl	2-(N-azetidinyl-methyl)phenyl
1706	$NHSO_2CH_3$	2-pyrimidyl	2-(N-piperazinyl-methyl)phenyl
1707	$NHSO_2CH_3$	2-pyrimidyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1708	$NHSO_2CH_3$	2-pyrimidyl	2-(N-imidazolyl-methyl)phenyl
1709	$NHSO_2CH_3$	2-pyrimidyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1710	$NHSO_2CH_3$	2-pyrimidyl	2-(N-pyridonyl-methyl)phenyl
1711	$NHSO_2CH_3$	2-pyrimidyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1712	$NHSO_2CH_3$	2-pyrimidyl	2-(amidinyl)phenyl
1713	$NHSO_2CH_3$	2-pyrimidyl	2-(N-guanidinyl)phenyl
1714	$NHSO_2CH_3$	2-pyrimidyl	2-(imidazolyl)phenyl
1715	$NHSO_2CH_3$	2-pyrimidyl	2-(imidazolidinyl)phenyl
1716	$NHSO_2CH_3$	2-pyrimidyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1717	$NHSO_2CH_3$	2-pyrimidyl	2-(2-pyrrolidinyl)phenyl
1718	$NHSO_2CH_3$	2-pyrimidyl	2-(2-piperidinyl)phenyl
1719	$NHSO_2CH_3$	2-pyrimidyl	2-(amidinyl-methyl)phenyl
1720	$NHSO_2CH_3$	2-pyrimidyl	2-(2-imidazolidinyl-methyl)phenyl
1721	$NHSO_2CH_3$	2-pyrimidyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1722	$NHSO_2CH_3$	2-pyrimidyl	2-dimethylaminoimidazol-1-yl
1723	$NHSO_2CH_3$	2-pyrimidyl	2-(3-aminophenyl)
1724	$NHSO_2CH_3$	2-pyrimidyl	2-(3-pyrrolidinylcarbonyl)
1725	$NHSO_2CH_3$	2-pyrimidyl	2-glycinoyl
1726	$NHSO_2CH_3$	2-pyrimidyl	2-(imidazol-1-ylacetyl)
1727	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
1728	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-piperidinyl-methyl)phenyl
1729	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-morpholino-methyl)phenyl
1730	$NHSO_2CH_3$	2-Cl-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1731	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-pyridinium-methyl)phenyl
1732	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1733	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-azatanyl-methyl)phenyl
1734	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-azetidinyl-methyl)phenyl
1735	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-piperazinyl-methyl)phenyl
1736	$NHSO_2CH_3$	2-Cl-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1737	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-imidazolyl-methyl)phenyl

1738	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1739	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-pyridonyl-methyl)phenyl
1740	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1741	$NHSO_2CH_3$	2-Cl-phenyl	2-(amidinyl)phenyl
1742	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-guanidinyl)phenyl
1743	$NHSO_2CH_3$	2-Cl-phenyl	2-(imidazolyl)phenyl
1744	$NHSO_2CH_3$	2-Cl-phenyl	2-(imidazolidinyl)phenyl
1745	$NHSO_2CH_3$	2-Cl-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1746	$NHSO_2CH_3$	2-Cl-phenyl	2-(2-pyrrolidinyl)phenyl
1747	$NHSO_2CH_3$	2-Cl-phenyl	2-(2-piperidinyl)phenyl
1748	$NHSO_2CH_3$	2-Cl-phenyl	2-(amidinyl-methyl)phenyl
1749	$NHSO_2CH_3$	2-Cl-phenyl	2-(2-imidazolidinyl-methyl)phenyl
1750	$NHSO_2CH_3$	2-Cl-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1751	$NHSO_2CH_3$	2-Cl-phenyl	2-dimethylaminoimidazol-1-yl
1752	$NHSO_2CH_3$	2-Cl-phenyl	2-(3-aminophenyl)
1753	$NHSO_2CH_3$	2-Cl-phenyl	2-(3-pyrrolidinylcarbonyl)
1754	$NHSO_2CH_3$	2-Cl-phenyl	2-glycinoyl
1755	$NHSO_2CH_3$	2-Cl-phenyl	2-(imidazol-1-ylacetyl)
1756	$NHSO_2CH_3$	2-F-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
1757	$NHSO_2CH_3$	2-F-phenyl	2-(N-piperidinyl-methyl)phenyl
1758	$NHSO_2CH_3$	2-F-phenyl	2-(N-morpholino-methyl)phenyl
1759	$NHSO_2CH_3$	2-F-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1760	$NHSO_2CH_3$	2-F-phenyl	2-(N-pyridinium-methyl)phenyl
1761	$NHSO_2CH_3$	2-F-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1762	$NHSO_2CH_3$	2-F-phenyl	2-(N-azatanyl-methyl)phenyl
1763	$NHSO_2CH_3$	2-F-phenyl	2-(N-azetidinyl-methyl)phenyl
1764	$NHSO_2CH_3$	2-F-phenyl	2-(N-piperazinyl-methyl)phenyl
1765	$NHSO_2CH_3$	2-F-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1766	$NHSO_2CH_3$	2-F-phenyl	2-(N-imidazolyl-methyl)phenyl
1767	$NHSO_2CH_3$	2-F-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1768	$NHSO_2CH_3$	2-F-phenyl	2-(N-pyridonyl-methyl)phenyl
1769	$NHSO_2CH_3$	2-F-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1770	$NHSO_2CH_3$	2-F-phenyl	2-(amidinyl)phenyl
1771	$NHSO_2CH_3$	2-F-phenyl	2-(N-guanidinyl)phenyl
1772	$NHSO_2CH_3$	2-F-phenyl	2-(imidazolyl)phenyl
1773	$NHSO_2CH_3$	2-F-phenyl	2-(imidazolidinyl)phenyl
1774	$NHSO_2CH_3$	2-F-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1775	$NHSO_2CH_3$	2-F-phenyl	2-(2-pyrrolidinyl)phenyl
1776	$NHSO_2CH_3$	2-F-phenyl	2-(2-piperidinyl)phenyl
1777	$NHSO_2CH_3$	2-F-phenyl	2-(amidinyl-methyl)phenyl
1778	$NHSO_2CH_3$	2-F-phenyl	2-(2-imidazolidinyl-methyl)phenyl

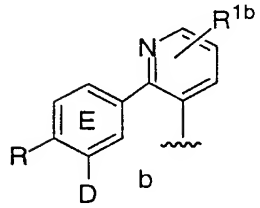
1779	$NHSO_2CH_3$	2-F-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1780	$NHSO_2CH_3$	2-F-phenyl	2-dimethylaminoimidazol-1-yl
1781	$NHSO_2CH_3$	2-F-phenyl	2-(3-aminophenyl)
1782	$NHSO_2CH_3$	2-F-phenyl	2-(3-pyrrolidinylcarbonyl)
1783	$NHSO_2CH_3$	2-F-phenyl	2-glycinoyl
1784	$NHSO_2CH_3$	2-F-phenyl	2-(imidazol-1-ylacetyl)
1785	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-pyrrolidinyl-methyl)phenyl
1786	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-piperidinyl-methyl)phenyl
1787	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-morpholino-methyl)phenyl
1788	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N,N'-methylmorpholinium-methyl)phenyl
1789	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-pyridinium-methyl)phenyl
1790	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-4-(N,N'-dimethylamino)-pyridinium-methyl)phenyl
1791	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-azatanyl-methyl)phenyl
1792	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-azetidinyl-methyl)phenyl
1793	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-piperazinyl-methyl)phenyl
1794	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N,N'-BOC-piperazinyl-methyl)phenyl
1795	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-imidazolyl-methyl)phenyl
1796	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-methoxy-N-methylamino-methyl)phenyl
1797	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-pyridonyl-methyl)phenyl
1798	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-(N',N'-dimethylhydrazinyl-methyl)phenyl
1799	$NHSO_2CH_3$	2,5-diF-phenyl	2-(amidinyl)phenyl
1800	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-guanidinyl)phenyl
1801	$NHSO_2CH_3$	2,5-diF-phenyl	2-(imidazolyl)phenyl
1802	$NHSO_2CH_3$	2,5-diF-phenyl	2-(imidazolidinyl)phenyl
1803	$NHSO_2CH_3$	2,5-diF-phenyl	2-(2-imidazolidinyl-sulfonyl)phenyl
1804	$NHSO_2CH_3$	2,5-diF-phenyl	2-(2-pyrrolidinyl)phenyl
1805	$NHSO_2CH_3$	2,5-diF-phenyl	2-(2-piperidinyl)phenyl
1806	$NHSO_2CH_3$	2,5-diF-phenyl	2-(amidinyl-methyl)phenyl
1807	$NHSO_2CH_3$	2,5-diF-phenyl	2-(2-imidazolidinyl-methyl)phenyl
1808	$NHSO_2CH_3$	2,5-diF-phenyl	2-(N-(2-aminoimidazolyl)-methyl)phenyl
1809	$NHSO_2CH_3$	2,5-diF-phenyl	2-dimethylaminoimidazol-1-yl
1810	$NHSO_2CH_3$	2,5-diF-phenyl	2-(3-aminophenyl)
1811	$NHSO_2CH_3$	2,5-diF-phenyl	2-(3-pyrrolidinylcarbonyl)
1812	$NHSO_2CH_3$	2,5-diF-phenyl	2-glycinoyl
1813	$NHSO_2CH_3$	2,5-diF-phenyl	2-(imidazol-1-ylacetyl)

Table 3

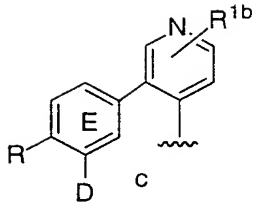




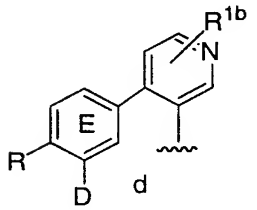
a_1 R=F, D=NH_2
a_2 R=H, D=NH_2
a_3 R=F, D=CH_2NH_2
a_4 R=H, D=CH_2NH_2
a_5 R=F, D=C(=NH)NH_2
a_6 R=H, D=C(=NH)NH_2
a_7 R=F, D=C(O)NH_2
a_8 R=H, D=C(O)NH_2



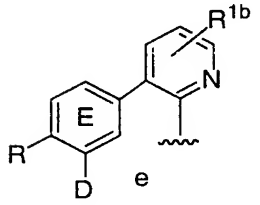
b_1 R=F, D=NH_2
b_2 R=H, D=NH_2
b_3 R=F, D=CH_2NH_2
b_4 R=H, D=CH_2NH_2
b_5 R=F, D=C(=NH)NH_2
b_6 R=H, D=C(=NH)NH_2
b_7 R=F, D=C(O)NH_2
b_8 R=H, D=C(O)NH_2



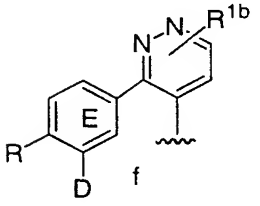
c_1 R=F, D=NH_2
c_2 R=H, D=NH_2
c_3 R=F, D=CH_2NH_2
c_4 R=H, D=CH_2NH_2
c_5 R=F, D=C(=NH)NH_2
c_6 R=H, D=C(=NH)NH_2
c_7 R=F, D=C(O)NH_2
c_8 R=H, D=C(O)NH_2



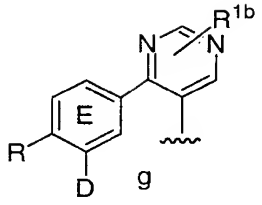
d_1 R=F, D=NH_2
d_2 R=H, D=NH_2
d_3 R=F, D=CH_2NH_2
d_4 R=H, D=CH_2NH_2
d_5 R=F, D=C(=NH)NH_2
d_6 R=H, D=C(=NH)NH_2
d_7 R=F, D=C(O)NH_2
d_8 R=H, D=C(O)NH_2



e_1 R=F, D=NH_2
e_2 R=H, D=NH_2
e_3 R=F, D=CH_2NH_2
e_4 R=H, D=CH_2NH_2
e_5 R=F, D=C(=NH)NH_2
e_6 R=H, D=C(=NH)NH_2
e_7 R=F, D=C(O)NH_2
e_8 R=H, D=C(O)NH_2

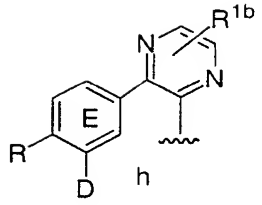


f_1 R=F, D=NH_2
f_2 R=H, D=NH_2
f_3 R=F, D=CH_2NH_2
f_4 R=H, D=CH_2NH_2
f_5 R=F, D=C(=NH)NH_2
f_6 R=H, D=C(=NH)NH_2
f_7 R=F, D=C(O)NH_2
f_8 R=H, D=C(O)NH_2



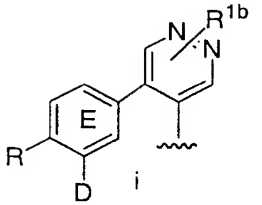
g

g_1 R=F, D=NH_2
g_2 R=H, D=NH_2
g_3 R=F, D=CH_2NH_2
g_4 R=H, D=CH_2NH_2
g_5 R=F, D=C(=NH)NH_2
g_6 R=H, D=C(=NH)NH_2
g_7 R=F, D=C(O)NH_2
g_8 R=H, D=C(O)NH_2



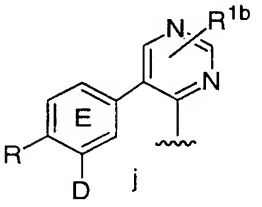
h

h_1 R=F, D=NH_2
h_2 R=H, D=NH_2
h_3 R=F, D=CH_2NH_2
h_4 R=H, D=CH_2NH_2
h_5 R=F, D=C(=NH)NH_2
h_6 R=H, D=C(=NH)NH_2
h_7 R=F, D=C(O)NH_2
h_8 R=H, D=C(O)NH_2



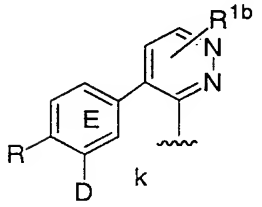
i

i_1 R=F, D=NH_2
i_2 R=H, D=NH_2
i_3 R=F, D=CH_2NH_2
i_4 R=H, D=CH_2NH_2
i_5 R=F, D=C(=NH)NH_2
i_6 R=H, D=C(=NH)NH_2
i_7 R=F, D=C(O)NH_2
i_8 R=H, D=C(O)NH_2



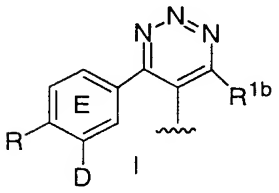
j

j_1 R=F, D=NH_2
j_2 R=H, D=NH_2
j_3 R=F, D=CH_2NH_2
j_4 R=H, D=CH_2NH_2
j_5 R=F, D=C(=NH)NH_2
j_6 R=H, D=C(=NH)NH_2
j_7 R=F, D=C(O)NH_2
j_8 R=H, D=C(O)NH_2



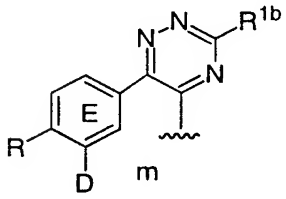
k

k_1 R=F, D=NH_2
k_2 R=H, D=NH_2
k_3 R=F, D=CH_2NH_2
k_4 R=H, D=CH_2NH_2
k_5 R=F, D=C(=NH)NH_2
k_6 R=H, D=C(=NH)NH_2
k_7 R=F, D=C(O)NH_2
k_8 R=H, D=C(O)NH_2



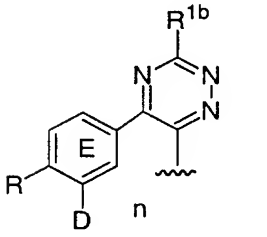
l

l_1 R=F, D=NH_2
l_2 R=H, D=NH_2
l_3 R=F, D=CH_2NH_2
l_4 R=H, D=CH_2NH_2
l_5 R=F, D=C(=NH)NH_2
l_6 R=H, D=C(=NH)NH_2
l_7 R=F, D=C(O)NH_2
l_8 R=H, D=C(O)NH_2



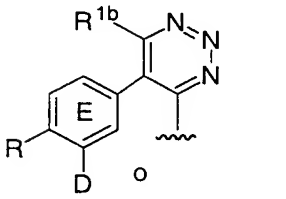
m

m_1 R=F, D=NH_2
m_2 R=H, D=NH_2
m_3 R=F, D=CH_2NH_2
m_4 R=H, D=CH_2NH_2
m_5 R=F, D=C(=NH)NH_2
m_6 R=H, D=C(=NH)NH_2
m_7 R=F, D=C(O)NH_2
m_8 R=H, D=C(O)NH_2



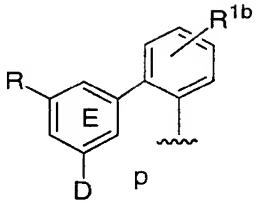
n

n_1 R=F, D=NH_2
n_2 R=H, D=NH_2
n_3 R=F, D=CH_2NH_2
n_4 R=H, D=CH_2NH_2
n_5 R=F, D=C(=NH)NH_2
n_6 R=H, D=C(=NH)NH_2
n_7 R=F, D=C(O)NH_2
n_8 R=H, D=C(O)NH_2



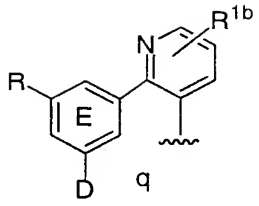
o

o_1 R=F, D=NH_2
o_2 R=H, D=NH_2
o_3 R=F, D=CH_2NH_2
o_4 R=H, D=CH_2NH_2
o_5 R=F, D=C(=NH)NH_2
o_6 R=H, D=C(=NH)NH_2
o_7 R=F, D=C(O)NH_2
o_8 R=H, D=C(O)NH_2



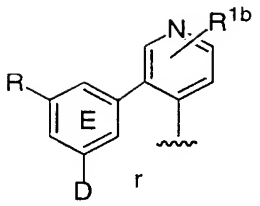
p

p_1 R=F, D=NH_2
p_2 R=Cl, D=NH_2
p_3 R=OMe, D=NH_2
p_4 R=F, D=CH_2NH_2
p_5 R=Cl, D=CH_2NH_2
p_6 R=OMe, D=CH_2NH_2
p_7 R=F, D=C(=NH)NH_2
p_8 R=Cl, D=C(=NH)NH_2
p_9 R=OMe, D=C(=NH)NH_2
p_{10} R=F, D=C(O)NH_2
p_{11} R=Cl, D=C(O)NH_2
p_{12} R=OMe, D=C(O)NH_2



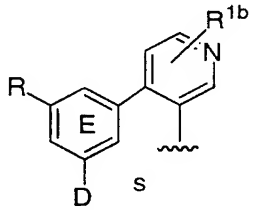
q

q_1 R=F, D=NH_2
q_2 R=Cl, D=NH_2
q_3 R=OMe, D=NH_2
q_4 R=F, D=CH_2NH_2
q_5 R=Cl, D=CH_2NH_2
q_6 R=OMe, D=CH_2NH_2
q_7 R=F, D=C(=NH)NH_2
q_8 R=Cl, D=C(=NH)NH_2
q_9 R=OMe, D=C(=NH)NH_2
q_{10} R=F, D=C(O)NH_2
q_{11} R=Cl, D=C(O)NH_2
q_{12} R=OMe, D=C(O)NH_2



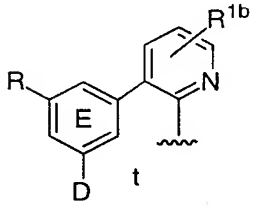
r

r_1 R=F, D=NH_2
r_2 R=Cl, D=NH_2
r_3 R=OMe, D=NH_2
r_4 R=F, D=CH_2NH_2
r_5 R=Cl, D=CH_2NH_2
r_6 R=OMe, D=CH_2NH_2
r_7 R=F, D=C(=NH)NH_2
r_8 R=Cl, D=C(=NH)NH_2
r_9 R=OMe, D=C(=NH)NH_2
r_{10} R=F, D=C(O)NH_2
r_{11} R=Cl, D=C(O)NH_2
r_{12} R=OMe, D=C(O)NH_2



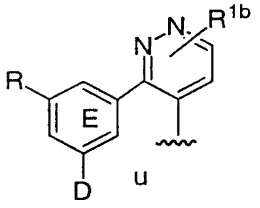
s

s_1 R=F, D=NH_2
s_2 R=Cl, D=NH_2
s_3 R=OMe, D=NH_2
s_4 R=F, D=CH_2NH_2
s_5 R=Cl, D=CH_2NH_2
s_6 R=OMe, D=CH_2NH_2
s_7 R=F, D=C(=NH)NH_2
s_8 R=Cl, D=C(=NH)NH_2
s_9 R=OMe, D=C(=NH)NH_2
s_{10} R=F, D=C(O)NH_2
s_{11} R=Cl, D=C(O)NH_2
s_{12} R=OMe, D=C(O)NH_2



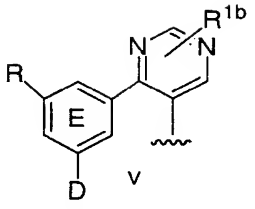
t

t_1 R=F, D=NH_2
t_2 R=Cl, D=NH_2
t_3 R=OMe, D=NH_2
t_4 R=F, D=CH_2NH_2
t_5 R=Cl, D=CH_2NH_2
t_6 R=OMe, D=CH_2NH_2
t_7 R=F, D=C(=NH)NH_2
t_8 R=Cl, D=C(=NH)NH_2
t_9 R=OMe, D=C(=NH)NH_2
t_{10} R=F, D=C(O)NH_2
t_{11} R=Cl, D=C(O)NH_2
t_{12} R=OMe, D=C(O)NH_2



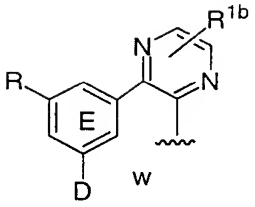
u

u_1 R=F, D=NH_2
u_2 R=Cl, D=NH_2
u_3 R=OMe, D=NH_2
u_4 R=F, D=CH_2NH_2
u_5 R=Cl, D=CH_2NH_2
u_6 R=OMe, D=CH_2NH_2
u_7 R=F, D=C(=NH)NH_2
u_8 R=Cl, D=C(=NH)NH_2
u_9 R=OMe, D=C(=NH)NH_2
u_{10} R=F, D=C(O)NH_2
u_{11} R=Cl, D=C(O)NH_2
u_{12} R=OMe, D=C(O)NH_2



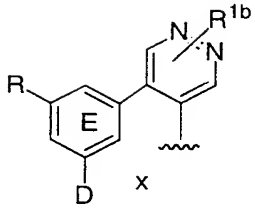
v

v_1 R=F, D=NH_2
v_2 R=Cl, D=NH_2
v_3 R=OMe, D=NH_2
v_4 R=F, D=CH_2NH_2
v_5 R=Cl, D=CH_2NH_2
v_6 R=OMe, D=CH_2NH_2
v_7 R=F, D=C(=NH)NH_2
v_8 R=Cl, D=C(=NH)NH_2
v_9 R=OMe, D=C(=NH)NH_2
v_{10} R=F, D=C(O)NH_2
v_{11} R=Cl, D=C(O)NH_2
v_{12} R=OMe, D=C(O)NH_2



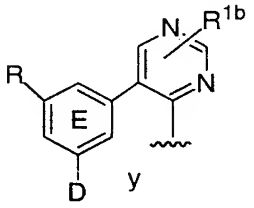
w

w_1 R=F, D=NH_2
w_2 R=Cl, D=NH_2
w_3 R=OMe, D=NH_2
w_4 R=F, D=CH_2NH_2
w_5 R=Cl, D=CH_2NH_2
w_6 R=OMe, D=CH_2NH_2
w_7 R=F, D=C(=NH)NH_2
w_8 R=Cl, D=C(=NH)NH_2
w_9 R=OMe, D=C(=NH)NH_2
w_{10} R=F, D=C(O)NH_2
w_{11} R=Cl, D=C(O)NH_2
w_{12} R=OMe, D=C(O)NH_2



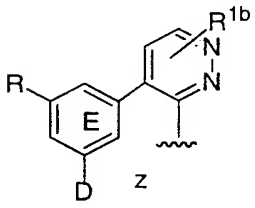
x

x_1 R=F, D=NH_2
x_2 R=Cl, D=NH_2
x_3 R=OMe, D=NH_2
x_4 R=F, D=CH_2NH_2
x_5 R=Cl, D=CH_2NH_2
x_6 R=OMe, D=CH_2NH_2
x_7 R=F, D=C(=NH)NH_2
x_8 R=Cl, D=C(=NH)NH_2
x_9 R=OMe, D=C(=NH)NH_2
x_{10} R=F, D=C(O)NH_2
x_{11} R=Cl, D=C(O)NH_2
x_{12} R=OMe, D=C(O)NH_2



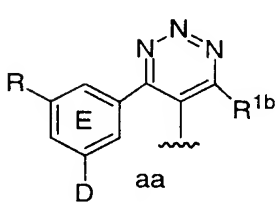
y

y_1 R=F, D=NH_2
y_2 R=Cl, D=NH_2
y_3 R=OMe, D=NH_2
y_4 R=F, D=CH_2NH_2
y_5 R=Cl, D=CH_2NH_2
y_6 R=OMe, D=CH_2NH_2
y_7 R=F, D=C(=NH)NH_2
y_8 R=Cl, D=C(=NH)NH_2
y_9 R=OMe, D=C(=NH)NH_2
y_{10} R=F, D=C(O)NH_2
y_{11} R=Cl, D=C(O)NH_2
y_{12} R=OMe, D=C(O)NH_2



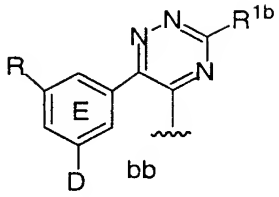
z

z_1 R=F, D=NH_2
z_2 R=Cl, D=NH_2
z_3 R=OMe, D=NH_2
z_4 R=F, D=CH_2NH_2
z_5 R=Cl, D=CH_2NH_2
z_6 R=OMe, D=CH_2NH_2
z_7 R=F, D=C(=NH)NH_2
z_8 R=Cl, D=C(=NH)NH_2
z_9 R=OMe, D=C(=NH)NH_2
z_{10} R=F, D=C(O)NH_2
z_{11} R=Cl, D=C(O)NH_2
z_{12} R=OMe, D=C(O)NH_2



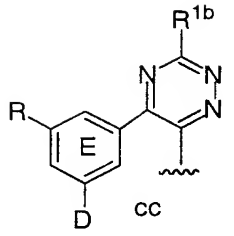
aa

aa_1 R=F, D=NH_2
aa_2 R=Cl, D=NH_2
aa_3 R=OMe, D=NH_2
aa_4 R=F, D=CH_2NH_2
aa_5 R=Cl, D=CH_2NH_2
aa_6 R=OMe, D=CH_2NH_2
aa_7 R=F, D=C(=NH)NH_2
aa_8 R=Cl, D=C(=NH)NH_2
aa_9 R=OMe, D=C(=NH)NH_2
aa_{10} R=F, D=C(O)NH_2
aa_{11} R=Cl, D=C(O)NH_2
aa_{12} R=OMe, D=C(O)NH_2



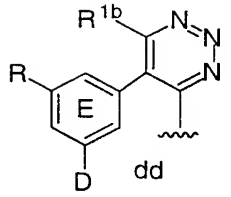
bb

bb_1 R=F, D=NH_2
bb_2 R=Cl, D=NH_2
bb_3 R=OMe, D=NH_2
bb_4 R=F, D=CH_2NH_2
bb_5 R=Cl, D=CH_2NH_2
bb_6 R=OMe, D=CH_2NH_2
bb_7 R=F, D=C(=NH)NH_2
bb_8 R=Cl, D=C(=NH)NH_2
bb_9 R=OMe, D=C(=NH)NH_2
bb_{10} R=F, D=C(O)NH_2
bb_{11} R=Cl, D=C(O)NH_2
bb_{12} R=OMe, D=C(O)NH_2



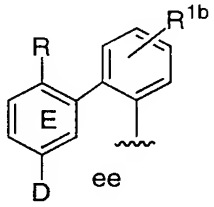
cc

cc_1 R=F, D=NH_2
cc_2 R=Cl, D=NH_2
cc_3 R=OMe, D=NH_2
cc_4 R=F, D=CH_2NH_2
cc_5 R=Cl, D=CH_2NH_2
cc_6 R=OMe, D=CH_2NH_2
cc_7 R=F, D=C(=NH)NH_2
cc_8 R=Cl, D=C(=NH)NH_2
cc_9 R=OMe, D=C(=NH)NH_2
cc_{10} R=F, D=C(O)NH_2
cc_{11} R=Cl, D=C(O)NH_2
cc_{12} R=OMe, D=C(O)NH_2



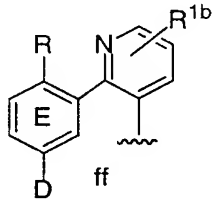
dd

dd_1 R=F, D=NH_2
dd_2 R=Cl, D=NH_2
dd_3 R=OMe, D=NH_2
dd_4 R=F, D=CH_2NH_2
dd_5 R=Cl, D=CH_2NH_2
dd_6 R=OMe, D=CH_2NH_2
dd_7 R=F, D=C(=NH)NH_2
dd_8 R=Cl, D=C(=NH)NH_2
dd_9 R=OMe, D=C(=NH)NH_2
dd_{10} R=F, D=C(O)NH_2
dd_{11} R=Cl, D=C(O)NH_2
dd_{12} R=OMe, D=C(O)NH_2



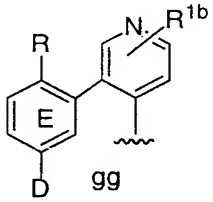
ee

ee_1 R=F, D=CH_2NH_2
ee_2 R=Cl, D=CH_2NH_2
ee_3 R=OMe, D=CH_2NH_2
ee_4 R=CH_2NH_2, D=CH_2NH_2



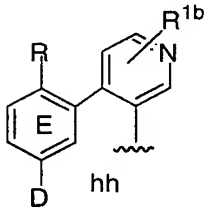
ff

ff_1 R=F, D=CH_2NH_2
ff_2 R=Cl, D=CH_2NH_2
ff_3 R=OMe, D=CH_2NH_2
ff_4 R=CH_2NH_2, D=CH_2NH_2



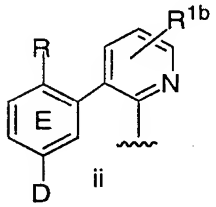
gg

gg_1 R=F, D=CH_2NH_2
gg_2 R=Cl, D=CH_2NH_2
gg_3 R=OMe, D=CH_2NH_2
gg_4 R=CH_2NH_2, D=CH_2NH_2



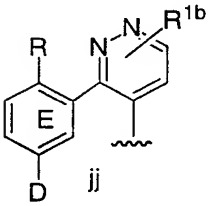
hh

hh_1 R=F, D=CH_2NH_2
hh_2 R=Cl, D=CH_2NH_2
hh_3 R=OMe, D=CH_2NH_2
hh_4 R=CH_2NH_2, D=CH_2NH_2



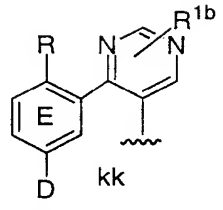
ii

ii_1 R=F, D=CH_2NH_2
ii_2 R=Cl, D=CH_2NH_2
ii_3 R=OMe, D=CH_2NH_2
ii_4 R=CH_2NH_2, D=CH_2NH_2



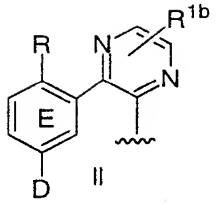
jj

jj_1 R=F, D=CH_2NH_2
jj_2 R=Cl, D=CH_2NH_2
jj_3 R=OMe, D=CH_2NH_2
jj_4 R=CH_2NH_2, D=CH_2NH_2



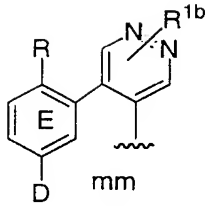
kk

kk_1 R=F, D=CH_2NH_2
kk_2 R=Cl, D=CH_2NH_2
kk_3 R=OMe, D=CH_2NH_2
kk_4 R=CH_2NH_2, D=CH_2NH_2



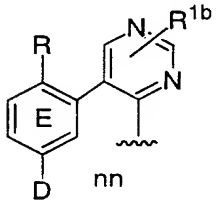
ll

ll_1 R=F, D=CH_2NH_2
ll_2 R=Cl, D=CH_2NH_2
ll_3 R=OMe, D=CH_2NH_2
ll_4 R=CH_2NH_2, D=CH_2NH_2



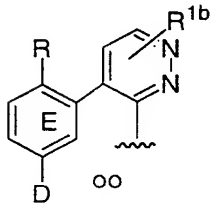
mm

mm_1 R=F, D=CH_2NH_2
mm_2 R=Cl, D=CH_2NH_2
mm_3 R=OMe, D=CH_2NH_2
mm_4 R=CH_2NH_2, D=CH_2NH_2



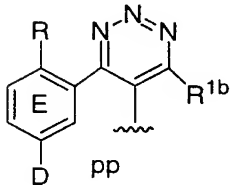
nn

nn_1 R=F, D=CH_2NH_2
nn_2 R=Cl, D=CH_2NH_2
nn_3 R=OMe, D=CH_2NH_2
nn_4 R=CH_2NH_2, D=CH_2NH_2



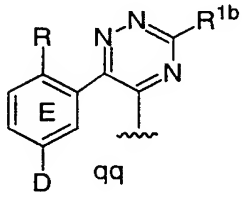
oo

oo_1 R=F, D=CH_2NH_2
oo_2 R=Cl, D=CH_2NH_2
oo_3 R=OMe, D=CH_2NH_2
oo_4 R=CH_2NH_2, D=CH_2NH_2



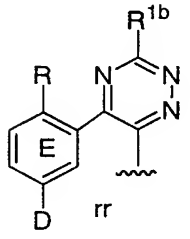
pp

pp_1 R=F, D=CH_2NH_2
pp_2 R=Cl, D=CH_2NH_2
pp_3 R=OMe, D=CH_2NH_2
pp_4 R=CH_2NH_2, D=CH_2NH_2



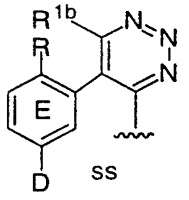
qq

qq_1 R=F, D=CH_2NH_2
qq_2 R=Cl, D=CH_2NH_2
qq_3 R=OMe, D=CH_2NH_2
qq_4 R=CH_2NH_2, D=CH_2NH_2



rr

rr_1 R=F, D=CH_2NH_2
rr_2 R=Cl, D=CH_2NH_2
rr_3 R=OMe, D=CH_2NH_2
rr_4 R=CH_2NH_2, D=CH_2NH_2



ss

ss_1 R=F, D=CH_2NH_2
ss_2 R=Cl, D=CH_2NH_2
ss_3 R=OMe, D=CH_2NH_2
ss_4 R=CH_2NH_2, D=CH_2NH_2

Ex#	R^{1b}	A	B
1	H	phenyl	2-((Me)$_2$N-methyl)phenyl
2	H	phenyl	2-((Me)NH-methyl)phenyl
3	H	phenyl	2-(H_2N-methyl)phenyl
4	H	phenyl	2-$HOCH_2$-phenyl
5	H	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
6	H	2-F-phenyl	2-((Me)NH-methyl)phenyl
7	H	2-F-phenyl	2-(H_2N-methyl)phenyl
8	H	2-F-phenyl	2-$HOCH_2$-phenyl
9	H	phenyl	2-methylimidazol-1-yl
10	H	phenyl	2-ethylimidazol-1-yl
11	H	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
12	H	phenyl	2-CH_3SO_2-imidazol-1-yl

13	H	phenyl	2-CH_3OCH_2-imidazol-1-yl
14	H	2-F-phenyl	2-methylimidazol-1-yl
15	H	2-F-phenyl	2-ethylimidazol-1-yl
16	H	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
17	H	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
18	H	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
19	H	2-Cl-phenyl	2-methylimidazol-1-yl
20	H	2-Cl-phenyl	2-ethylimidazol-1-yl
21	H	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
22	H	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
23	H	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
24	H	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
25	H	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
26	H	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
27	H	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
28	H	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
29	H	phenyl	N-methylimidazol-2-yl
30	H	phenyl	4-methylimidazol-5-yl
31	H	phenyl	5-CF_3-pyrazol-1-yl
32	H	2-F-phenyl	N-methylimidazol-2-yl
33	H	2-F-phenyl	4-methylimidazol-5-yl
34	H	2-F-phenyl	5-CF_3-pyrazol-1-yl
35	H	phenyl	guanidino
36	H	phenyl	2-thiazolin-2-ylamine
37	H	phenyl	N-methyl-2-imidazolin-2-yl
38	H	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
39	H	phenyl	N-methylimidazol-2-ylthiol
40	H	phenyl	t-butoxycarbonylamine
41	H	phenyl	(N-pyrrolidino)formylimino
42	H	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
43	H	2-F-phenyl	guanidino
44	H	2-F-phenyl	2-thiazolin-2-ylamine
45	H	2-F-phenyl	N-methyl-2-imidazolin-2-yl
46	H	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
47	H	2-F-phenyl	N-methylimidazol-2-ylthio
48	H	2-F-phenyl	t-butoxycarbonylamine
49	H	2-F-phenyl	(N-pyrrolidino)formylimino
50	H	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
51	H	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
52	H	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
53	-CN	phenyl	2-((Me)$_2$N-methyl)phenyl
54	-CN	phenyl	2-((Me)NH-methyl)phenyl
55	-CN	phenyl	2-(H_2N-methyl)phenyl
56	-CN	phenyl	2-$HOCH_2$-phenyl
57	-CN	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
58	-CN	2-F-phenyl	2-((Me)NH-methyl)phenyl
59	-CN	2-F-phenyl	2-(H_2N-methyl)phenyl
60	-CN	2-F-phenyl	2-$HOCH_2$-phenyl
61	-CN	phenyl	2-methylimidazol-1-yl
62	-CN	phenyl	2-ethylimidazol-1-yl

63	-CN	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
64	-CN	phenyl	2-CH_3SO_2-imidazol-1-yl
65	-CN	phenyl	2-CH_3OCH_2-imidazol-1-yl
66	-CN	2-F-phenyl	2-methylimidazol-1-yl
67	-CN	2-F-phenyl	2-ethylimidazol-1-yl
68	-CN	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
69	-CN	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
70	-CN	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
71	-CN	2-Cl-phenyl	2-methylimidazol-1-yl
72	-CN	2-Cl-phenyl	2-ethylimidazol-1-yl
73	-CN	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
74	-CN	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
75	-CN	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
76	-CN	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
77	-CN	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
78	-CN	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
79	-CN	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
80	-CN	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
81	-CN	phenyl	N-methylimidazol-2-yl
82	-CN	phenyl	4-methylimidazol-5-yl
83	-CN	phenyl	5-CF_3-pyrazol-1-yl
84	-CN	2-F-phenyl	N-methylimidazol-2-yl
85	-CN	2-F-phenyl	4-methylimidazol-5-yl
86	-CN	2-F-phenyl	5-CF_3-pyrazol-1-yl
87	-CN	phenyl	guanidino
88	-CN	phenyl	2-thiazolin-2-ylamine
89	-CN	phenyl	N-methyl-2-imidazolin-2-yl
90	-CN	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
91	-CN	phenyl	N-methylimidazol-2-ylthiol
92	-CN	phenyl	t-butoxycarbonylamine
93	-CN	phenyl	(N-pyrrolidino)formylimino
94	-CN	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
95	-CN	2-F-phenyl	guanidino
96	-CN	2-F-phenyl	2-thiazolin-2-ylamine
97	-CN	2-F-phenyl	N-methyl-2-imidazolin-2-yl
98	-CN	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
99	-CN	2-F-phenyl	N-methylimidazol-2-ylthio
100	-CN	2-F-phenyl	t-butoxycarbonylamine
101	-CN	2-F-phenyl	(N-pyrrolidino)formylimino
102	-CN	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
103	-CN	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
104	-CN	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
105	CF_3	phenyl	2-((Me)$_2$N-methyl)phenyl
106	CF_3	phenyl	2-((Me)NH-methyl)phenyl
107	CF_3	phenyl	2-(H_2N-methyl)phenyl
108	CF_3	phenyl	2-$HOCH_2$-phenyl
109	CF_3	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
110	CF_3	2-F-phenyl	2-((Me)NH-methyl)phenyl
111	CF_3	2-F-phenyl	2-(H_2N-methyl)phenyl

	112	CF_3	2-F-phenyl	2-$HOCH_2$-phenyl
	113	CF_3	phenyl	2-methylimidazol-1-yl
	114	CF_3	phenyl	2-ethylimidazol-1-yl
	115	CF_3	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
5	116	CF_3	phenyl	2-CH_3SO_2-imidazol-1-yl
	117	CF_3	phenyl	2-CH_3OCH_2-imidazol-1-yl
	118	CF_3	2-F-phenyl	2-methylimidazol-1-yl
	119	CF_3	2-F-phenyl	2-ethylimidazol-1-yl
	120	CF_3	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
10	121	CF_3	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
	122	CF_3	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
	123	CF_3	2-Cl-phenyl	2-methylimidazol-1-yl
	124	CF_3	2-Cl-phenyl	2-ethylimidazol-1-yl
	125	CF_3	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
15	126	CF_3	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
	127	CF_3	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
	128	CF_3	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
	129	CF_3	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
	130	CF_3	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
20	131	CF_3	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
	132	CF_3	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
	133	CF_3	phenyl	N-methylimidazol-2-yl
	134	CF_3	phenyl	4-methylimidazol-5-yl
	135	CF_3	phenyl	5-CF_3-pyrazol-1-yl
25	136	CF_3	2-F-phenyl	N-methylimidazol-2-yl
	137	CF_3	2-F-phenyl	4-methylimidazol-5-yl
	138	CF_3	2-F-phenyl	5-CF_3-pyrazol-1-yl
	139	CF_3	phenyl	guanidino
	140	CF_3	phenyl	2-thiazolin-2-ylamine
30	141	CF_3	phenyl	N-methyl-2-imidazolin-2-yl
	142	CF_3	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	143	CF_3	phenyl	N-methylimidazol-2-ylthiol
	144	CF_3	phenyl	t-butoxycarbonylamine
35	145	CF_3	phenyl	(N-pyrrolidino)formylimino
	146	CF_3	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	147	CF_3	2-F-phenyl	guanidino
	148	CF_3	2-F-phenyl	2-thiazolin-2-ylamine
40	149	CF_3	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	150	CF_3	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	151	CF_3	2-F-phenyl	N-methylimidazol-2-ylthio
	152	CF_3	2-F-phenyl	t-butoxycarbonylamine
45	153	CF_3	2-F-phenyl	(N-pyrrolidino)formylimino
	154	CF_3	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	155	CF_3	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
	156	CF_3	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
50				
	157	$CONH_2$	phenyl	2-((Me)$_2$N-methyl)phenyl
	158	$CONH_2$	phenyl	2-((Me)NH-methyl)phenyl

	159	$CONH_2$	phenyl	2-(H_2N-methyl)phenyl
	160	$CONH_2$	phenyl	2-$HOCH_2$-phenyl
	161	$CONH_2$	2-F-phenyl	2-($(Me)_2N$-methyl)phenyl
	162	$CONH_2$	2-F-phenyl	2-((Me)NH-methyl)phenyl
5	163	$CONH_2$	2-F-phenyl	2-(H_2N-methyl)phenyl
	164	$CONH_2$	2-F-phenyl	2-$HOCH_2$-phenyl
	165	$CONH_2$	phenyl	2-methylimidazol-1-yl
	166	$CONH_2$	phenyl	2-ethylimidazol-1-yl
	167	$CONH_2$	phenyl	2-($(Me)_2N$-methyl)imidazol-1-yl
10	168	$CONH_2$	phenyl	2-CH_3SO_2-imidazol-1-yl
	169	$CONH_2$	phenyl	2-CH_3OCH_2-imidazol-1-yl
	170	$CONH_2$	2-F-phenyl	2-methylimidazol-1-yl
	171	$CONH_2$	2-F-phenyl	2-ethylimidazol-1-yl
	172	$CONH_2$	2-F-phenyl	2-($(Me)_2N$-methyl)imidazol-1-yl
15	173	$CONH_2$	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
	174	$CONH_2$	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
	175	$CONH_2$	2-Cl-phenyl	2-methylimidazol-1-yl
	176	$CONH_2$	2-Cl-phenyl	2-ethylimidazol-1-yl
	177	$CONH_2$	2-Cl-phenyl	2-($(Me)_2N$-methyl)imidazol-1-yl
20	178	$CONH_2$	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
	179	$CONH_2$	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
	180	$CONH_2$	2-$(Me)_2N$-phenyl	2-methylimidazol-1-yl
	181	$CONH_2$	2-$(Me)_2N$-phenyl	2-ethylimidazol-1-yl
	182	$CONH_2$	2-$(Me)_2N$-phenyl	2-($(Me)_2N$-methyl)imidazol-1-yl
25	183	$CONH_2$	2-$(Me)_2N$-phenyl	2-CH_3SO_2-imidazol-1-yl
	184	$CONH_2$	2-$(Me)_2N$-phenyl	2-CH_3OCH_2-imidazol-1-yl
	185	$CONH_2$	phenyl	N-methylimidazol-2-yl
	186	$CONH_2$	phenyl	4-methylimidazol-5-yl
	187	$CONH_2$	phenyl	5-CF_3-pyrazol-1-yl
30	188	$CONH_2$	2-F-phenyl	N-methylimidazol-2-yl
	189	$CONH_2$	2-F-phenyl	4-methylimidazol-5-yl
	190	$CONH_2$	2-F-phenyl	5-CF_3-pyrazol-1-yl
	191	$CONH_2$	phenyl	guanidino
	192	$CONH_2$	phenyl	2-thiazolin-2-ylamine
35	193	$CONH_2$	phenyl	N-methyl-2-imidazolin-2-yl
	194	$CONH_2$	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	195	$CONH_2$	phenyl	N-methylimidazol-2-ylthiol
	196	$CONH_2$	phenyl	t-butoxycarbonylamine
40	197	$CONH_2$	phenyl	(N-pyrrolidino)formylimino
	198	$CONH_2$	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	199	$CONH_2$	2-F-phenyl	guanidino
	200	$CONH_2$	2-F-phenyl	2-thiazolin-2-ylamine
45	201	$CONH_2$	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	202	$CONH_2$	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	203	$CONH_2$	2-F-phenyl	N-methylimidazol-2-ylthio
	204	$CONH_2$	2-F-phenyl	t-butoxycarbonylamine
50	205	$CONH_2$	2-F-phenyl	(N-pyrrolidino)formylimino
	206	$CONH_2$	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino

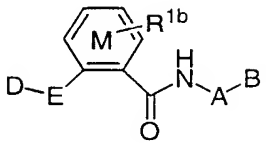
	207	$CONH_2$	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
	208	$CONH_2$	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	209	SCH_3	phenyl	2-((Me)$_2$N-methyl)phenyl
5	210	SCH_3	phenyl	2-((Me)NH-methyl)phenyl
	211	SCH_3	phenyl	2-(H_2N-methyl)phenyl
	212	SCH_3	phenyl	2-$HOCH_2$-phenyl
	213	SCH_3	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
	214	SCH_3	2-F-phenyl	2-((Me)NH-methyl)phenyl
10	215	SCH_3	2-F-phenyl	2-(H_2N-methyl)phenyl
	216	SCH_3	2-F-phenyl	2-$HOCH_2$-phenyl
	217	SCH_3	phenyl	2-methylimidazol-1-yl
	218	SCH_3	phenyl	2-ethylimidazol-1-yl
	219	SCH_3	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
15	220	SCH_3	phenyl	2-CH_3SO_2-imidazol-1-yl
	221	SCH_3	phenyl	2-CH_3OCH_2-imidazol-1-yl
	222	SCH_3	2-F-phenyl	2-methylimidazol-1-yl
	223	SCH_3	2-F-phenyl	2-ethylimidazol-1-yl
	224	SCH_3	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
20	225	SCH_3	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
	226	SCH_3	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
	227	SCH_3	2-Cl-phenyl	2-methylimidazol-1-yl
	228	SCH_3	2-Cl-phenyl	2-ethylimidazol-1-yl
	229	SCH_3	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
25	230	SCH_3	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
	231	SCH_3	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
	232	SCH_3	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
	233	SCH_3	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
	234	SCH_3	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
30	235	SCH_3	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
	236	SCH_3	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
	237	SCH_3	phenyl	N-methylimidazol-2-yl
	238	SCH_3	phenyl	4-methylimidazol-5-yl
	239	SCH_3	phenyl	5-CF_3-pyrazol-1-yl
35	240	SCH_3	2-F-phenyl	N-methylimidazol-2-yl
	241	SCH_3	2-F-phenyl	4-methylimidazol-5-yl
	242	SCH_3	2-F-phenyl	5-CF_3-pyrazol-1-yl
	243	SCH_3	phenyl	guanidino
	244	SCH_3	phenyl	2-thiazolin-2-ylamine
40	245	SCH_3	phenyl	N-methyl-2-imidazolin-2-yl
	246	SCH_3	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	247	SCH_3	phenyl	N-methylimidazol-2-ylthiol
	248	SCH_3	phenyl	t-butoxycarbonylamine
45	249	SCH_3	phenyl	(N-pyrrolidino)formylimino
	250	SCH_3	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	251	SCH_3	2-F-phenyl	guanidino
	252	SCH_3	2-F-phenyl	2-thiazolin-2-ylamine
50	253	SCH_3	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	254	SCH_3	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl

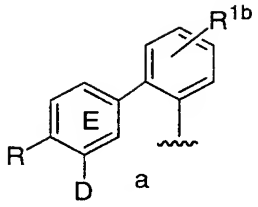
255	SCH_3	2-F-phenyl	N-methylimidazol-2-ylthio
256	SCH_3	2-F-phenyl	t-butoxycarbonylamine
257	SCH_3	2-F-phenyl	(N-pyrrolidino)formylimino
258	SCH_3	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
259	SCH_3	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
260	SCH_3	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
261	SO_2CH_3	phenyl	2-((Me)$_2$N-methyl)phenyl
262	SO_2CH_3	phenyl	2-((Me)NH-methyl)phenyl
263	SO_2CH_3	phenyl	2-(H_2N-methyl)phenyl
264	SO_2CH_3	phenyl	2-$HOCH_2$-phenyl
265	SO_2CH_3	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
266	SO_2CH_3	2-F-phenyl	2-((Me)NH-methyl)phenyl
267	SO_2CH_3	2-F-phenyl	2-(H_2N-methyl)phenyl
268	SO_2CH_3	2-F-phenyl	2-$HOCH_2$-phenyl
269	SO_2CH_3	phenyl	2-methylimidazol-1-yl
270	SO_2CH_3	phenyl	2-ethylimidazol-1-yl
271	SO_2CH_3	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
272	SO_2CH_3	phenyl	2-CH_3SO_2-imidazol-1-yl
273	SO_2CH_3	phenyl	2-CH_3OCH_2-imidazol-1-yl
274	SO_2CH_3	2-F-phenyl	2-methylimidazol-1-yl
275	SO_2CH_3	2-F-phenyl	2-ethylimidazol-1-yl
276	SO_2CH_3	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
277	SO_2CH_3	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
278	SO_2CH_3	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
279	SO_2CH_3	2-Cl-phenyl	2-methylimidazol-1-yl
280	SO_2CH_3	2-Cl-phenyl	2-ethylimidazol-1-yl
281	SO_2CH_3	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
282	SO_2CH_3	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
283	SO_2CH_3	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
284	SO_2CH_3	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
285	SO_2CH_3	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
286	SO_2CH_3	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
287	SO_2CH_3	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
288	SO_2CH_3	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
289	SO_2CH_3	phenyl	N-methylimidazol-2-yl
290	SO_2CH_3	phenyl	4-methylimidazol-5-yl
291	SO_2CH_3	phenyl	5-CF_3-pyrazol-1-yl
292	SO_2CH_3	2-F-phenyl	N-methylimidazol-2-yl
293	SO_2CH_3	2-F-phenyl	4-methylimidazol-5-yl
294	SO_2CH_3	2-F-phenyl	5-CF_3-pyrazol-1-yl
295	SO_2CH_3	phenyl	guanidino
296	SO_2CH_3	phenyl	2-thiazolin-2-ylamine
297	SO_2CH_3	phenyl	N-methyl-2-imidazolin-2-yl
298	SO_2CH_3	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
299	SO_2CH_3	phenyl	N-methylimidazol-2-ylthiol
300	SO_2CH_3	phenyl	t-butoxycarbonylamine
301	SO_2CH_3	phenyl	(N-pyrrolidino)formylimino
302	SO_2CH_3	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino

303	SO_2CH_3	2-F-phenyl	guanidino
304	SO_2CH_3	2-F-phenyl	2-thiazolin-2-ylamine
305	SO_2CH_3	2-F-phenyl	N-methyl-2-imidazolin-2-yl
306	SO_2CH_3	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
307	SO_2CH_3	2-F-phenyl	N-methylimidazol-2-ylthio
308	SO_2CH_3	2-F-phenyl	t-butoxycarbonylamine
309	SO_2CH_3	2-F-phenyl	(N-pyrrolidino)formylimino
310	SO_2CH_3	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
311	SO_2CH_3	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
312	SO_2CH_3	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
313	$NHSO_2CH_3$	phenyl	2-($(Me)_2N$-methyl)phenyl
314	$NHSO_2CH_3$	phenyl	2-((Me)NH-methyl)phenyl
315	$NHSO_2CH_3$	phenyl	2-(H_2N-methyl)phenyl
316	$NHSO_2CH_3$	phenyl	2-$HOCH_2$-phenyl
317	$NHSO_2CH_3$	2-F-phenyl	2-($(Me)_2N$-methyl)phenyl
318	$NHSO_2CH_3$	2-F-phenyl	2-((Me)NH-methyl)phenyl
319	$NHSO_2CH_3$	2-F-phenyl	2-(H_2N-methyl)phenyl
320	$NHSO_2CH_3$	2-F-phenyl	2-$HOCH_2$-phenyl
321	$NHSO_2CH_3$	phenyl	2-methylimidazol-1-yl
322	$NHSO_2CH_3$	phenyl	2-ethylimidazol-1-yl
323	$NHSO_2CH_3$	phenyl	2-($(Me)_2N$-methyl)imidazol-1-yl
324	$NHSO_2CH_3$	phenyl	2-CH_3SO_2-imidazol-1-yl
325	$NHSO_2CH_3$	phenyl	2-CH_3OCH_2-imidazol-1-yl
326	$NHSO_2CH_3$	2-F-phenyl	2-methylimidazol-1-yl
327	$NHSO_2CH_3$	2-F-phenyl	2-ethylimidazol-1-yl
328	$NHSO_2CH_3$	2-F-phenyl	2-($(Me)_2N$-methyl)imidazol-1-yl
329	$NHSO_2CH_3$	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
330	$NHSO_2CH_3$	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
331	$NHSO_2CH_3$	2-Cl-phenyl	2-methylimidazol-1-yl
332	$NHSO_2CH_3$	2-Cl-phenyl	2-ethylimidazol-1-yl
333	$NHSO_2CH_3$	2-Cl-phenyl	2-($(Me)_2N$-methyl)imidazol-1-yl
334	$NHSO_2CH_3$	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
335	$NHSO_2CH_3$	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
336	$NHSO_2CH_3$	2-$(Me)_2N$-phenyl	2-methylimidazol-1-yl
337	$NHSO_2CH_3$	2-$(Me)_2N$-phenyl	2-ethylimidazol-1-yl
338	$NHSO_2CH_3$	2-$(Me)_2N$-phenyl	2-($(Me)_2N$-methyl)imidazol-1-yl
339	$NHSO_2CH_3$	2-$(Me)_2N$-phenyl	2-CH_3SO_2-imidazol-1-yl
340	$NHSO_2CH_3$	2-$(Me)_2N$-phenyl	2-CH_3OCH_2-imidazol-1-yl
341	$NHSO_2CH_3$	phenyl	N-methylimidazol-2-yl
342	$NHSO_2CH_3$	phenyl	4-methylimidazol-5-yl
343	$NHSO_2CH_3$	phenyl	5-CF_3-pyrazol-1-yl
344	$NHSO_2CH_3$	2-F-phenyl	N-methylimidazol-2-yl
345	$NHSO_2CH_3$	2-F-phenyl	4-methylimidazol-5-yl
346	$NHSO_2CH_3$	2-F-phenyl	5-CF_3-pyrazol-1-yl
347	$NHSO_2CH_3$	phenyl	guanidino
348	$NHSO_2CH_3$	phenyl	2-thiazolin-2-ylamine
349	$NHSO_2CH_3$	phenyl	N-methyl-2-imidazolin-2-yl
350	$NHSO_2CH_3$	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl

351	$NHSO_2CH_3$	phenyl	N-methylimidazol-2-ylthiol
352	$NHSO_2CH_3$	phenyl	t-butoxycarbonylamine
353	$NHSO_2CH_3$	phenyl	(N-pyrrolidino)formylimino
354	$NHSO_2CH_3$	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
355	$NHSO_2CH_3$	2-F-phenyl	guanidino
356	$NHSO_2CH_3$	2-F-phenyl	2-thiazolin-2-ylamine
357	$NHSO_2CH_3$	2-F-phenyl	N-methyl-2-imidazolin-2-yl
358	$NHSO_2CH_3$	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
359	$NHSO_2CH_3$	2-F-phenyl	N-methylimidazol-2-ylthio
360	$NHSO_2CH_3$	2-F-phenyl	t-butoxycarbonylamine
361	$NHSO_2CH_3$	2-F-phenyl	(N-pyrrolidino)formylimino
362	$NHSO_2CH_3$	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
363	$NHSO_2CH_3$	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
364	$NHSO_2CH_3$	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino

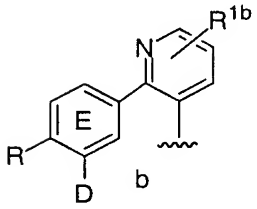
Table 4





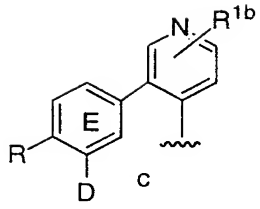
a

a_1 R=F, D=NH_2
a_2 R=H, D=NH_2
a_3 R=F, D=CH_2NH_2
a_4 R=H, D=CH_2NH_2
a_5 R=F, D=$C(=NH)NH_2$
a_6 R=H, D=$C(=NH)NH_2$
a_7 R=F, D=$C(O)NH_2$
a_8 R=H, D=$C(O)NH_2$



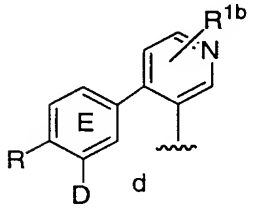
b

b_1 R=F, D=NH_2
b_2 R=H, D=NH_2
b_3 R=F, D=CH_2NH_2
b_4 R=H, D=CH_2NH_2
b_5 R=F, D=$C(=NH)NH_2$
b_6 R=H, D=$C(=NH)NH_2$
b_7 R=F, D=$C(O)NH_2$
b_8 R=H, D=$C(O)NH_2$



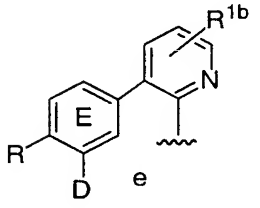
c

c_1 R=F, D=NH_2
c_2 R=H, D=NH_2
c_3 R=F, D=CH_2NH_2
c_4 R=H, D=CH_2NH_2
c_5 R=F, D=$C(=NH)NH_2$
c_6 R=H, D=$C(=NH)NH_2$
c_7 R=F, D=$C(O)NH_2$
c_8 R=H, D=$C(O)NH_2$



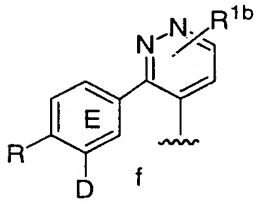
d

d_1 R=F, D=NH_2
d_2 R=H, D=NH_2
d_3 R=F, D=CH_2NH_2
d_4 R=H, D=CH_2NH_2
d_5 R=F, D=$C(=NH)NH_2$
d_6 R=H, D=$C(=NH)NH_2$
d_7 R=F, D=$C(O)NH_2$
d_8 R=H, D=$C(O)NH_2$



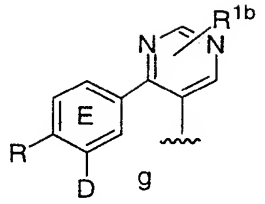
e

e_1 R=F, D=NH_2
e_2 R=H, D=NH_2
e_3 R=F, D=CH_2NH_2
e_4 R=H, D=CH_2NH_2
e_5 R=F, D=$C(=NH)NH_2$
e_6 R=H, D=$C(=NH)NH_2$
e_7 R=F, D=$C(O)NH_2$
e_8 R=H, D=$C(O)NH_2$



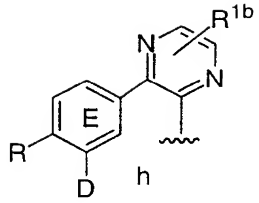
f

f_1 R=F, D=NH_2
f_2 R=H, D=NH_2
f_3 R=F, D=CH_2NH_2
f_4 R=H, D=CH_2NH_2
f_5 R=F, D=$C(=NH)NH_2$
f_6 R=H, D=$C(=NH)NH_2$
f_7 R=F, D=$C(O)NH_2$
f_8 R=H, D=$C(O)NH_2$



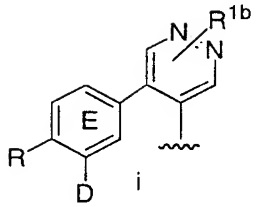
g

g_1 R=F, D=NH_2
g_2 R=H, D=NH_2
g_3 R=F, D=CH_2NH_2
g_4 R=H, D=CH_2NH_2
g_5 R=F, D=C(=NH)NH_2
g_6 R=H, D=C(=NH)NH_2
g_7 R=F, D=C(O)NH_2
g_8 R=H, D=C(O)NH_2



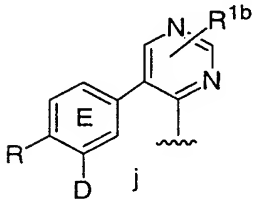
h

h_1 R=F, D=NH_2
h_2 R=H, D=NH_2
h_3 R=F, D=CH_2NH_2
h_4 R=H, D=CH_2NH_2
h_5 R=F, D=C(=NH)NH_2
h_6 R=H, D=C(=NH)NH_2
h_7 R=F, D=C(O)NH_2
h_8 R=H, D=C(O)NH_2



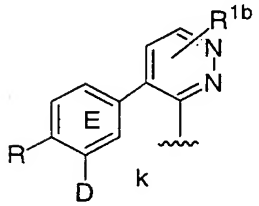
i

i_1 R=F, D=NH_2
i_2 R=H, D=NH_2
i_3 R=F, D=CH_2NH_2
i_4 R=H, D=CH_2NH_2
i_5 R=F, D=C(=NH)NH_2
i_6 R=H, D=C(=NH)NH_2
i_7 R=F, D=C(O)NH_2
i_8 R=H, D=C(O)NH_2



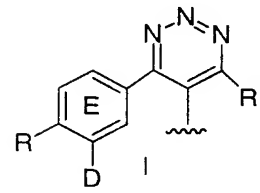
j

j_1 R=F, D=NH_2
j_2 R=H, D=NH_2
j_3 R=F, D=CH_2NH_2
j_4 R=H, D=CH_2NH_2
j_5 R=F, D=C(=NH)NH_2
j_6 R=H, D=C(=NH)NH_2
j_7 R=F, D=C(O)NH_2
j_8 R=H, D=C(O)NH_2



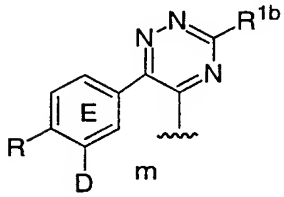
k

k_1 R=F, D=NH_2
k_2 R=H, D=NH_2
k_3 R=F, D=CH_2NH_2
k_4 R=H, D=CH_2NH_2
k_5 R=F, D=C(=NH)NH_2
k_6 R=H, D=C(=NH)NH_2
k_7 R=F, D=C(O)NH_2
k_8 R=H, D=C(O)NH_2



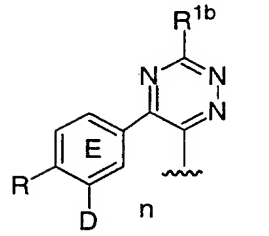
l

l_1 R=F, D=NH_2
l_2 R=H, D=NH_2
l_3 R=F, D=CH_2NH_2
l_4 R=H, D=CH_2NH_2
l_5 R=F, D=C(=NH)NH_2
l_6 R=H, D=C(=NH)NH_2
l_7 R=F, D=C(O)NH_2
l_8 R=H, D=C(O)NH_2



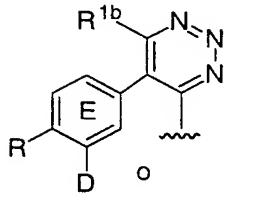
m

m_1 R=F, D=NH_2
m_2 R=H, D=NH_2
m_3 R=F, D=CH_2NH_2
m_4 R=H, D=CH_2NH_2
m_5 R=F, D=C(=NH)NH_2
m_6 R=H, D=C(=NH)NH_2
m_7 R=F, D=C(O)NH_2
m_8 R=H, D=C(O)NH_2



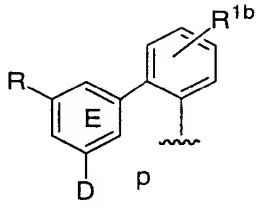
n

n_1 R=F, D=NH_2
n_2 R=H, D=NH_2
n_3 R=F, D=CH_2NH_2
n_4 R=H, D=CH_2NH_2
n_5 R=F, D=C(=NH)NH_2
n_6 R=H, D=C(=NH)NH_2
n_7 R=F, D=C(O)NH_2
n_8 R=H, D=C(O)NH_2



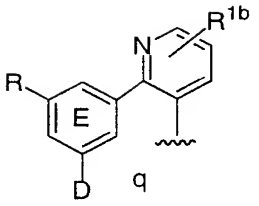
o

o_1 R=F, D=NH_2
o_2 R=H, D=NH_2
o_3 R=F, D=CH_2NH_2
o_4 R=H, D=CH_2NH_2
o_5 R=F, D=C(=NH)NH_2
o_6 R=H, D=C(=NH)NH_2
o_7 R=F, D=C(O)NH_2
o_8 R=H, D=C(O)NH_2



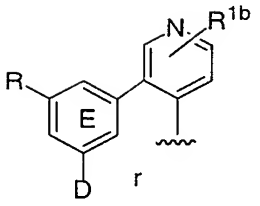
p

p_1 R=F, D=NH_2
p_2 R=Cl, D=NH_2
p_3 R=OMe, D=NH_2
p_4 R=F, D=CH_2NH_2
p_5 R=Cl, D=CH_2NH_2
p_6 R=OMe, D=CH_2NH_2
p_7 R=F, D=C(=NH)NH_2
p_8 R=Cl, D=C(=NH)NH_2
p_9 R=OMe, D=C(=NH)NH_2
p_{10} R=F, D=C(O)NH_2
p_{11} R=Cl, D=C(O)NH_2
p_{12} R=OMe, D=C(O)NH_2



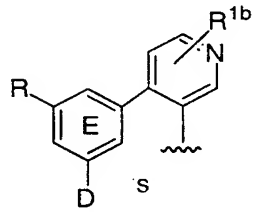
q

q_1 R=F, D=NH_2
q_2 R=Cl, D=NH_2
q_3 R=OMe, D=NH_2
q_4 R=F, D=CH_2NH_2
q_5 R=Cl, D=CH_2NH_2
q_6 R=OMe, D=CH_2NH_2
q_7 R=F, D=C(=NH)NH_2
q_8 R=Cl, D=C(=NH)NH_2
q_9 R=OMe, D=C(=NH)NH_2
q_{10} R=F, D=C(O)NH_2
q_{11} R=Cl, D=C(O)NH_2
q_{12} R=OMe, D=C(O)NH_2



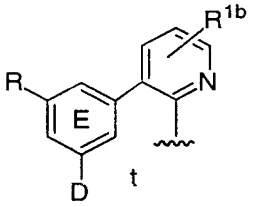
r

r_1 R=F, D=NH_2
r_2 R=Cl, D=NH_2
r_3 R=OMe, D=NH_2
r_4 R=F, D=CH_2NH_2
r_5 R=Cl, D=CH_2NH_2
r_6 R=OMe, D=CH_2NH_2
r_7 R=F, D=C(=NH)NH_2
r_8 R=Cl, D=C(=NH)NH_2
r_9 R=OMe, D=C(=NH)NH_2
r_{10} R=F, D=C(O)NH_2
r_{11} R=Cl, D=C(O)NH_2
r_{12} R=OMe, D=C(O)NH_2



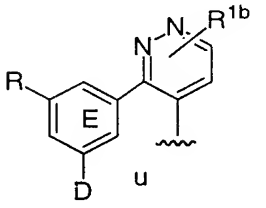
s

s_1 R=F, D=NH_2
s_2 R=Cl, D=NH_2
s_3 R=OMe, D=NH_2
s_4 R=F, D=CH_2NH_2
s_5 R=Cl, D=CH_2NH_2
s_6 R=OMe, D=CH_2NH_2
s_7 R=F, D=C(=NH)NH_2
s_8 R=Cl, D=C(=NH)NH_2
s_9 R=OMe, D=C(=NH)NH_2
s_{10} R=F, D=C(O)NH_2
s_{11} R=Cl, D=C(O)NH_2
s_{12} R=OMe, D=C(O)NH_2



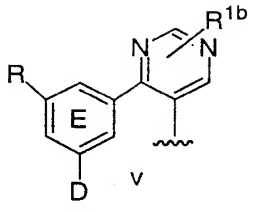
t

t_1 R=F, D=NH_2
t_2 R=Cl, D=NH_2
t_3 R=OMe, D=NH_2
t_4 R=F, D=CH_2NH_2
t_5 R=Cl, D=CH_2NH_2
t_6 R=OMe, D=CH_2NH_2
t_7 R=F, D=C(=NH)NH_2
t_8 R=Cl, D=C(=NH)NH_2
t_9 R=OMe, D=C(=NH)NH_2
t_{10} R=F, D=C(O)NH_2
t_{11} R=Cl, D=C(O)NH_2
t_{12} R=OMe, D=C(O)NH_2



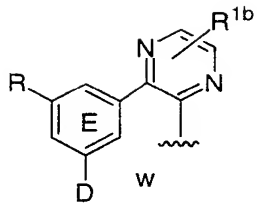
u

u_1 R=F, D=NH_2
u_2 R=Cl, D=NH_2
u_3 R=OMe, D=NH_2
u_4 R=F, D=CH_2NH_2
u_5 R=Cl, D=CH_2NH_2
u_6 R=OMe, D=CH_2NH_2
u_7 R=F, D=C(=NH)NH_2
u_8 R=Cl, D=C(=NH)NH_2
u_9 R=OMe, D=C(=NH)NH_2
u_{10} R=F, D=C(O)NH_2
u_{11} R=Cl, D=C(O)NH_2
u_{12} R=OMe, D=C(O)NH_2



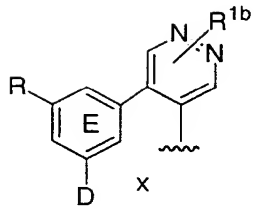
v

v_1 R=F, D=NH_2
v_2 R=Cl, D=NH_2
v_3 R=OMe, D=NH_2
v_4 R=F, D=CH_2NH_2
v_5 R=Cl, D=CH_2NH_2
v_6 R=OMe, D=CH_2NH_2
v_7 R=F, D=C(=NH)NH_2
v_8 R=Cl, D=C(=NH)NH_2
v_9 R=OMe, D=C(=NH)NH_2
v_{10} R=F, D=C(O)NH_2
v_{11} R=Cl, D=C(O)NH_2
v_{12} R=OMe, D=C(O)NH_2



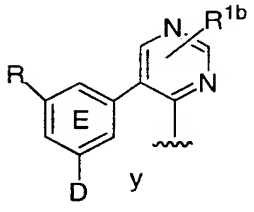
w

w_1 R=F, D=NH_2
w_2 R=Cl, D=NH_2
w_3 R=OMe, D=NH_2
w_4 R=F, D=CH_2NH_2
w_5 R=Cl, D=CH_2NH_2
w_6 R=OMe, D=CH_2NH_2
w_7 R=F, D=C(=NH)NH_2
w_8 R=Cl, D=C(=NH)NH_2
w_9 R=OMe, D=C(=NH)NH_2
w_{10} R=F, D=C(O)NH_2
w_{11} R=Cl, D=C(O)NH_2
w_{12} R=OMe, D=C(O)NH_2



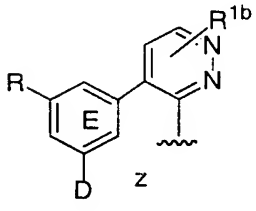
x

x_1 R=F, D=NH_2
x_2 R=Cl, D=NH_2
x_3 R=OMe, D=NH_2
x_4 R=F, D=CH_2NH_2
x_5 R=Cl, D=CH_2NH_2
x_6 R=OMe, D=CH_2NH_2
x_7 R=F, D=C(=NH)NH_2
x_8 R=Cl, D=C(=NH)NH_2
x_9 R=OMe, D=C(=NH)NH_2
x_{10} R=F, D=C(O)NH_2
x_{11} R=Cl, D=C(O)NH_2
x_{12} R=OMe, D=C(O)NH_2



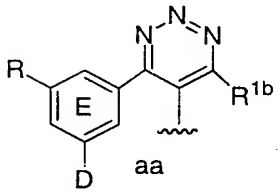
y

y_1 R=F, D=NH_2
y_2 R=Cl, D=NH_2
y_3 R=OMe, D=NH_2
y_4 R=F, D=CH_2NH_2
y_5 R=Cl, D=CH_2NH_2
y_6 R=OMe, D=CH_2NH_2
y_7 R=F, D=C(=NH)NH_2
y_8 R=Cl, D=C(=NH)NH_2
y_9 R=OMe, D=C(=NH)NH_2
y_{10} R=F, D=C(O)NH_2
y_{11} R=Cl, D=C(O)NH_2
y_{12} R=OMe, D=C(O)NH_2



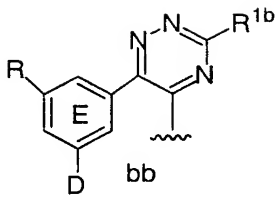
z

z_1 R=F, D=NH_2
z_2 R=Cl, D=NH_2
z_3 R=OMe, D=NH_2
z_4 R=F, D=CH_2NH_2
z_5 R=Cl, D=CH_2NH_2
z_6 R=OMe, D=CH_2NH_2
z_7 R=F, D=C(=NH)NH_2
z_8 R=Cl, D=C(=NH)NH_2
z_9 R=OMe, D=C(=NH)NH_2
z_{10} R=F, D=C(O)NH_2
z_{11} R=Cl, D=C(O)NH_2
z_{12} R=OMe, D=C(O)NH_2



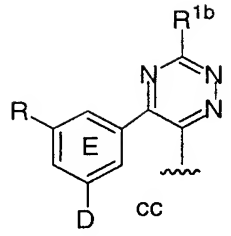
aa

aa_1 R=F, D=NH_2
aa_2 R=Cl, D=NH_2
aa_3 R=OMe, D=NH_2
aa_4 R=F, D=CH_2NH_2
aa_5 R=Cl, D=CH_2NH_2
aa_6 R=OMe, D=CH_2NH_2
aa_7 R=F, D=C(=NH)NH_2
aa_8 R=Cl, D=C(=NH)NH_2
aa_9 R=OMe, D=C(=NH)NH_2
aa_{10} R=F, D=C(O)NH_2
aa_{11} R=Cl, D=C(O)NH_2
aa_{12} R=OMe, D=C(O)NH_2



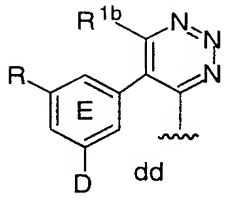
bb

bb_1 R=F, D=NH_2
bb_2 R=Cl, D=NH_2
bb_3 R=OMe, D=NH_2
bb_4 R=F, D=CH_2NH_2
bb_5 R=Cl, D=CH_2NH_2
bb_6 R=OMe, D=CH_2NH_2
bb_7 R=F, D=C(=NH)NH_2
bb_8 R=Cl, D=C(=NH)NH_2
bb_9 R=OMe, D=C(=NH)NH_2
bb_{10} R=F, D=C(O)NH_2
bb_{11} R=Cl, D=C(O)NH_2
bb_{12} R=OMe, D=C(O)NH_2



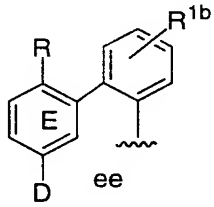
cc

cc_1 R=F, D=NH_2
cc_2 R=Cl, D=NH_2
cc_3 R=OMe, D=NH_2
cc_4 R=F, D=CH_2NH_2
cc_5 R=Cl, D=CH_2NH_2
cc_6 R=OMe, D=CH_2NH_2
cc_7 R=F, D=C(=NH)NH_2
cc_8 R=Cl, D=C(=NH)NH_2
cc_9 R=OMe, D=C(=NH)NH_2
cc_{10} R=F, D=C(O)NH_2
cc_{11} R=Cl, D=C(O)NH_2
cc_{12} R=OMe, D=C(O)NH_2



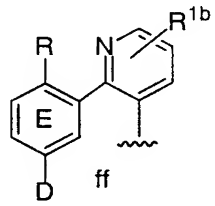
dd

dd_1 R=F, D=NH_2
dd_2 R=Cl, D=NH_2
dd_3 R=OMe, D=NH_2
dd_4 R=F, D=CH_2NH_2
dd_5 R=Cl, D=CH_2NH_2
dd_6 R=OMe, D=CH_2NH_2
dd_7 R=F, D=C(=NH)NH_2
dd_8 R=Cl, D=C(=NH)NH_2
dd_9 R=OMe, D=C(=NH)NH_2
dd_{10} R=F, D=C(O)NH_2
dd_{11} R=Cl, D=C(O)NH_2
dd_{12} R=OMe, D=C(O)NH_2



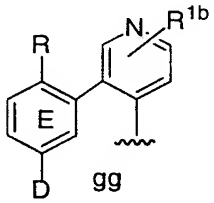
ee

ee_1 R=F, D=CH_2NH_2
ee_2 R=Cl, D=CH_2NH_2
ee_3 R=OMe, D=CH_2NH_2
ee_4 R=CH_2NH_2, D=CH_2NH_2



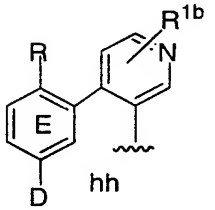
ff

ff_1 R=F, D=CH_2NH_2
ff_2 R=Cl, D=CH_2NH_2
ff_3 R=OMe, D=CH_2NH_2
ff_4 R=CH_2NH_2, D=CH_2NH_2



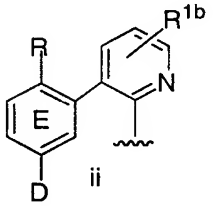
gg

gg_1 R=F, D=CH_2NH_2
gg_2 R=Cl, D=CH_2NH_2
gg_3 R=OMe, D=CH_2NH_2
gg_4 R=CH_2NH_2, D=CH_2NH_2



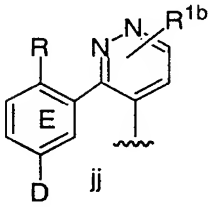
hh

hh_1 R=F, D=CH_2NH_2
hh_2 R=Cl, D=CH_2NH_2
hh_3 R=OMe, D=CH_2NH_2
hh_4 R=CH_2NH_2, D=CH_2NH_2



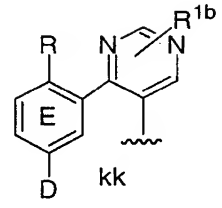
ii

ii_1 R=F, D=CH_2NH_2
ii_2 R=Cl, D=CH_2NH_2
ii_3 R=OMe, D=CH_2NH_2
ii_4 R=CH_2NH_2, D=CH_2NH_2



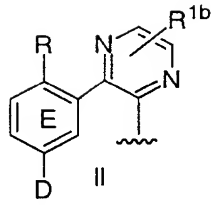
jj

jj_1 R=F, D=CH_2NH_2
jj_2 R=Cl, D=CH_2NH_2
jj_3 R=OMe, D=CH_2NH_2
jj_4 R=CH_2NH_2, D=CH_2NH_2



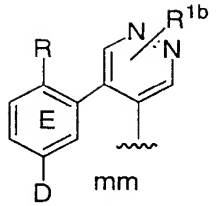
kk

kk_1 R=F, D=CH_2NH_2
kk_2 R=Cl, D=CH_2NH_2
kk_3 R=OMe, D=CH_2NH_2
kk_4 R=CH_2NH_2, D=CH_2NH_2



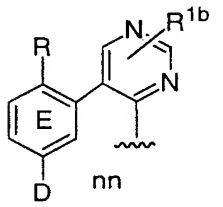
ll

ll_1 R=F, D=CH_2NH_2
ll_2 R=Cl, D=CH_2NH_2
ll_3 R=OMe, D=CH_2NH_2
ll_4 R=CH_2NH_2, D=CH_2NH_2



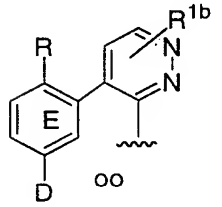
mm

mm_1 R=F, D=CH_2NH_2
mm_2 R=Cl, D=CH_2NH_2
mm_3 R=OMe, D=CH_2NH_2
mm_4 R=CH_2NH_2, D=CH_2NH_2



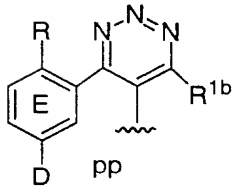
nn

nn_1 R=F, D=CH_2NH_2
nn_2 R=Cl, D=CH_2NH_2
nn_3 R=OMe, D=CH_2NH_2
nn_4 R=CH_2NH_2, D=CH_2NH_2



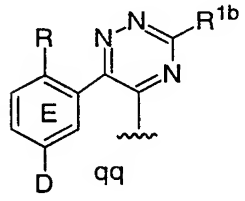
oo

oo_1 R=F, D=CH_2NH_2
oo_2 R=Cl, D=CH_2NH_2
oo_3 R=OMe, D=CH_2NH_2
oo_4 R=CH_2NH_2, D=CH_2NH_2



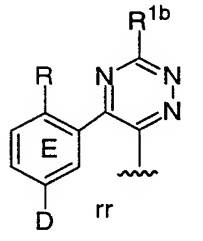
pp

pp_1 R=F, D=CH_2NH_2
pp_2 R=Cl, D=CH_2NH_2
pp_3 R=OMe, D=CH_2NH_2
pp_4 R=CH_2NH_2, D=CH_2NH_2



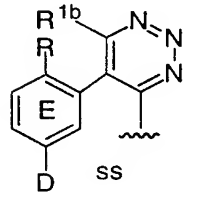
qq

qq_1 R=F, D=CH_2NH_2
qq_2 R=Cl, D=CH_2NH_2
qq_3 R=OMe, D=CH_2NH_2
qq_4 R=CH_2NH_2, D=CH_2NH_2



rr

rr_1 R=F, D=CH_2NH_2
rr_2 R=Cl, D=CH_2NH_2
rr_3 R=OMe, D=CH_2NH_2
rr_4 R=CH_2NH_2, D=CH_2NH_2



ss

ss_1 R=F, D=CH_2NH_2
ss_2 R=Cl, D=CH_2NH_2
ss_3 R=OMe, D=CH_2NH_2
ss_4 R=CH_2NH_2, D=CH_2NH_2

Ex#	R^{1b}	A	B
1	H	phenyl	2-(aminosulfonyl)phenyl
2	H	phenyl	2-(methylaminosulfonyl)phenyl
3	H	phenyl	1-pyrrolidinocarbonyl
4	H	phenyl	2-(methylsulfonyl)phenyl
5	H	phenyl	4-morpholino
6	H	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
7	H	phenyl	4-morpholinocarbonyl
8	H	2-pyridyl	2-(aminosulfonyl)phenyl
9	H	2-pyridyl	2-(methylaminosulfonyl)phenyl
10	H	2-pyridyl	1-pyrrolidinocarbonyl
11	H	2-pyridyl	2-(methylsulfonyl)phenyl
12	H	2-pyridyl	4-morpholino

13	H	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
14	H	2-pyridyl	4-morpholinocarbonyl
15	H	3-pyridyl	2-(aminosulfonyl)phenyl
16	H	3-pyridyl	2-(methylaminosulfonyl)phenyl
17	H	3-pyridyl	1-pyrrolidinocarbonyl
18	H	3-pyridyl	2-(methylsulfonyl)phenyl
19	H	3-pyridyl	4-morpholino
20	H	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
21	H	3-pyridyl	4-morpholinocarbonyl
22	H	2-pyrimidyl	2-(aminosulfonyl)phenyl
23	H	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
24	H	2-pyrimidyl	1-pyrrolidinocarbonyl
25	H	2-pyrimidyl	2-(methylsulfonyl)phenyl
26	H	2-pyrimidyl	4-morpholino
27	H	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
28	H	2-pyrimidyl	4-morpholinocarbonyl
29	H	5-pyrimidyl	2-(aminosulfonyl)phenyl
30	H	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
31	H	5-pyrimidyl	1-pyrrolidinocarbonyl
32	H	5-pyrimidyl	2-(methylsulfonyl)phenyl
33	H	5-pyrimidyl	4-morpholino
34	H	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
35	H	5-pyrimidyl	4-morpholinocarbonyl
36	H	2-Cl-phenyl	2-(aminosulfonyl)phenyl
37	H	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
38	H	2-Cl-phenyl	1-pyrrolidinocarbonyl
39	H	2-Cl-phenyl	2-(methylsulfonyl)phenyl
40	H	2-Cl-phenyl	4-morpholino
41	H	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
42	H	2-Cl-phenyl	4-morpholinocarbonyl
43	H	2-F-phenyl	2-(aminosulfonyl)phenyl
44	H	2-F-phenyl	2-(methylaminosulfonyl)phenyl
45	H	2-F-phenyl	1-pyrrolidinocarbonyl
46	H	2-F-phenyl	2-(methylsulfonyl)phenyl
47	H	2-F-phenyl	4-morpholino
48	H	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
49	H	2-F-phenyl	4-morpholinocarbonyl
50	H	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
51	H	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
52	H	2,5-diF-phenyl	1-pyrrolidinocarbonyl
53	H	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
54	H	2,5-diF-phenyl	4-morpholino
55	H	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
56	H	2,5-diF-phenyl	4-morpholinocarbonyl
57	-CN	phenyl	2-(aminosulfonyl)phenyl
58	-CN	phenyl	2-(methylaminosulfonyl)phenyl
59	-CN	phenyl	1-pyrrolidinocarbonyl
60	-CN	phenyl	2-(methylsulfonyl)phenyl
61	-CN	phenyl	4-morpholino
62	-CN	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
63	-CN	phenyl	4-morpholinocarbonyl
64	-CN	2-pyridyl	2-(aminosulfonyl)phenyl
65	-CN	2-pyridyl	2-(methylaminosulfonyl)phenyl
66	-CN	2-pyridyl	1-pyrrolidinocarbonyl
67	-CN	2-pyridyl	2-(methylsulfonyl)phenyl
68	-CN	2-pyridyl	4-morpholino

69	-CN	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
70	-CN	2-pyridyl	4-morpholinocarbonyl
71	-CN	3-pyridyl	2-(aminosulfonyl)phenyl
72	-CN	3-pyridyl	2-(methylaminosulfonyl)phenyl
73	-CN	3-pyridyl	1-pyrrolidinocarbonyl
74	-CN	3-pyridyl	2-(methylsulfonyl)phenyl
75	-CN	3-pyridyl	4-morpholino
76	-CN	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
77	-CN	3-pyridyl	4-morpholinocarbonyl
78	-CN	2-pyrimidyl	2-(aminosulfonyl)phenyl
79	-CN	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
80	-CN	2-pyrimidyl	1-pyrrolidinocarbonyl
81	-CN	2-pyrimidyl	2-(methylsulfonyl)phenyl
82	-CN	2-pyrimidyl	4-morpholino
83	-CN	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
84	-CN	2-pyrimidyl	4-morpholinocarbonyl
85	-CN	5-pyrimidyl	2-(aminosulfonyl)phenyl
86	-CN	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
87	-CN	5-pyrimidyl	1-pyrrolidinocarbonyl
88	-CN	5-pyrimidyl	2-(methylsulfonyl)phenyl
89	-CN	5-pyrimidyl	4-morpholino
90	-CN	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
91	-CN	5-pyrimidyl	4-morpholinocarbonyl
92	-CN	2-Cl-phenyl	2-(aminosulfonyl)phenyl
93	-CN	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
94	-CN	2-Cl-phenyl	1-pyrrolidinocarbonyl
95	-CN	2-Cl-phenyl	2-(methylsulfonyl)phenyl
96	-CN	2-Cl-phenyl	4-morpholino
97	-CN	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
98	-CN	2-Cl-phenyl	4-morpholinocarbonyl
99	-CN	2-F-phenyl	2-(aminosulfonyl)phenyl
100	-CN	2-F-phenyl	2-(methylaminosulfonyl)phenyl
101	-CN	2-F-phenyl	1-pyrrolidinocarbonyl
102	-CN	2-F-phenyl	2-(methylsulfonyl)phenyl
103	-CN	2-F-phenyl	4-morpholino
104	-CN	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
105	-CN	2-F-phenyl	4-morpholinocarbonyl
106	-CN	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
107	-CN	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
108	-CN	2,5-diF-phenyl	1-pyrrolidinocarbonyl
109	-CN	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
110	-CN	2,5-diF-phenyl	4-morpholino
111	-CN	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
112	-CN	2,5-diF-phenyl	4-morpholinocarbonyl
113	CF_3	phenyl	2-(aminosulfonyl)phenyl
114	CF_3	phenyl	2-(methylaminosulfonyl)phenyl
115	CF_3	phenyl	1-pyrrolidinocarbonyl
116	CF_3	phenyl	2-(methylsulfonyl)phenyl
117	CF_3	phenyl	4-morpholino
118	CF_3	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
119	CF_3	phenyl	4-morpholinocarbonyl
120	CF_3	2-pyridyl	2-(aminosulfonyl)phenyl
121	CF_3	2-pyridyl	2-(methylaminosulfonyl)phenyl
122	CF_3	2-pyridyl	1-pyrrolidinocarbonyl
123	CF_3	2-pyridyl	2-(methylsulfonyl)phenyl

	124	CF_3	2-pyridyl	4-morpholino
	125	CF_3	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	126	CF_3	2-pyridyl	4-morpholinocarbonyl
	127	CF_3	3-pyridyl	2-(aminosulfonyl)phenyl
5	128	CF_3	3-pyridyl	2-(methylaminosulfonyl)phenyl
	129	CF_3	3-pyridyl	1-pyrrolidinocarbonyl
	130	CF_3	3-pyridyl	2-(methylsulfonyl)phenyl
	131	CF_3	3-pyridyl	4-morpholino
	132	CF_3	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
10	133	CF_3	3-pyridyl	4-morpholinocarbonyl
	134	CF_3	2-pyrimidyl	2-(aminosulfonyl)phenyl
	135	CF_3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	136	CF_3	2-pyrimidyl	1-pyrrolidinocarbonyl
	137	CF_3	2-pyrimidyl	2-(methylsulfonyl)phenyl
15	138	CF_3	2-pyrimidyl	4-morpholino
	139	CF_3	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	140	CF_3	2-pyrimidyl	4-morpholinocarbonyl
	141	CF_3	5-pyrimidyl	2-(aminosulfonyl)phenyl
	142	CF_3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
20	143	CF_3	5-pyrimidyl	1-pyrrolidinocarbonyl
	144	CF_3	5-pyrimidyl	2-(methylsulfonyl)phenyl
	145	CF_3	5-pyrimidyl	4-morpholino
	146	CF_3	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	147	CF_3	5-pyrimidyl	4-morpholinocarbonyl
25	148	CF_3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	149	CF_3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	150	CF_3	2-Cl-phenyl	1-pyrrolidinocarbonyl
	151	CF_3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	152	CF_3	2-Cl-phenyl	4-morpholino
30	153	CF_3	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	154	CF_3	2-Cl-phenyl	4-morpholinocarbonyl
	155	CF_3	2-F-phenyl	2-(aminosulfonyl)phenyl
	156	CF_3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	157	CF_3	2-F-phenyl	1-pyrrolidinocarbonyl
35	158	CF_3	2-F-phenyl	2-(methylsulfonyl)phenyl
	159	CF_3	2-F-phenyl	4-morpholino
	160	CF_3	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	161	CF_3	2-F-phenyl	4-morpholinocarbonyl
	162	CF_3	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
40	163	CF_3	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
	164	CF_3	2,5-diF-phenyl	1-pyrrolidinocarbonyl
	165	CF_3	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
	166	CF_3	2,5-diF-phenyl	4-morpholino
	167	CF_3	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
45	168	CF_3	2,5-diF-phenyl	4-morpholinocarbonyl
	169	$CONH_2$	phenyl	2-(aminosulfonyl)phenyl
	170	$CONH_2$	phenyl	2-(methylaminosulfonyl)phenyl
	171	$CONH_2$	phenyl	1-pyrrolidinocarbonyl
	172	$CONH_2$	phenyl	2-(methylsulfonyl)phenyl
50	173	$CONH_2$	phenyl	4-morpholino
	174	$CONH_2$	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
	175	$CONH_2$	phenyl	4-morpholinocarbonyl

176	$CONH_2$	2-pyridyl	2-(aminosulfonyl)phenyl
177	$CONH_2$	2-pyridyl	2-(methylaminosulfonyl)phenyl
178	$CONH_2$	2-pyridyl	1-pyrrolidinocarbonyl
179	$CONH_2$	2-pyridyl	2-(methylsulfonyl)phenyl
180	$CONH_2$	2-pyridyl	4-morpholino
181	$CONH_2$	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
182	$CONH_2$	2-pyridyl	4-morpholinocarbonyl
183	$CONH_2$	3-pyridyl	2-(aminosulfonyl)phenyl
184	$CONH_2$	3-pyridyl	2-(methylaminosulfonyl)phenyl
185	$CONH_2$	3-pyridyl	1-pyrrolidinocarbonyl
186	$CONH_2$	3-pyridyl	2-(methylsulfonyl)phenyl
187	$CONH_2$	3-pyridyl	4-morpholino
188	$CONH_2$	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
189	$CONH_2$	3-pyridyl	4-morpholinocarbonyl
190	$CONH_2$	2-pyrimidyl	2-(aminosulfonyl)phenyl
191	$CONH_2$	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
192	$CONH_2$	2-pyrimidyl	1-pyrrolidinocarbonyl
193	$CONH_2$	2-pyrimidyl	2-(methylsulfonyl)phenyl
194	$CONH_2$	2-pyrimidyl	4-morpholino
195	$CONH_2$	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
196	$CONH_2$	2-pyrimidyl	4-morpholinocarbonyl
197	$CONH_2$	5-pyrimidyl	2-(aminosulfonyl)phenyl
198	$CONH_2$	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
199	$CONH_2$	5-pyrimidyl	1-pyrrolidinocarbonyl
200	$CONH_2$	5-pyrimidyl	2-(methylsulfonyl)phenyl
201	$CONH_2$	5-pyrimidyl	4-morpholino
202	$CONH_2$	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
203	$CONH_2$	5-pyrimidyl	4-morpholinocarbonyl
204	$CONH_2$	2-Cl-phenyl	2-(aminosulfonyl)phenyl
205	$CONH_2$	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
206	$CONH_2$	2-Cl-phenyl	1-pyrrolidinocarbonyl
207	$CONH_2$	2-Cl-phenyl	2-(methylsulfonyl)phenyl
208	$CONH_2$	2-Cl-phenyl	4-morpholino
209	$CONH_2$	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
210	$CONH_2$	2-Cl-phenyl	4-morpholinocarbonyl
211	$CONH_2$	2-F-phenyl	2-(aminosulfonyl)phenyl
212	$CONH_2$	2-F-phenyl	2-(methylaminosulfonyl)phenyl
213	$CONH_2$	2-F-phenyl	1-pyrrolidinocarbonyl
214	$CONH_2$	2-F-phenyl	2-(methylsulfonyl)phenyl
215	$CONH_2$	2-F-phenyl	4-morpholino
216	$CONH_2$	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
217	$CONH_2$	2-F-phenyl	4-morpholinocarbonyl
218	$CONH_2$	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
219	$CONH_2$	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
220	$CONH_2$	2,5-diF-phenyl	1-pyrrolidinocarbonyl
221	$CONH_2$	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
222	$CONH_2$	2,5-diF-phenyl	4-morpholino
223	$CONH_2$	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
224	$CONH_2$	2,5-diF-phenyl	4-morpholinocarbonyl
225	SCH_3	phenyl	2-(aminosulfonyl)phenyl
226	SCH_3	phenyl	2-(methylaminosulfonyl)phenyl
227	SCH_3	phenyl	1-pyrrolidinocarbonyl

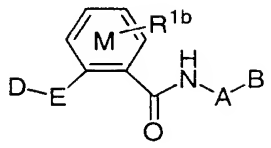
228	SCH_3	phenyl	2-(methylsulfonyl)phenyl
229	SCH_3	phenyl	4-morpholino
230	SCH_3	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
231	SCH_3	phenyl	4-morpholinocarbonyl
232	SCH_3	2-pyridyl	2-(aminosulfonyl)phenyl
233	SCH_3	2-pyridyl	2-(methylaminosulfonyl)phenyl
234	SCH_3	2-pyridyl	1-pyrrolidinocarbonyl
235	SCH_3	2-pyridyl	2-(methylsulfonyl)phenyl
236	SCH_3	2-pyridyl	4-morpholino
237	SCH_3	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
238	SCH_3	2-pyridyl	4-morpholinocarbonyl
239	SCH_3	3-pyridyl	2-(aminosulfonyl)phenyl
240	SCH_3	3-pyridyl	2-(methylaminosulfonyl)phenyl
241	SCH_3	3-pyridyl	1-pyrrolidinocarbonyl
242	SCH_3	3-pyridyl	2-(methylsulfonyl)phenyl
243	SCH_3	3-pyridyl	4-morpholino
244	SCH_3	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
245	SCH_3	3-pyridyl	4-morpholinocarbonyl
246	SCH_3	2-pyrimidyl	2-(aminosulfonyl)phenyl
247	SCH_3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
248	SCH_3	2-pyrimidyl	1-pyrrolidinocarbonyl
249	SCH_3	2-pyrimidyl	2-(methylsulfonyl)phenyl
250	SCH_3	2-pyrimidyl	4-morpholino
251	SCH_3	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
252	SCH_3	2-pyrimidyl	4-morpholinocarbonyl
253	SCH_3	5-pyrimidyl	2-(aminosulfonyl)phenyl
254	SCH_3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
255	SCH_3	5-pyrimidyl	1-pyrrolidinocarbonyl
256	SCH_3	5-pyrimidyl	2-(methylsulfonyl)phenyl
257	SCH_3	5-pyrimidyl	4-morpholino
258	SCH_3	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
259	SCH_3	5-pyrimidyl	4-morpholinocarbonyl
260	SCH_3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
261	SCH_3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
262	SCH_3	2-Cl-phenyl	1-pyrrolidinocarbonyl
263	SCH_3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
264	SCH_3	2-Cl-phenyl	4-morpholino
265	SCH_3	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
266	SCH_3	2-Cl-phenyl	4-morpholinocarbonyl
267	SCH_3	2-F-phenyl	2-(aminosulfonyl)phenyl
268	SCH_3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
269	SCH_3	2-F-phenyl	1-pyrrolidinocarbonyl
270	SCH_3	2-F-phenyl	2-(methylsulfonyl)phenyl
271	SCH_3	2-F-phenyl	4-morpholino
272	SCH_3	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
273	SCH_3	2-F-phenyl	4-morpholinocarbonyl
274	SCH_3	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
275	SCH_3	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
276	SCH_3	2,5-diF-phenyl	1-pyrrolidinocarbonyl
277	SCH_3	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
278	SCH_3	2,5-diF-phenyl	4-morpholino
279	SCH_3	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl

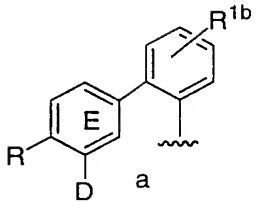
280	SCH_3	2,5-diF-phenyl	4-morpholinocarbonyl
281	SO_2CH_3	phenyl	2-(aminosulfonyl)phenyl
282	SO_2CH_3	phenyl	2-(methylaminosulfonyl)phenyl
283	SO_2CH_3	phenyl	1-pyrrolidinocarbonyl
284	SO_2CH_3	phenyl	2-(methylsulfonyl)phenyl
285	SO_2CH_3	phenyl	4-morpholino
286	SO_2CH_3	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
287	SO_2CH_3	phenyl	4-morpholinocarbonyl
288	SO_2CH_3	2-pyridyl	2-(aminosulfonyl)phenyl
289	SO_2CH_3	2-pyridyl	2-(methylaminosulfonyl)phenyl
290	SO_2CH_3	2-pyridyl	1-pyrrolidinocarbonyl
291	SO_2CH_3	2-pyridyl	2-(methylsulfonyl)phenyl
292	SO_2CH_3	2-pyridyl	4-morpholino
293	SO_2CH_3	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
294	SO_2CH_3	2-pyridyl	4-morpholinocarbonyl
295	SO_2CH_3	3-pyridyl	2-(aminosulfonyl)phenyl
296	SO_2CH_3	3-pyridyl	2-(methylaminosulfonyl)phenyl
297	SO_2CH_3	3-pyridyl	1-pyrrolidinocarbonyl
298	SO_2CH_3	3-pyridyl	2-(methylsulfonyl)phenyl
299	SO_2CH_3	3-pyridyl	4-morpholino
300	SO_2CH_3	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
301	SO_2CH_3	3-pyridyl	4-morpholinocarbonyl
302	SO_2CH_3	2-pyrimidyl	2-(aminosulfonyl)phenyl
303	SO_2CH_3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
304	SO_2CH_3	2-pyrimidyl	1-pyrrolidinocarbonyl
305	SO_2CH_3	2-pyrimidyl	2-(methylsulfonyl)phenyl
306	SO_2CH_3	2-pyrimidyl	4-morpholino
307	SO_2CH_3	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
308	SO_2CH_3	2-pyrimidyl	4-morpholinocarbonyl
309	SO_2CH_3	5-pyrimidyl	2-(aminosulfonyl)phenyl
310	SO_2CH_3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
311	SO_2CH_3	5-pyrimidyl	1-pyrrolidinocarbonyl
312	SO_2CH_3	5-pyrimidyl	2-(methylsulfonyl)phenyl
313	SO_2CH_3	5-pyrimidyl	4-morpholino
314	SO_2CH_3	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
315	SO_2CH_3	5-pyrimidyl	4-morpholinocarbonyl
316	SO_2CH_3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
317	SO_2CH_3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
318	SO_2CH_3	2-Cl-phenyl	1-pyrrolidinocarbonyl
319	SO_2CH_3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
320	SO_2CH_3	2-Cl-phenyl	4-morpholino
321	SO_2CH_3	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
322	SO_2CH_3	2-Cl-phenyl	4-morpholinocarbonyl
323	SO_2CH_3	2-F-phenyl	2-(aminosulfonyl)phenyl
324	SO_2CH_3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
325	SO_2CH_3	2-F-phenyl	1-pyrrolidinocarbonyl
326	SO_2CH_3	2-F-phenyl	2-(methylsulfonyl)phenyl
327	SO_2CH_3	2-F-phenyl	4-morpholino
328	SO_2CH_3	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
329	SO_2CH_3	2-F-phenyl	4-morpholinocarbonyl
330	SO_2CH_3	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
331	SO_2CH_3	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl

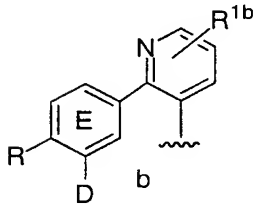
332	SO_2CH_3	2,5-diF-phenyl	1-pyrrolidinocarbonyl
333	SO_2CH_3	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
334	SO_2CH_3	2,5-diF-phenyl	4-morpholino
335	SO_2CH_3	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
336	SO_2CH_3	2,5-diF-phenyl	4-morpholinocarbonyl
337	$NHSO_2CH_3$	phenyl	2-(aminosulfonyl)phenyl
338	$NHSO_2CH_3$	phenyl	2-(methylaminosulfonyl)phenyl
339	$NHSO_2CH_3$	phenyl	1-pyrrolidinocarbonyl
340	$NHSO_2CH_3$	phenyl	2-(methylsulfonyl)phenyl
341	$NHSO_2CH_3$	phenyl	4-morpholino
342	$NHSO_2CH_3$	phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
343	$NHSO_2CH_3$	phenyl	4-morpholinocarbonyl
344	$NHSO_2CH_3$	2-pyridyl	2-(aminosulfonyl)phenyl
345	$NHSO_2CH_3$	2-pyridyl	2-(methylaminosulfonyl)phenyl
346	$NHSO_2CH_3$	2-pyridyl	1-pyrrolidinocarbonyl
347	$NHSO_2CH_3$	2-pyridyl	2-(methylsulfonyl)phenyl
348	$NHSO_2CH_3$	2-pyridyl	4-morpholino
349	$NHSO_2CH_3$	2-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
350	$NHSO_2CH_3$	2-pyridyl	4-morpholinocarbonyl
351	$NHSO_2CH_3$	3-pyridyl	2-(aminosulfonyl)phenyl
352	$NHSO_2CH_3$	3-pyridyl	2-(methylaminosulfonyl)phenyl
353	$NHSO_2CH_3$	3-pyridyl	1-pyrrolidinocarbonyl
354	$NHSO_2CH_3$	3-pyridyl	2-(methylsulfonyl)phenyl
355	$NHSO_2CH_3$	3-pyridyl	4-morpholino
356	$NHSO_2CH_3$	3-pyridyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
357	$NHSO_2CH_3$	3-pyridyl	4-morpholinocarbonyl
358	$NHSO_2CH_3$	2-pyrimidyl	2-(aminosulfonyl)phenyl
359	$NHSO_2CH_3$	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
360	$NHSO_2CH_3$	2-pyrimidyl	1-pyrrolidinocarbonyl
361	$NHSO_2CH_3$	2-pyrimidyl	2-(methylsulfonyl)phenyl
362	$NHSO_2CH_3$	2-pyrimidyl	4-morpholino
363	$NHSO_2CH_3$	2-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
364	$NHSO_2CH_3$	2-pyrimidyl	4-morpholinocarbonyl
365	$NHSO_2CH_3$	5-pyrimidyl	2-(aminosulfonyl)phenyl
366	$NHSO_2CH_3$	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
367	$NHSO_2CH_3$	5-pyrimidyl	1-pyrrolidinocarbonyl
368	$NHSO_2CH_3$	5-pyrimidyl	2-(methylsulfonyl)phenyl
369	$NHSO_2CH_3$	5-pyrimidyl	4-morpholino
370	$NHSO_2CH_3$	5-pyrimidyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
371	$NHSO_2CH_3$	5-pyrimidyl	4-morpholinocarbonyl
372	$NHSO_2CH_3$	2-Cl-phenyl	2-(aminosulfonyl)phenyl
373	$NHSO_2CH_3$	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
374	$NHSO_2CH_3$	2-Cl-phenyl	1-pyrrolidinocarbonyl
375	$NHSO_2CH_3$	2-Cl-phenyl	2-(methylsulfonyl)phenyl
376	$NHSO_2CH_3$	2-Cl-phenyl	4-morpholino
377	$NHSO_2CH_3$	2-Cl-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
378	$NHSO_2CH_3$	2-Cl-phenyl	4-morpholinocarbonyl
379	$NHSO_2CH_3$	2-F-phenyl	2-(aminosulfonyl)phenyl
380	$NHSO_2CH_3$	2-F-phenyl	2-(methylaminosulfonyl)phenyl
381	$NHSO_2CH_3$	2-F-phenyl	1-pyrrolidinocarbonyl
382	$NHSO_2CH_3$	2-F-phenyl	2-(methylsulfonyl)phenyl
383	$NHSO_2CH_3$	2-F-phenyl	4-morpholino

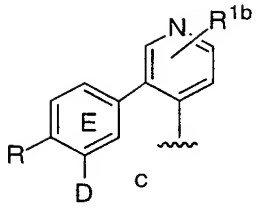
384	$NHSO_2CH_3$	2-F-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
385	$NHSO_2CH_3$	2-F-phenyl	4-morpholinocarbonyl
386	$NHSO_2CH_3$	2,5-diF-phenyl	2-(aminosulfonyl)phenyl
387	$NHSO_2CH_3$	2,5-diF-phenyl	2-(methylaminosulfonyl)phenyl
388	$NHSO_2CH_3$	2,5-diF-phenyl	1-pyrrolidinocarbonyl
389	$NHSO_2CH_3$	2,5-diF-phenyl	2-(methylsulfonyl)phenyl
390	$NHSO_2CH_3$	2,5-diF-phenyl	4-morpholino
391	$NHSO_2CH_3$	2,5-diF-phenyl	2-(1'-CF_3-tetrazol-2-yl)phenyl
392	$NHSO_2CH_3$	2,5-diF-phenyl	4-morpholinocarbonyl

Table 5





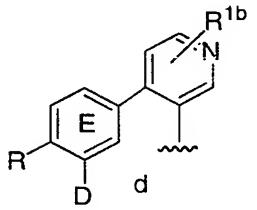


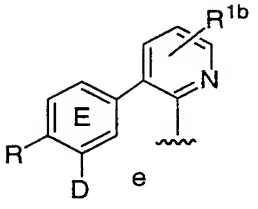


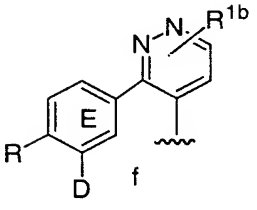
a_1 R=F, D=NH_2
a_2 R=H, D=NH_2
a_3 R=F, D=CH_2NH_2
a_4 R=H, D=CH_2NH_2
a_5 R=F, D=$C(=NH)NH_2$
a_6 R=H, D=$C(=NH)NH_2$
a_7 R=F, D=$C(O)NH_2$
a_8 R=H, D=$C(O)NH_2$

b_1 R=F, D=NH_2
b_2 R=H, D=NH_2
b_3 R=F, D=CH_2NH_2
b_4 R=H, D=CH_2NH_2
b_5 R=F, D=$C(=NH)NH_2$
b_6 R=H, D=$C(=NH)NH_2$
b_7 R=F, D=$C(O)NH_2$
b_8 R=H, D=$C(O)NH_2$

c_1 R=F, D=NH_2
c_2 R=H, D=NH_2
c_3 R=F, D=CH_2NH_2
c_4 R=H, D=CH_2NH_2
c_5 R=F, D=$C(=NH)NH_2$
c_6 R=H, D=$C(=NH)NH_2$
c_7 R=F, D=$C(O)NH_2$
c_8 R=H, D=$C(O)NH_2$



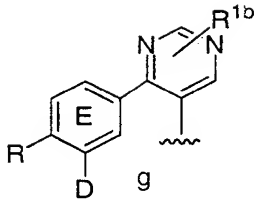




d_1 R=F, D=NH_2
d_2 R=H, D=NH_2
d_3 R=F, D=CH_2NH_2
d_4 R=H, D=CH_2NH_2
d_5 R=F, D=$C(=NH)NH_2$
d_6 R=H, D=$C(=NH)NH_2$
d_7 R=F, D=$C(O)NH_2$
d_8 R=H, D=$C(O)NH_2$

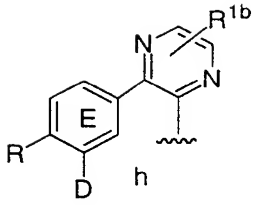
e_1 R=F, D=NH_2
e_2 R=H, D=NH_2
e_3 R=F, D=CH_2NH_2
e_4 R=H, D=CH_2NH_2
e_5 R=F, D=$C(=NH)NH_2$
e_6 R=H, D=$C(=NH)NH_2$
e_7 R=F, D=$C(O)NH_2$
e_8 R=H, D=$C(O)NH_2$

f_1 R=F, D=NH_2
f_2 R=H, D=NH_2
f_3 R=F, D=CH_2NH_2
f_4 R=H, D=CH_2NH_2
f_5 R=F, D=$C(=NH)NH_2$
f_6 R=H, D=$C(=NH)NH_2$
f_7 R=F, D=$C(O)NH_2$
f_8 R=H, D=$C(O)NH_2$



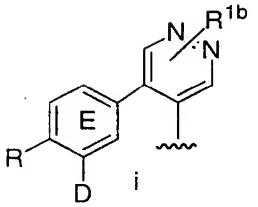
g

g_1 R=F, D=NH_2
g_2 R=H, D=NH_2
g_3 R=F, D=CH_2NH_2
g_4 R=H, D=CH_2NH_2
g_5 R=F, D=C(=NH)NH_2
g_6 R=H, D=C(=NH)NH_2
g_7 R=F, D=C(O)NH_2
g_8 R=H, D=C(O)NH_2



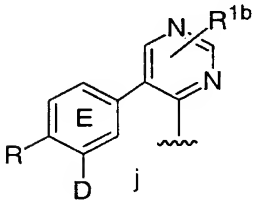
h

h_1 R=F, D=NH_2
h_2 R=H, D=NH_2
h_3 R=F, D=CH_2NH_2
h_4 R=H, D=CH_2NH_2
h_5 R=F, D=C(=NH)NH_2
h_6 R=H, D=C(=NH)NH_2
h_7 R=F, D=C(O)NH_2
h_8 R=H, D=C(O)NH_2



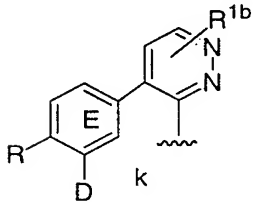
i

i_1 R=F, D=NH_2
i_2 R=H, D=NH_2
i_3 R=F, D=CH_2NH_2
i_4 R=H, D=CH_2NH_2
i_5 R=F, D=C(=NH)NH_2
i_6 R=H, D=C(=NH)NH_2
i_7 R=F, D=C(O)NH_2
i_8 R=H, D=C(O)NH_2



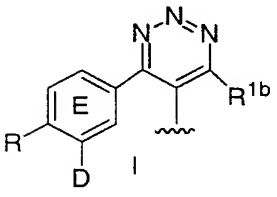
j

j_1 R=F, D=NH_2
j_2 R=H, D=NH_2
j_3 R=F, D=CH_2NH_2
j_4 R=H, D=CH_2NH_2
j_5 R=F, D=C(=NH)NH_2
j_6 R=H, D=C(=NH)NH_2
j_7 R=F, D=C(O)NH_2
j_8 R=H, D=C(O)NH_2



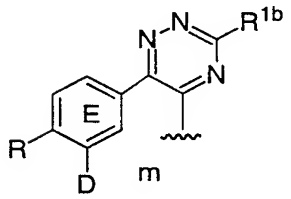
k

k_1 R=F, D=NH_2
k_2 R=H, D=NH_2
k_3 R=F, D=CH_2NH_2
k_4 R=H, D=CH_2NH_2
k_5 R=F, D=C(=NH)NH_2
k_6 R=H, D=C(=NH)NH_2
k_7 R=F, D=C(O)NH_2
k_8 R=H, D=C(O)NH_2



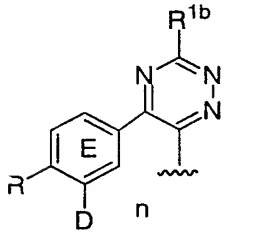
l

l_1 R=F, D=NH_2
l_2 R=H, D=NH_2
l_3 R=F, D=CH_2NH_2
l_4 R=H, D=CH_2NH_2
l_5 R=F, D=C(=NH)NH_2
l_6 R=H, D=C(=NH)NH_2
l_7 R=F, D=C(O)NH_2
l_8 R=H, D=C(O)NH_2



m

m_1 R=F, D=NH_2
m_2 R=H, D=NH_2
m_3 R=F, D=CH_2NH_2
m_4 R=H, D=CH_2NH_2
m_5 R=F, D=C(=NH)NH_2
m_6 R=H, D=C(=NH)NH_2
m_7 R=F, D=C(O)NH_2
m_8 R=H, D=C(O)NH_2

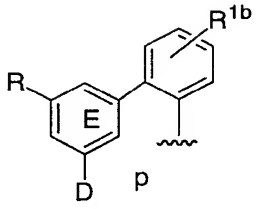


n

n_1 R=F, D=NH_2
n_2 R=H, D=NH_2
n_3 R=F, D=CH_2NH_2
n_4 R=H, D=CH_2NH_2
n_5 R=F, D=C(=NH)NH_2
n_6 R=H, D=C(=NH)NH_2
n_7 R=F, D=C(O)NH_2
n_8 R=H, D=C(O)NH_2

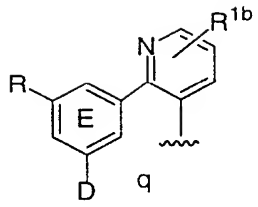
o

o_1 R=F, D=NH_2
o_2 R=H, D=NH_2
o_3 R=F, D=CH_2NH_2
o_4 R=H, D=CH_2NH_2
o_5 R=F, D=C(=NH)NH_2
o_6 R=H, D=C(=NH)NH_2
o_7 R=F, D=C(O)NH_2
o_8 R=H, D=C(O)NH_2



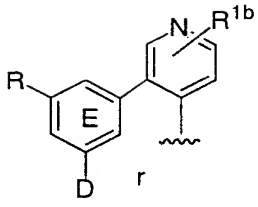
p

p_1 R=F, D=NH_2
p_2 R=Cl, D=NH_2
p_3 R=OMe, D=NH_2
p_4 R=F, D=CH_2NH_2
p_5 R=Cl, D=CH_2NH_2
p_6 R=OMe, D=CH_2NH_2
p_7 R=F, D=C(=NH)NH_2
p_8 R=Cl, D=C(=NH)NH_2
p_9 R=OMe, D=C(=NH)NH_2
p_{10} R=F, D=C(O)NH_2
p_{11} R=Cl, D=C(O)NH_2
p_{12} R=OMe, D=C(O)NH_2



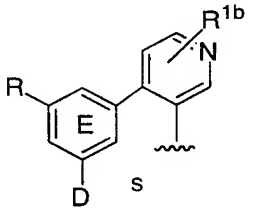
q

q_1 R=F, D=NH_2
q_2 R=Cl, D=NH_2
q_3 R=OMe, D=NH_2
q_4 R=F, D=CH_2NH_2
q_5 R=Cl, D=CH_2NH_2
q_6 R=OMe, D=CH_2NH_2
q_7 R=F, D=C(=NH)NH_2
q_8 R=Cl, D=C(=NH)NH_2
q_9 R=OMe, D=C(=NH)NH_2
q_{10} R=F, D=C(O)NH_2
q_{11} R=Cl, D=C(O)NH_2
q_{12} R=OMe, D=C(O)NH_2



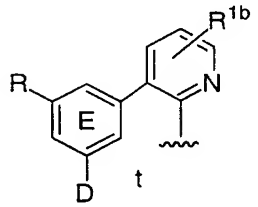
r

r_1 R=F, D=NH_2
r_2 R=Cl, D=NH_2
r_3 R=OMe, D=NH_2
r_4 R=F, D=CH_2NH_2
r_5 R=Cl, D=CH_2NH_2
r_6 R=OMe, D=CH_2NH_2
r_7 R=F, D=C(=NH)NH_2
r_8 R=Cl, D=C(=NH)NH_2
r_9 R=OMe, D=C(=NH)NH_2
r_{10} R=F, D=C(O)NH_2
r_{11} R=Cl, D=C(O)NH_2
r_{12} R=OMe, D=C(O)NH_2



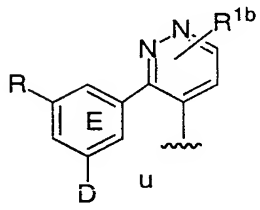
s

s_1 R=F, D=NH_2
s_2 R=Cl, D=NH_2
s_3 R=OMe, D=NH_2
s_4 R=F, D=CH_2NH_2
s_5 R=Cl, D=CH_2NH_2
s_6 R=OMe, D=CH_2NH_2
s_7 R=F, D=C(=NH)NH_2
s_8 R=Cl, D=C(=NH)NH_2
s_9 R=OMe, D=C(=NH)NH_2
s_{10} R=F, D=C(O)NH_2
s_{11} R=Cl, D=C(O)NH_2
s_{12} R=OMe, D=C(O)NH_2



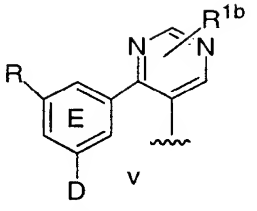
t

t_1 R=F, D=NH_2
t_2 R=Cl, D=NH_2
t_3 R=OMe, D=NH_2
t_4 R=F, D=CH_2NH_2
t_5 R=Cl, D=CH_2NH_2
t_6 R=OMe, D=CH_2NH_2
t_7 R=F, D=C(=NH)NH_2
t_8 R=Cl, D=C(=NH)NH_2
t_9 R=OMe, D=C(=NH)NH_2
t_{10} R=F, D=C(O)NH_2
t_{11} R=Cl, D=C(O)NH_2
t_{12} R=OMe, D=C(O)NH_2



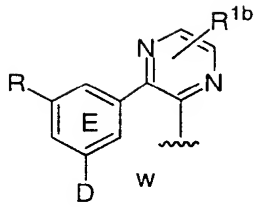
u

u_1 R=F, D=NH_2
u_2 R=Cl, D=NH_2
u_3 R=OMe, D=NH_2
u_4 R=F, D=CH_2NH_2
u_5 R=Cl, D=CH_2NH_2
u_6 R=OMe, D=CH_2NH_2
u_7 R=F, D=C(=NH)NH_2
u_8 R=Cl, D=C(=NH)NH_2
u_9 R=OMe, D=C(=NH)NH_2
u_{10} R=F, D=C(O)NH_2
u_{11} R=Cl, D=C(O)NH_2
u_{12} R=OMe, D=C(O)NH_2



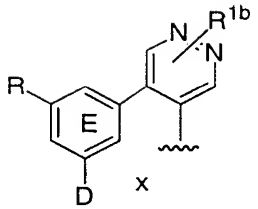
v

v_1 R=F, D=NH_2
v_2 R=Cl, D=NH_2
v_3 R=OMe, D=NH_2
v_4 R=F, D=CH_2NH_2
v_5 R=Cl, D=CH_2NH_2
v_6 R=OMe, D=CH_2NH_2
v_7 R=F, D=C(=NH)NH_2
v_8 R=Cl, D=C(=NH)NH_2
v_9 R=OMe, D=C(=NH)NH_2
v_{10} R=F, D=C(O)NH_2
v_{11} R=Cl, D=C(O)NH_2
v_{12} R=OMe, D=C(O)NH_2



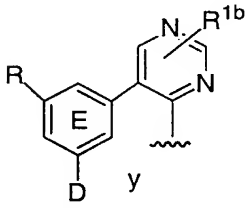
w

w_1 R=F, D=NH_2
w_2 R=Cl, D=NH_2
w_3 R=OMe, D=NH_2
w_4 R=F, D=CH_2NH_2
w_5 R=Cl, D=CH_2NH_2
w_6 R=OMe, D=CH_2NH_2
w_7 R=F, D=C(=NH)NH_2
w_8 R=Cl, D=C(=NH)NH_2
w_9 R=OMe, D=C(=NH)NH_2
w_{10} R=F, D=C(O)NH_2
w_{11} R=Cl, D=C(O)NH_2
w_{12} R=OMe, D=C(O)NH_2



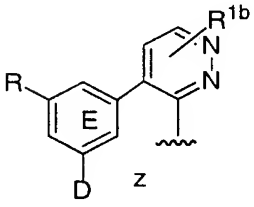
x

x_1 R=F, D=NH_2
x_2 R=Cl, D=NH_2
x_3 R=OMe, D=NH_2
x_4 R=F, D=CH_2NH_2
x_5 R=Cl, D=CH_2NH_2
x_6 R=OMe, D=CH_2NH_2
x_7 R=F, D=C(=NH)NH_2
x_8 R=Cl, D=C(=NH)NH_2
x_9 R=OMe, D=C(=NH)NH_2
x_{10} R=F, D=C(O)NH_2
x_{11} R=Cl, D=C(O)NH_2
x_{12} R=OMe, D=C(O)NH_2



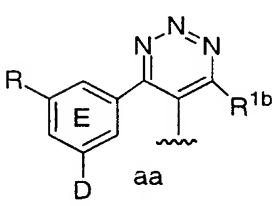
y

y_1 R=F, D=NH_2
y_2 R=Cl, D=NH_2
y_3 R=OMe, D=NH_2
y_4 R=F, D=CH_2NH_2
y_5 R=Cl, D=CH_2NH_2
y_6 R=OMe, D=CH_2NH_2
y_7 R=F, D=C(=NH)NH_2
y_8 R=Cl, D=C(=NH)NH_2
y_9 R=OMe, D=C(=NH)NH_2
y_{10} R=F, D=C(O)NH_2
y_{11} R=Cl, D=C(O)NH_2
y_{12} R=OMe, D=C(O)NH_2



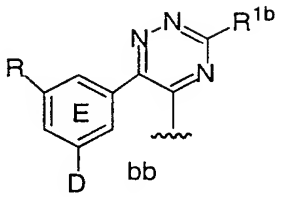
z

z_1 R=F, D=NH_2
z_2 R=Cl, D=NH_2
z_3 R=OMe, D=NH_2
z_4 R=F, D=CH_2NH_2
z_5 R=Cl, D=CH_2NH_2
z_6 R=OMe, D=CH_2NH_2
z_7 R=F, D=C(=NH)NH_2
z_8 R=Cl, D=C(=NH)NH_2
z_9 R=OMe, D=C(=NH)NH_2
z_{10} R=F, D=C(O)NH_2
z_{11} R=Cl, D=C(O)NH_2
z_{12} R=OMe, D=C(O)NH_2



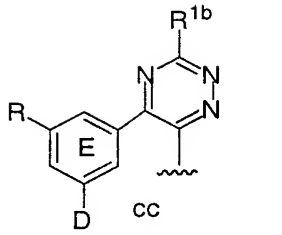
aa

aa_1 R=F, D=NH_2
aa_2 R=Cl, D=NH_2
aa_3 R=OMe, D=NH_2
aa_4 R=F, D=CH_2NH_2
aa_5 R=Cl, D=CH_2NH_2
aa_6 R=OMe, D=CH_2NH_2
aa_7 R=F, D=C(=NH)NH_2
aa_8 R=Cl, D=C(=NH)NH_2
aa_9 R=OMe, D=C(=NH)NH_2
aa_{10} R=F, D=C(O)NH_2
aa_{11} R=Cl, D=C(O)NH_2
aa_{12} R=OMe, D=C(O)NH_2



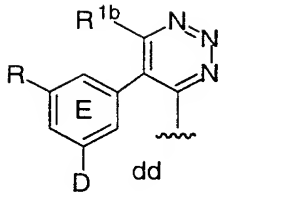
bb

bb_1 R=F, D=NH_2
bb_2 R=Cl, D=NH_2
bb_3 R=OMe, D=NH_2
bb_4 R=F, D=CH_2NH_2
bb_5 R=Cl, D=CH_2NH_2
bb_6 R=OMe, D=CH_2NH_2
bb_7 R=F, D=C(=NH)NH_2
bb_8 R=Cl, D=C(=NH)NH_2
bb_9 R=OMe, D=C(=NH)NH_2
bb_{10} R=F, D=C(O)NH_2
bb_{11} R=Cl, D=C(O)NH_2
bb_{12} R=OMe, D=C(O)NH_2



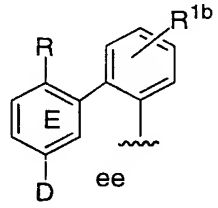
cc

cc_1 R=F, D=NH_2
cc_2 R=Cl, D=NH_2
cc_3 R=OMe, D=NH_2
cc_4 R=F, D=CH_2NH_2
cc_5 R=Cl, D=CH_2NH_2
cc_6 R=OMe, D=CH_2NH_2
cc_7 R=F, D=C(=NH)NH_2
cc_8 R=Cl, D=C(=NH)NH_2
cc_9 R=OMe, D=C(=NH)NH_2
cc_{10} R=F, D=C(O)NH_2
cc_{11} R=Cl, D=C(O)NH_2
cc_{12} R=OMe, D=C(O)NH_2



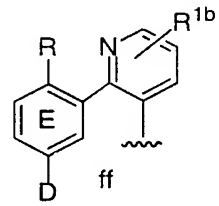
dd

dd_1 R=F, D=NH_2
dd_2 R=Cl, D=NH_2
dd_3 R=OMe, D=NH_2
dd_4 R=F, D=CH_2NH_2
dd_5 R=Cl, D=CH_2NH_2
dd_6 R=OMe, D=CH_2NH_2
dd_7 R=F, D=C(=NH)NH_2
dd_8 R=Cl, D=C(=NH)NH_2
dd_9 R=OMe, D=C(=NH)NH_2
dd_{10} R=F, D=C(O)NH_2
dd_{11} R=Cl, D=C(O)NH_2
dd_{12} R=OMe, D=C(O)NH_2



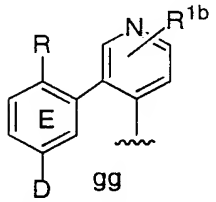
ee

ee_1 R=F, D=CH_2NH_2
ee_2 R=Cl, D=CH_2NH_2
ee_3 R=OMe, D=CH_2NH_2
ee_4 R=CH_2NH_2, D=CH_2NH_2



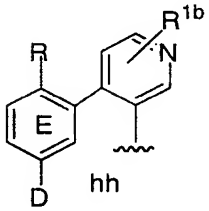
ff

ff_1 R=F, D=CH_2NH_2
ff_2 R=Cl, D=CH_2NH_2
ff_3 R=OMe, D=CH_2NH_2
ff_4 R=CH_2NH_2, D=CH_2NH_2



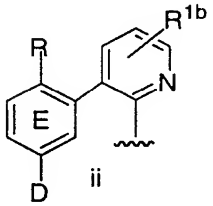
gg

gg_1 R=F, D=CH_2NH_2
gg_2 R=Cl, D=CH_2NH_2
gg_3 R=OMe, D=CH_2NH_2
gg_4 R=CH_2NH_2, D=CH_2NH_2



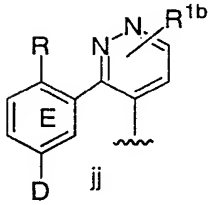
hh

hh_1 R=F, D=CH_2NH_2
hh_2 R=Cl, D=CH_2NH_2
hh_3 R=OMe, D=CH_2NH_2
hh_4 R=CH_2NH_2, D=CH_2NH_2



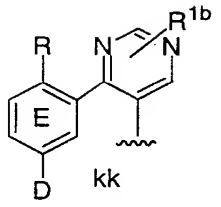
ii

ii_1 R=F, D=CH_2NH_2
ii_2 R=Cl, D=CH_2NH_2
ii_3 R=OMe, D=CH_2NH_2
ii_4 R=CH_2NH_2, D=CH_2NH_2



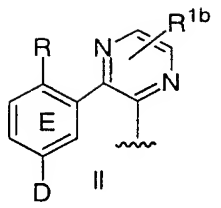
jj

jj_1 R=F, D=CH_2NH_2
jj_2 R=Cl, D=CH_2NH_2
jj_3 R=OMe, D=CH_2NH_2
jj_4 R=CH_2NH_2, D=CH_2NH_2



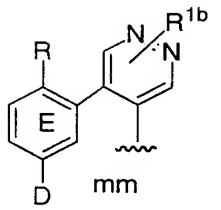
kk

kk_1 R=F, D=CH_2NH_2
kk_2 R=Cl, D=CH_2NH_2
kk_3 R=OMe, D=CH_2NH_2
kk_4 R=CH_2NH_2, D=CH_2NH_2



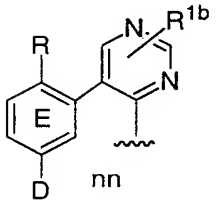
ll

ll_1 R=F, D=CH_2NH_2
ll_2 R=Cl, D=CH_2NH_2
ll_3 R=OMe, D=CH_2NH_2
ll_4 R=CH_2NH_2, D=CH_2NH_2



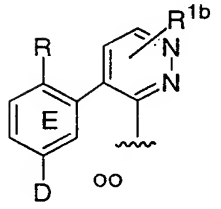
mm

mm_1 R=F, D=CH_2NH_2
mm_2 R=Cl, D=CH_2NH_2
mm_3 R=OMe, D=CH_2NH_2
mm_4 R=CH_2NH_2, D=CH_2NH_2



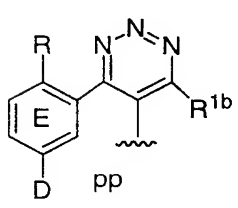
nn

nn_1 R=F, D=CH_2NH_2
nn_2 R=Cl, D=CH_2NH_2
nn_3 R=OMe, D=CH_2NH_2
nn_4 R=CH_2NH_2, D=CH_2NH_2



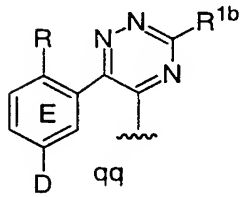
oo

oo_1 R=F, D=CH_2NH_2
oo_2 R=Cl, D=CH_2NH_2
oo_3 R=OMe, D=CH_2NH_2
oo_4 R=CH_2NH_2, D=CH_2NH_2



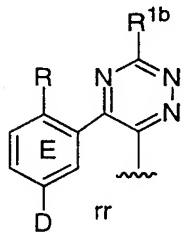
pp

pp_1 R=F, D=CH_2NH_2
pp_2 R=Cl, D=CH_2NH_2
pp_3 R=OMe, D=CH_2NH_2
pp_4 R=CH_2NH_2, D=CH_2NH_2



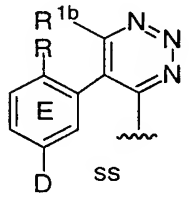
qq

qq_1 R=F, D=CH_2NH_2
qq_2 R=Cl, D=CH_2NH_2
qq_3 R=OMe, D=CH_2NH_2
qq_4 R=CH_2NH_2, D=CH_2NH_2



rr

rr_1 R=F, D=CH_2NH_2
rr_2 R=Cl, D=CH_2NH_2
rr_3 R=OMe, D=CH_2NH_2
rr_4 R=CH_2NH_2, D=CH_2NH_2



ss

ss_1 R=F, D=CH_2NH_2
ss_2 R=Cl, D=CH_2NH_2
ss_3 R=OMe, D=CH_2NH_2
ss_4 R=CH_2NH_2, D=CH_2NH_2

Ex#	R^{1b}	A	B
1	H	phenyl	2-((Me)$_2$N-methyl)phenyl
2	H	phenyl	2-((Me)NH-methyl)phenyl
3	H	phenyl	2-(H_2N-methyl)phenyl
4	H	phenyl	2-$HOCH_2$-phenyl
5	H	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
6	H	2-F-phenyl	2-((Me)NH-methyl)phenyl
7	H	2-F-phenyl	2-(H_2N-methyl)phenyl
8	H	2-F-phenyl	2-$HOCH_2$-phenyl
9	H	phenyl	2-methylimidazol-1-yl
10	H	phenyl	2-ethylimidazol-1-yl
11	H	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
12	H	phenyl	2-CH_3NHSO_2-imidazol-1-yl

13	H	phenyl	2-CH_3OCH_2-imidazol-1-yl
14	H	2-F-phenyl	2-methylimidazol-1-yl
15	H	2-F-phenyl	2-ethylimidazol-1-yl
16	H	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
17	H	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
18	H	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
19	H	2-Cl-phenyl	2-methylimidazol-1-yl
20	H	2-Cl-phenyl	2-ethylimidazol-1-yl
21	H	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
22	H	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
23	H	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
24	H	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
25	H	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
26	H	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
27	H	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
28	H	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
29	H	phenyl	N-methylimidazol-2-yl
30	H	phenyl	4-methylimidazol-5-yl
31	H	phenyl	5-CF_3-pyrazol-1-yl
32	H	2-F-phenyl	N-methylimidazol-2-yl
33	H	2-F-phenyl	4-methylimidazol-5-yl
34	H	2-F-phenyl	5-CF_3-pyrazol-1-yl
35	H	phenyl	guanidino
36	H	phenyl	2-thiazolin-2-ylamine
37	H	phenyl	N-methyl-2-imidazolin-2-yl
38	H	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
39	H	phenyl	N-methylimidazol-2-ylthiol
40	H	phenyl	t-butoxycarbonylamine
41	H	phenyl	(N-pyrrolidino)formylimino
42	H	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
43	H	2-F-phenyl	guanidino
44	H	2-F-phenyl	2-thiazolin-2-ylamine
45	H	2-F-phenyl	N-methyl-2-imidazolin-2-yl
46	H	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
47	H	2-F-phenyl	N-methylimidazol-2-ylthio
48	H	2-F-phenyl	t-butoxycarbonylamine
49	H	2-F-phenyl	(N-pyrrolidino)formylimino
50	H	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
51	H	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
52	H	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
53	-CN	phenyl	2-((Me)$_2$N-methyl)phenyl
54	-CN	phenyl	2-((Me)NH-methyl)phenyl
55	-CN	phenyl	2-(H_2N-methyl)phenyl
56	-CN	phenyl	2-$HOCH_2$-phenyl
57	-CN	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
58	-CN	2-F-phenyl	2-((Me)NH-methyl)phenyl
59	-CN	2-F-phenyl	2-(H_2N-methyl)phenyl
60	-CN	2-F-phenyl	2-$HOCH_2$-phenyl
61	-CN	phenyl	2-methylimidazol-1-yl
62	-CN	phenyl	2-ethylimidazol-1-yl

	63	-CN	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
	64	-CN	phenyl	2-CH_3SO_2-imidazol-1-yl
	65	-CN	phenyl	2-CH_3OCH_2-imidazol-1-yl
	66	-CN	2-F-phenyl	2-methylimidazol-1-yl
5	67	-CN	2-F-phenyl	2-ethylimidazol-1-yl
	68	-CN	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
	69	-CN	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
	70	-CN	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
	71	-CN	2-Cl-phenyl	2-methylimidazol-1-yl
10	72	-CN	2-Cl-phenyl	2-ethylimidazol-1-yl
	73	-CN	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
	74	-CN	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
	75	-CN	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
	76	-CN	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
15	77	-CN	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
	78	-CN	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
	79	-CN	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
	80	-CN	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
	81	-CN	phenyl	N-methylimidazol-2-yl
20	82	-CN	phenyl	4-methylimidazol-5-yl
	83	-CN	phenyl	5-CF_3-pyrazol-1-yl
	84	-CN	2-F-phenyl	N-methylimidazol-2-yl
	85	-CN	2-F-phenyl	4-methylimidazol-5-yl
	86	-CN	2-F-phenyl	5-CF_3-pyrazol-1-yl
25	87	-CN	phenyl	guanidino
	88	-CN	phenyl	2-thiazolin-2-ylamine
	89	-CN	phenyl	N-methyl-2-imidazolin-2-yl
	90	-CN	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
30	91	-CN	phenyl	N-methylimidazol-2-ylthiol
	92	-CN	phenyl	t-butoxycarbonylamine
	93	-CN	phenyl	(N-pyrrolidino)formylimino
	94	-CN	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
35	95	-CN	2-F-phenyl	guanidino
	96	-CN	2-F-phenyl	2-thiazolin-2-ylamine
	97	-CN	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	98	-CN	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
40	99	-CN	2-F-phenyl	N-methylimidazol-2-ylthio
	100	-CN	2-F-phenyl	t-butoxycarbonylamine
	101	-CN	2-F-phenyl	(N-pyrrolidino)formylimino
	102	-CN	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
45	103	-CN	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
	104	-CN	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	105	CF_3	phenyl	2-((Me)$_2$N-methyl)phenyl
	106	CF_3	phenyl	2-((Me)NH-methyl)phenyl
50	107	CF_3	phenyl	2-(H_2N-methyl)phenyl
	108	CF_3	phenyl	2-$HOCH_2$-phenyl
	109	CF_3	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
	110	CF_3	2-F-phenyl	2-((Me)NH-methyl)phenyl
	111	CF_3	2-F-phenyl	2-(H_2N-methyl)phenyl

	112	CF_3	2-F-phenyl	2-$HOCH_2$-phenyl
	113	CF_3	phenyl	2-methylimidazol-1-yl
	114	CF_3	phenyl	2-ethylimidazol-1-yl
	115	CF_3	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
5	116	CF_3	phenyl	2-CH_3SO_2-imidazol-1-yl
	117	CF_3	phenyl	2-CH_3OCH_2-imidazol-1-yl
	118	CF_3	2-F-phenyl	2-methylimidazol-1-yl
	119	CF_3	2-F-phenyl	2-ethylimidazol-1-yl
	120	CF_3	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
10	121	CF_3	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
	122	CF_3	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
	123	CF_3	2-Cl-phenyl	2-methylimidazol-1-yl
	124	CF_3	2-Cl-phenyl	2-ethylimidazol-1-yl
	125	CF_3	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
15	126	CF_3	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
	127	CF_3	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
	128	CF_3	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
	129	CF_3	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
	130	CF_3	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
20	131	CF_3	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
	132	CF_3	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
	133	CF_3	phenyl	N-methylimidazol-2-yl
	134	CF_3	phenyl	4-methylimidazol-5-yl
	135	CF_3	phenyl	5-CF_3-pyrazol-1-yl
25	136	CF_3	2-F-phenyl	N-methylimidazol-2-yl
	137	CF_3	2-F-phenyl	4-methylimidazol-5-yl
	138	CF_3	2-F-phenyl	5-CF_3-pyrazol-1-yl
	139	CF_3	phenyl	guanidino
	140	CF_3	phenyl	2-thiazolin-2-ylamine
30	141	CF_3	phenyl	N-methyl-2-imidazolin-2-yl
	142	CF_3	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	143	CF_3	phenyl	N-methylimidazol-2-ylthiol
	144	CF_3	phenyl	t-butoxycarbonylamine
35	145	CF_3	phenyl	(N-pyrrolidino)formylimino
	146	CF_3	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	147	CF_3	2-F-phenyl	guanidino
	148	CF_3	2-F-phenyl	2-thiazolin-2-ylamine
40	149	CF_3	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	150	CF_3	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	151	CF_3	2-F-phenyl	N-methylimidazol-2-ylthio
	152	CF_3	2-F-phenyl	t-butoxycarbonylamine
45	153	CF_3	2-F-phenyl	(N-pyrrolidino)formylimino
	154	CF_3	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	155	CF_3	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
	156	CF_3	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
50				
	157	$CONH_2$	phenyl	2-((Me)$_2$N-methyl)phenyl
	158	$CONH_2$	phenyl	2-((Me)NH-methyl)phenyl

159	$CONH_2$	phenyl	2-(H_2N-methyl)phenyl
160	$CONH_2$	phenyl	2-$HOCH_2$-phenyl
161	$CONH_2$	2-F-phenyl	2-(($Me)_2N$-methyl)phenyl
162	$CONH_2$	2-F-phenyl	2-((Me)NH-methyl)phenyl
163	$CONH_2$	2-F-phenyl	2-(H_2N-methyl)phenyl
164	$CONH_2$	2-F-phenyl	2-$HOCH_2$-phenyl
165	$CONH_2$	phenyl	2-methylimidazol-1-yl
166	$CONH_2$	phenyl	2-ethylimidazol-1-yl
167	$CONH_2$	phenyl	2-(($Me)_2N$-methyl)imidazol-1-yl
168	$CONH_2$	phenyl	2-CH_3SO_2-imidazol-1-yl
169	$CONH_2$	phenyl	2-CH_3OCH_2-imidazol-1-yl
170	$CONH_2$	2-F-phenyl	2-methylimidazol-1-yl
171	$CONH_2$	2-F-phenyl	2-ethylimidazol-1-yl
172	$CONH_2$	2-F-phenyl	2-(($Me)_2N$-methyl)imidazol-1-yl
173	$CONH_2$	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
174	$CONH_2$	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
175	$CONH_2$	2-Cl-phenyl	2-methylimidazol-1-yl
176	$CONH_2$	2-Cl-phenyl	2-ethylimidazol-1-yl
177	$CONH_2$	2-Cl-phenyl	2-(($Me)_2N$-methyl)imidazol-1-yl
178	$CONH_2$	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
179	$CONH_2$	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
180	$CONH_2$	2-$(Me)_2N$-phenyl	2-methylimidazol-1-yl
181	$CONH_2$	2-$(Me)_2N$-phenyl	2-ethylimidazol-1-yl
182	$CONH_2$	2-$(Me)_2N$-phenyl	2-(($Me)_2N$-methyl)imidazol-1-yl
183	$CONH_2$	2-$(Me)_2N$-phenyl	2-CH_3SO_2-imidazol-1-yl
184	$CONH_2$	2-$(Me)_2N$-phenyl	2-CH_3OCH_2-imidazol-1-yl
185	$CONH_2$	phenyl	N-methylimidazol-2-yl
186	$CONH_2$	phenyl	4-methylimidazol-5-yl
187	$CONH_2$	phenyl	5-CF_3-pyrazol-1-yl
188	$CONH_2$	2-F-phenyl	N-methylimidazol-2-yl
189	$CONH_2$	2-F-phenyl	4-methylimidazol-5-yl
190	$CONH_2$	2-F-phenyl	5-CF_3-pyrazol-1-yl
191	$CONH_2$	phenyl	guanidino
192	$CONH_2$	phenyl	2-thiazolin-2-ylamine
193	$CONH_2$	phenyl	N-methyl-2-imidazolin-2-yl
194	$CONH_2$	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
195	$CONH_2$	phenyl	N-methylimidazol-2-ylthiol
196	$CONH_2$	phenyl	t-butoxycarbonylamine
197	$CONH_2$	phenyl	(N-pyrrolidino)formylimino
198	$CONH_2$	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
199	$CONH_2$	2-F-phenyl	guanidino
200	$CONH_2$	2-F-phenyl	2-thiazolin-2-ylamine
201	$CONH_2$	2-F-phenyl	N-methyl-2-imidazolin-2-yl
202	$CONH_2$	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
203	$CONH_2$	2-F-phenyl	N-methylimidazol-2-ylthio
204	$CONH_2$	2-F-phenyl	t-butoxycarbonylamine
205	$CONH_2$	2-F-phenyl	(N-pyrrolidino)formylimino
206	$CONH_2$	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino

	207	$CONH_2$	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
	208	$CONH_2$	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	209	SCH_3	phenyl	2-((Me)$_2$N-methyl)phenyl
5	210	SCH_3	phenyl	2-((Me)NH-methyl)phenyl
	211	SCH_3	phenyl	2-(H_2N-methyl)phenyl
	212	SCH_3	phenyl	2-$HOCH_2$-phenyl
	213	SCH_3	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
	214	SCH_3	2-F-phenyl	2-((Me)NH-methyl)phenyl
10	215	SCH_3	2-F-phenyl	2-(H_2N-methyl)phenyl
	216	SCH_3	2-F-phenyl	2-$HOCH_2$-phenyl
	217	SCH_3	phenyl	2-methylimidazol-1-yl
	218	SCH_3	phenyl	2-ethylimidazol-1-yl
	219	SCH_3	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
15	220	SCH_3	phenyl	2-CH_3SO_2-imidazol-1-yl
	221	SCH_3	phenyl	2-CH_3OCH_2-imidazol-1-yl
	222	SCH_3	2-F-phenyl	2-methylimidazol-1-yl
	223	SCH_3	2-F-phenyl	2-ethylimidazol-1-yl
	224	SCH_3	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
20	225	SCH_3	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
	226	SCH_3	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
	227	SCH_3	2-Cl-phenyl	2-methylimidazol-1-yl
	228	SCH_3	2-Cl-phenyl	2-ethylimidazol-1-yl
	229	SCH_3	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
25	230	SCH_3	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
	231	SCH_3	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
	232	SCH_3	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
	233	SCH_3	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
	234	SCH_3	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
30	235	SCH_3	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
	236	SCH_3	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
	237	SCH_3	phenyl	N-methylimidazol-2-yl
	238	SCH_3	phenyl	4-methylimidazol-5-yl
	239	SCH_3	phenyl	5-CF_3-pyrazol-1-yl
35	240	SCH_3	2-F-phenyl	N-methylimidazol-2-yl
	241	SCH_3	2-F-phenyl	4-methylimidazol-5-yl
	242	SCH_3	2-F-phenyl	5-CF_3-pyrazol-1-yl
	243	SCH_3	phenyl	guanidino
	244	SCH_3	phenyl	2-thiazolin-2-ylamine
40	245	SCH_3	phenyl	N-methyl-2-imidazolin-2-yl
	246	SCH_3	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
	247	SCH_3	phenyl	N-methylimidazol-2-ylthiol
	248	SCH_3	phenyl	t-butoxycarbonylamine
45	249	SCH_3	phenyl	(N-pyrrolidino)formylimino
	250	SCH_3	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
	251	SCH_3	2-F-phenyl	guanidino
	252	SCH_3	2-F-phenyl	2-thiazolin-2-ylamine
50	253	SCH_3	2-F-phenyl	N-methyl-2-imidazolin-2-yl
	254	SCH_3	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl

255	SCH_3	2-F-phenyl	N-methylimidazol-2-ylthio
256	SCH_3	2-F-phenyl	t-butoxycarbonylamine
257	SCH_3	2-F-phenyl	(N-pyrrolidino)formylimino
258	SCH_3	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
259	SCH_3	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
260	SCH_3	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
261	SO_2CH_3	phenyl	2-((Me)$_2$N-methyl)phenyl
262	SO_2CH_3	phenyl	2-((Me)NH-methyl)phenyl
263	SO_2CH_3	phenyl	2-(H_2N-methyl)phenyl
264	SO_2CH_3	phenyl	2-$HOCH_2$-phenyl
265	SO_2CH_3	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
266	SO_2CH_3	2-F-phenyl	2-((Me)NH-methyl)phenyl
267	SO_2CH_3	2-F-phenyl	2-(H_2N-methyl)phenyl
268	SO_2CH_3	2-F-phenyl	2-$HOCH_2$-phenyl
269	SO_2CH_3	phenyl	2-methylimidazol-1-yl
270	SO_2CH_3	phenyl	2-ethylimidazol-1-yl
271	SO_2CH_3	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
272	SO_2CH_3	phenyl	2-CH_3SO_2-imidazol-1-yl
273	SO_2CH_3	phenyl	2-CH_3OCH_2-imidazol-1-yl
274	SO_2CH_3	2-F-phenyl	2-methylimidazol-1-yl
275	SO_2CH_3	2-F-phenyl	2-ethylimidazol-1-yl
276	SO_2CH_3	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
277	SO_2CH_3	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
278	SO_2CH_3	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
279	SO_2CH_3	2-Cl-phenyl	2-methylimidazol-1-yl
280	SO_2CH_3	2-Cl-phenyl	2-ethylimidazol-1-yl
281	SO_2CH_3	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
282	SO_2CH_3	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
283	SO_2CH_3	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
284	SO_2CH_3	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
285	SO_2CH_3	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
286	SO_2CH_3	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
287	SO_2CH_3	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
288	SO_2CH_3	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
289	SO_2CH_3	phenyl	N-methylimidazol-2-yl
290	SO_2CH_3	phenyl	4-methylimidazol-5-yl
291	SO_2CH_3	phenyl	5-CF_3-pyrazol-1-yl
292	SO_2CH_3	2-F-phenyl	N-methylimidazol-2-yl
293	SO_2CH_3	2-F-phenyl	4-methylimidazol-5-yl
294	SO_2CH_3	2-F-phenyl	5-CF_3-pyrazol-1-yl
295	SO_2CH_3	phenyl	guanidino
296	SO_2CH_3	phenyl	2-thiazolin-2-ylamine
297	SO_2CH_3	phenyl	N-methyl-2-imidazolin-2-yl
298	SO_2CH_3	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
299	SO_2CH_3	phenyl	N-methylimidazol-2-ylthiol
300	SO_2CH_3	phenyl	t-butoxycarbonylamine
301	SO_2CH_3	phenyl	(N-pyrrolidino)formylimino
302	SO_2CH_3	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino

303	SO_2CH_3	2-F-phenyl	guanidino
304	SO_2CH_3	2-F-phenyl	2-thiazolin-2-ylamine
305	SO_2CH_3	2-F-phenyl	N-methyl-2-imidazolin-2-yl
306	SO_2CH_3	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
307	SO_2CH_3	2-F-phenyl	N-methylimidazol-2-ylthio
308	SO_2CH_3	2-F-phenyl	t-butoxycarbonylamine
309	SO_2CH_3	2-F-phenyl	(N-pyrrolidino)formylimino
310	SO_2CH_3	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
311	SO_2CH_3	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
312	SO_2CH_3	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
313	$NHSO_2CH_3$	phenyl	2-((Me)$_2$N-methyl)phenyl
314	$NHSO_2CH_3$	phenyl	2-((Me)NH-methyl)phenyl
315	$NHSO_2CH_3$	phenyl	2-(H_2N-methyl)phenyl
316	$NHSO_2CH_3$	phenyl	2-$HOCH_2$-phenyl
317	$NHSO_2CH_3$	2-F-phenyl	2-((Me)$_2$N-methyl)phenyl
318	$NHSO_2CH_3$	2-F-phenyl	2-((Me)NH-methyl)phenyl
319	$NHSO_2CH_3$	2-F-phenyl	2-(H_2N-methyl)phenyl
320	$NHSO_2CH_3$	2-F-phenyl	2-$HOCH_2$-phenyl
321	$NHSO_2CH_3$	phenyl	2-methylimidazol-1-yl
322	$NHSO_2CH_3$	phenyl	2-ethylimidazol-1-yl
323	$NHSO_2CH_3$	phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
324	$NHSO_2CH_3$	phenyl	2-CH_3SO_2-imidazol-1-yl
325	$NHSO_2CH_3$	phenyl	2-CH_3OCH_2-imidazol-1-yl
326	$NHSO_2CH_3$	2-F-phenyl	2-methylimidazol-1-yl
327	$NHSO_2CH_3$	2-F-phenyl	2-ethylimidazol-1-yl
328	$NHSO_2CH_3$	2-F-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
329	$NHSO_2CH_3$	2-F-phenyl	2-CH_3SO_2-imidazol-1-yl
330	$NHSO_2CH_3$	2-F-phenyl	2-CH_3OCH_2-imidazol-1-yl
331	$NHSO_2CH_3$	2-Cl-phenyl	2-methylimidazol-1-yl
332	$NHSO_2CH_3$	2-Cl-phenyl	2-ethylimidazol-1-yl
333	$NHSO_2CH_3$	2-Cl-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
334	$NHSO_2CH_3$	2-Cl-phenyl	2-CH_3SO_2-imidazol-1-yl
335	$NHSO_2CH_3$	2-Cl-phenyl	2-CH_3OCH_2-imidazol-1-yl
336	$NHSO_2CH_3$	2-(Me)$_2$N-phenyl	2-methylimidazol-1-yl
337	$NHSO_2CH_3$	2-(Me)$_2$N-phenyl	2-ethylimidazol-1-yl
338	$NHSO_2CH_3$	2-(Me)$_2$N-phenyl	2-((Me)$_2$N-methyl)imidazol-1-yl
339	$NHSO_2CH_3$	2-(Me)$_2$N-phenyl	2-CH_3SO_2-imidazol-1-yl
340	$NHSO_2CH_3$	2-(Me)$_2$N-phenyl	2-CH_3OCH_2-imidazol-1-yl
341	$NHSO_2CH_3$	phenyl	N-methylimidazol-2-yl
342	$NHSO_2CH_3$	phenyl	4-methylimidazol-5-yl
343	$NHSO_2CH_3$	phenyl	5-CF_3-pyrazol-1-yl
344	$NHSO_2CH_3$	2-F-phenyl	N-methylimidazol-2-yl
345	$NHSO_2CH_3$	2-F-phenyl	4-methylimidazol-5-yl
346	$NHSO_2CH_3$	2-F-phenyl	5-CF_3-pyrazol-1-yl
347	$NHSO_2CH_3$	phenyl	guanidino
348	$NHSO_2CH_3$	phenyl	2-thiazolin-2-ylamine
349	$NHSO_2CH_3$	phenyl	N-methyl-2-imidazolin-2-yl
350	$NHSO_2CH_3$	phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl

351	$NHSO_2CH_3$	phenyl	N-methylimidazol-2-ylthiol
352	$NHSO_2CH_3$	phenyl	t-butoxycarbonylamine
353	$NHSO_2CH_3$	phenyl	(N-pyrrolidino)formylimino
354	$NHSO_2CH_3$	phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
355	$NHSO_2CH_3$	2-F-phenyl	guanidino
356	$NHSO_2CH_3$	2-F-phenyl	2-thiazolin-2-ylamine
357	$NHSO_2CH_3$	2-F-phenyl	N-methyl-2-imidazolin-2-yl
358	$NHSO_2CH_3$	2-F-phenyl	N-methyl-1,4,5,6-tetrahydropyrimid-2-yl
359	$NHSO_2CH_3$	2-F-phenyl	N-methylimidazol-2-ylthio
360	$NHSO_2CH_3$	2-F-phenyl	t-butoxycarbonylamine
361	$NHSO_2CH_3$	2-F-phenyl	(N-pyrrolidino)formylimino
362	$NHSO_2CH_3$	2-F-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino
363	$NHSO_2CH_3$	2-CH_3O-phenyl	(N-pyrrolidino)formylimino
364	$NHSO_2CH_3$	2-CH_3O-phenyl	(N-pyrrolidino)formyl-N-(methanesulfamoyl)imino

Utility

The compounds of this invention are useful as anticoagulants for the treatment or prevention of thromboembolic disorders in mammals. The term "thromboembolic disorders" as used herein includes arterial or venous cardiovascular or cerebrovascular thromboembolic disorders, including, for example, unstable angina, first or recurrent myocardial infarction, ischemic sudden death, transient ischemic attack, stroke, atherosclerosis, venous thrombosis, deep vein thrombosis, thrombophlebitis, arterial embolism, coronary and cerebral arterial thrombosis, cerebral embolism, kidney embolisms, and pulmonary embolisms. The anticoagulant effect of compounds of the present invention is believed to be due to inhibition of factor Xa or thrombin.

The effectiveness of compounds of the present invention as inhibitors of factor Xa was determined using purified human factor Xa and synthetic substrate. The rate of factor Xa hydrolysis of chromogenic substrate S2222 (Kabi Pharmacia, Franklin, OH) was measured both in the absence and presence of compounds of the present invention. Hydrolysis of the substrate resulted in the release of pNA, which was monitored spectrophotometrically by measuring the increase in absorbance at 405 nM. A decrease in the rate of absorbance change at 405 nm in the presence of inhibitor is indicative of enzyme inhibition. The results of this assay are expressed as inhibitory constant, K_i.

Factor Xa determinations were made in 0.10 M sodium phosphate buffer, pH 7.5, containing 0.20 M NaCl, and 0.5 % PEG 8000. The Michaelis constant, K_m, for substrate hydrolysis was determined at 25°C using the method of Lineweaver and Burk. Values of K_i were determined by allowing 0.2-0.5 nM human factor Xa (Enzyme Research Laboratories, South Bend, IN) to react with the substrate (0.20 mM-1 mM) in the presence of inhibitor. Reactions were allowed to go for 30 minutes and the velocities (rate of absorbance change vs time) were measured in the time frame of 25-30 minutes. The following relationship was used to calculate K_i values:

$$(v_o - v_s)/v_s = I/(K_i\ (1 + S/K_m))$$

where:

v_o is the velocity of the control in the absence of inhibitor;

v_s is the velocity in the presence of inhibitor;

I is the concentration of inhibitor;

K_i is the dissociation constant of the enzyme:inhibitor complex;

S is the concentration of substrate;

K_m is the Michaelis constant.

Using the methodology described above, a number of compounds of the present invention were found to exhibit a K_i of ≤ 15 μM, thereby confirming the utility of the compounds of the present invention as effective Xa inhibitors.

The antithrombotic effect of compounds of the present invention can be demonstrated in a rabbit arterio-venous (AV) shunt thrombosis model. In this model, rabbits weighing 2-3 kg anesthetized with a mixture of xylazine (10 mg/kg i.m.) and ketamine (50 mg/kg i.m.) are used. A saline-filled AV shunt device is connected between the femoral arterial and the femoral venous cannulae. The AV shunt device consists of a piece of 6-cm tygon tubing which contains a piece of silk thread. Blood will flow from the femoral artery via the AV-shunt into the femoral vein. The exposure of flowing blood to a silk thread will induce the formation of a significant thrombus. After forty minutes, the shunt is disconnected and the silk thread covered with thrombus is weighed. Test agents or vehicle will be given (i.v., i.p., s.c., or orally) prior to the opening of the AV shunt. The percentage inhibition of thrombus formation is determined for each treatment group. The ID50 values (dose which produces 50% inhibition of thrombus formation) are estimated by linear regression.

The compounds of formula (I) may also be useful as inhibitors of serine proteases, notably human thrombin, plasma kallikrein and plasmin. Because of their inhibitory action, these compounds are indicated for use in the prevention or treatment of physiological reactions, blood coagulation and inflammation, catalyzed by the aforesaid class of enzymes. Specifically, the compounds have utility as drugs for the

treatment of diseases arising from elevated thrombin activity such as myocardial infarction, and as reagents used as anticoagulants in the processing of blood to plasma for diagnostic and other commercial purposes.

Some compounds of the present invention were shown to be direct acting inhibitors of the serine protease thrombin by their ability to inhibit the cleavage of small molecule substrates by thrombin in a purified system. *In vitro* inhibition constants were determined by the method described by Kettner et al. in *J. Biol. Chem.* **265**, 18289-18297 (1990), herein incorporated by reference. In these assays, thrombin-mediated hydrolysis of the chromogenic substrate S2238 (Helena Laboratories, Beaumont, TX) was monitored spectrophotometrically. Addition of an inhibitor to the assay mixture results in decreased absorbance and is indicative of thrombin inhibition. Human thrombin (Enzyme Research Laboratories, Inc., South Bend, IN) at a concentration of 0.2 nM in 0.10 M sodium phosphate buffer, pH 7.5, 0.20 M NaCl, and 0.5% PEG 6000, was incubated with various substrate concentrations ranging from 0.20 to 0.02 mM. After 25 to 30 minutes of incubation, thrombin activity was assayed by monitoring the rate of increase in absorbance at 405 nm which arises owing to substrate hydrolysis. Inhibition constants were derived from reciprocal plots of the reaction velocity as a function of substrate concentration using the standard method of Lineweaver and Burk. Using the methodology described above, some compounds of this invention were evaluated and found to exhibit a K_i of less than 15 μm, thereby confirming the utility of the compounds of the present invention as effective Xa inhibitors.

The compounds of the present invention can be administered alone or in combination with one or more additional therapeutic agents. These include other anti-coagulant or coagulation inhibitory agents, anti-platelet or platelet inhibitory agents, thrombin inhibitors, or thrombolytic or fibrinolytic agents.

The compounds are administered to a mammal in a therapeutically effective amount. By "therapeutically

effective amount" it is meant an amount of a compound of Formula I that, when administered alone or in combination with an additional therapeutic agent to a mammal, is effective to prevent or ameliorate the thromboembolic disease condition or the progression of the disease.

By "administered in combination" or "combination therapy" it is meant that the compound of Formula I and one or more additional therapeutic agents are administered concurrently to the mammal being treated. When administered in combination each component may be administered at the same time or sequentially in any order at different points in time. Thus, each component may be administered separately but sufficiently closely in time so as to provide the desired therapeutic effect. Other anticoagulant agents (or coagulation inhibitory agents) that may be used in combination with the compounds of this invention include warfarin and heparin, as well as other factor Xa inhibitors such as those described in the publications identified above under Background of the Invention.

The term anti-platelet agents (or platelet inhibitory agents), as used herein, denotes agents that inhibit platelet function such as by inhibiting the aggregation, adhesion or granular secretion of platelets. Such agents include, but are not limited to, the various known non-steroidal anti-inflammatory drugs (NSAIDS) such as aspirin, ibuprofen, naproxen, sulindac, indomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, and piroxicam, including pharmaceutically acceptable salts or prodrugs thereof. Of the NSAIDS, aspirin (acetylsalicyclic acid or ASA), and piroxicam are preferred. Other suitable anti-platelet agents include ticlopidine, including pharmaceutically acceptable salts or prodrugs thereof. Ticlopidine is also a preferred compound since it is known to be gentle on the gastro-intestinal tract in use. Still other suitable platelet inhibitory agents include IIb/IIIa antagonists, thromboxane-A2-receptor antagonists and thromboxane-A2-synthetase inhibitors, as well as pharmaceutically acceptable salts or prodrugs thereof.

The term thrombin inhibitors (or anti-thrombin agents), as used herein, denotes inhibitors of the serine protease thrombin. By inhibiting thrombin, various thrombin-mediated processes, such as thrombin-mediated platelet activation (that is, for example, the aggregation of platelets, and/or the granular secretion of plasminogen activator inhibitor-1 and/or serotonin) and/or fibrin formation are disrupted. A number of thrombin inhibitors are known to one of skill in the art and these inhibitors are contemplated to be used in combination with the present compounds. Such inhibitors include, but are not limited to, boroarginine derivatives, boropeptides, heparins, hirudin and argatroban, including pharmaceutically acceptable salts and prodrugs thereof. Boroarginine derivatives and boropeptides include N-acetyl and peptide derivatives of boronic acid, such as C-terminal a-aminoboronic acid derivatives of lysine, ornithine, arginine, homoarginine and corresponding isothiouronium analogs thereof. The term hirudin, as used herein, includes suitable derivatives or analogs of hirudin, referred to herein as hirulogs, such as disulfatohirudin. Boropeptide thrombin inhibitors include compounds described in Kettner et al., U.S. Patent No. 5,187,157 and European Patent Application Publication Number 293 881 A2, the disclosures of which are hereby incorporated herein by reference. Other suitable boroarginine derivatives and boropeptide thrombin inhibitors include those disclosed in PCT Application Publication Number 92/07869 and European Patent Application Publication Number 471,651 A2, the disclosures of which are hereby incorporated herein by reference.

The term thrombolytics (or fibrinolytic) agents (or thrombolytics or fibrinolytics), as used herein, denotes agents that lyse blood clots (thrombi). Such agents include tissue plasminogen activator, anistreplase, urokinase or streptokinase, including pharmaceutically acceptable salts or prodrugs thereof. The term anistreplase, as used herein, refers to anisoylated plasminogen streptokinase activator complex, as described, for example, in European Patent Application No. 028,489, the disclosure of which is hereby

incorporated herein by reference herein. The term urokinase, as used herein, is intended to denote both dual and single chain urokinase, the latter also being referred to herein as prourokinase.

Administration of the compounds of Formula I of the invention in combination with such additional therapeutic agent, may afford an efficacy advantage over the compounds and agents alone, and may do so while permitting the use of lower doses of each. A lower dosage minimizes the potential of side effects, thereby providing an increased margin of safety.

The compounds of the present invention are also useful as standard or reference compounds, for example as a quality standard or control, in tests or assays involving the inhibition of factor Xa. Such compounds may be provided in a commercial kit, for example, for use in pharmaceutical research involving factor Xa. For example, a compound of the present invention could be used as a reference in an assay to compare its known activity to a compound with an unknown activity. This would ensure the experimenter that the assay was being performed properly and provide a basis for comparison, especially if the test compound was a derivative of the reference compound. When developing new assays or protocols, compounds according to the present invention could be used to test their effectiveness.

The compounds of the present invention may also be used in diagnostic assays involving factor Xa. For example, the presence of factor Xa in an unknown sample could be determined by addition of chromogenic substrate S2222 to a series of solutions containing test sample and optionally one of the compounds of the present invention. If production of pNA is observed in the solutions containing test sample, but no compound of the present invention, then one would conclude factor Xa was present.

Dosage and Formulation

The compounds of this invention can be administered in such oral dosage forms as tablets, capsules (each of which includes sustained release or timed release formulations),

pills, powders, granules, elixirs, tinctures, suspensions, syrups, and emulsions. They may also be administered in intravenous (bolus or infusion), intraperitoneal, subcutaneous, or intramuscular form, all using dosage forms well known to those of ordinary skill in the pharmaceutical arts. They can be administered alone, but generally will be administered with a pharmaceutical carrier selected on the basis of the chosen route of administration and standard pharmaceutical practice.

The dosage regimen for the compounds of the present invention will, of course, vary depending upon known factors, such as the pharmacodynamic characteristics of the particular agent and its mode and route of administration; the species, age, sex, health, medical condition, and weight of the recipient; the nature and extent of the symptoms; the kind of concurrent treatment; the frequency of treatment; the route of administration, the renal and hepatic function of the patient,and the effect desired. A physician or veterinarian can determine and prescribe the effective amount of the drug required to prevent, counter, or arrest the progress of the thromboembolic disorder.

By way of general guidance, the daily oral dosage of each active ingredient, when used for the indicated effects, will range between about 0.001 to 1000 mg/kg of body weight, preferably between about 0.01 to 100 mg/kg of body weight per day, and most preferably between about 1.0 to 20 mg/kg/day. Intravenously, the most preferred doses will range from about 1 to about 10 mg/kg/minute during a constant rate infusion. Compounds of this invention may be administered in a single daily dose, or the total daily dosage may be administered in divided doses of two, three, or four times daily.

Compounds of this invention can be administered in intranasal form via topical use of suitable intranasal vehicles, or via transdermal routes, using transdermal skin patches. When administered in the form of a transdermal delivery system, the dosage administration will, of course, be continuous rather than intermittent throughout the dosage regimen.

The compounds are typically administered in admixture with suitable pharmaceutical diluents, excipients, or carriers (collectively referred to herein as pharmaceutical carriers) suitably selected with respect to the intended form of administration, that is, oral tablets, capsules, elixirs, syrups and the like, and consistent with conventional pharmaceutical practices.

For instance, for oral administration in the form of a tablet or capsule, the active drug component can be combined with an oral, non-toxic, pharmaceutically acceptable, inert carrier such as lactose, starch, sucrose, glucose, methyl callulose, magnesium stearate, dicalcium phosphate, calcium sulfate, mannitol, sorbitol and the like; for oral administration in liquid form, the oral drug components can be combined with any oral, non-toxic, pharmaceutically acceptable inert carrier such as ethanol, glycerol, water, and the like. Moreover, when desired or necessary, suitable binders, lubricants, disintegrating agents, and coloring agents can also be incorporated into the mixture. Suitable binders include starch, gelatin, natural sugars such as glucose or beta-lactose, corn sweeteners, natural and synthetic gums such as acacia, tragacanth, or sodium alginate, carboxymethylcellulose, polyethylene glycol, waxes, and the like. Lubricants used in these dosage forms include sodium oleate, sodium stearate, magnesium stearate, sodium benzoate, sodium acetate, sodium chloride, and the like. Disintegrators include, without limitation, starch, methyl cellulose, agar, bentonite, xanthan gum, and the like.

The compounds of the present invention can also be administered in the form of liposome delivery systems, such as small unilamellar vesicles, large unilamellar vesicles, and multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as cholesterol, stearylamine, or phosphatidylcholines.

Compounds of the present invention may also be coupled with soluble polymers as targetable drug carriers. Such polymers can include polyvinylpyrrolidone, pyran copolymer, polyhydroxypropylmethacrylamide-phenol,

polyhydroxyethylaspartamidephenol, or polyethyleneoxide-polylysine substituted with palmitoyl residues. Furthermore, the compounds of the present invention may be coupled to a class of biodegradable polymers useful in achieving controlled release of a drug, for example, polylactic acid, polyglycolic acid, copolymers of polylactic and polyglycolic acid, polyepsilon caprolactone, polyhydroxy butyric acid, polyorthoesters, polyacetals, polydihydropyrans, polycyanoacylates, and crosslinked or amphipathic block copolymers of hydrogels.

Dosage forms (pharmaceutical compositions) suitable for administration may contain from about 1 milligram to about 100 milligrams of active ingredient per dosage unit. In these pharmaceutical compositions the active ingredient will ordinarily be present in an amount of about 0.5-95% by weight based on the total weight of the composition.

Gelatin capsules may contain the active ingredient and powdered carriers, such as lactose, starch, cellulose derivatives, magnesium stearate, stearic acid, and the like. Similar diluents can be used to make compressed tablets. Both tablets and capsules can be manufactured as sustained release products to provide for continuous release of medication over a period of hours. Compressed tablets can be sugar coated or film coated to mask any unpleasant taste and protect the tablet from the atmosphere, or enteric coated for selective disintegration in the gastrointestinal tract.

Liquid dosage forms for oral administration can contain coloring and flavoring to increase patient acceptance.

In general, water, a suitable oil, saline, aqueous dextrose (glucose), and related sugar solutions and glycols such as propylene glycol or polyethylene glycols are suitable carriers for parenteral solutions. Solutions for parenteral administration preferably contain a water soluble salt of the active ingredient, suitable stabilizing agents, and if necessary, buffer substances. Antioxidizing agents such as sodium bisulfite, sodium sulfite, or ascorbic acid, either alone or combined, are suitable stabilizing agents. Also used are citric acid and its salts and sodium EDTA. In addition,

parenteral solutions can contain preservatives, such as benzalkonium chloride, methyl- or propyl-paraben, and chlorobutanol.

Suitable pharmaceutical carriers are described in Remington's Pharmaceutical Sciences, Mack Publishing Company, a standard reference text in this field.

Representative useful pharmaceutical dosage-forms for administration of the compounds of this invention can be illustrated as follows:

Capsules

A large number of unit capsules can be prepared by filling standard two-piece hard gelatin capsules each with 100 milligrams of powdered active ingredient, 150 milligrams of lactose, 50 milligrams of cellulose, and 6 milligrams magnesium stearate.

Soft Gelatin Capsules

A mixture of active ingredient in a digestable oil such as soybean oil, cottonseed oil or olive oil may be prepared and injected by means of a positive displacement pump into gelatin to form soft gelatin capsules containing 100 milligrams of the active ingredient. The capsules should be washed and dried.

Tablets

Tablets may be prepared by conventional procedures so that the dosage unit is 100 milligrams of active ingredient, 0.2 milligrams of colloidal silicon dioxide, 5 milligrams of magnesium stearate, 275 milligrams of microcrystalline cellulose, 11 milligrams of starch and 98.8 milligrams of lactose. Appropriate coatings may be applied to increase palatability or delay absorption.

Injectable

A parenteral composition suitable for administration by injection may be prepared by stirring 1.5% by weight of active ingredient in 10% by volume propylene glycol and water. The solution should be made isotonic with sodium chloride and sterilized.

Suspension

An aqueous suspension can be prepared for oral administration so that each 5 mL contain 100 mg of finely divided active ingredient, 200 mg of sodium carboxymethyl cellulose, 5 mg of sodium benzoate, 1.0 g of sorbitol solution, U.S.P., and 0.025 mL of vanillin.

Where the compounds of this invention are combined with other anticoagulant agents, for example, a daily dosage may be about 0.1 to 100 milligrams of the compound of Formula I and about 1 to 7.5 milligrams of the second anticoagulant, per kilogram of patient body weight. For a tablet dosage form, the compounds of this invention generally may be present in an amount of about 5 to 10 milligrams per dosage unit, and the second anti-coagulant in an amount of about 1 to 5 milligrams per dosage unit.

Where the compounds of Formula I are administered in combination with an anti-platelet agent, by way of general guidance, typically a daily dosage may be about 0.01 to 25 milligrams of the compound of Formula I and about 50 to 150 milligrams of the anti-platelet agent, preferably about 0.1 to 1 milligrams of the compound of Formula I and about 1 to 3 milligrams of antiplatelet agents, per kilogram of patient body weight.

Where the compounds of Formula I are adminstered in combination with thrombolytic agent, typically a daily dosage may be about 0.1 to 1 milligrams of the compound of Formula I, per kilogram of patient body weight and, in the case of the thrombolytic agents, the usual dosage of the thrombolyic agent when administered alone may be reduced by about 70-80% when administered with a compound of Formula I.

Where two or more of the foregoing second therapeutic agents are administered with the compound of Formula I, generally the amount of each component in a typical daily dosage and typical dosage form may be reduced relative to the usual dosage of the agent when administered alone, in view of the additive or synergistic effect of the therapeutic agents when administered in combination.

Particularly when provided as a single dosage unit, the potential exists for a chemical interaction between the combined active ingredients. For this reason, when the compound of Formula I and a second therapeutic agent are combined in a single dosage unit they are formulated such that although the active ingredients are combined in a single dosage unit, the physical contact between the active ingredients is minimized (that is, reduced). For example, one active ingredient may be enteric coated. By enteric coating one of the active ingredients, it is possible not only to minimize the contact between the combined active ingredients, but also, it is possible to control the release of one of these components in the gastrointestinal tract such that one of these components is not released in the stomach but rather is released in the intestines. One of the active ingredients may also be coated with a material which effects a sustained-release throughout the gastrointestinal tract and also serves to minimize physical contact between the combined active ingredients. Furthermore, the sustained-released component can be additionally enteric coated such that the release of this component occurs only in the intestine. Still another approach would involve the formulation of a combination product in which the one component is coated with a sustained and/or enteric release polymer, and the other component is also coated with a polymer such as a lowviscosity grade of hydroxypropyl methylcellulose (HPMC) or other appropriate materials as known in the art, in order to further separate the active components. The polymer coating serves to form an additional barrier to interaction with the other component.

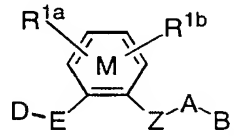
These as well as other ways of minimizing contact between the components of combination products of the present invention, whether administered in a single dosage form or administered in separate forms but at the same time by the same manner, will be readily apparent to those skilled in the art, once armed with the present disclosure.

Obviously, numerous modifications and variations of the present invention are possible in light of the above teachings. It is therefore to be understood that within the

scope of the appended claims, the invention may be practiced otherwise that as specifically described herein.

WHAT IS CLAIMED AS NEW AND DESIRED TO BE SECURED BY LETTER PATENT OF UNITED STATES IS:

1. A compound of formula I:



I

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein;

ring M contains from 0-4 N atoms;

D is selected from CN, $C(=NR^7)NR^8R^9$, $NHC(=NR^7)NR^8R^9$, $NR^8CH(=NR^7)$, $C(O)NR^8R^9$, and $(CR^8R^9)_tNR^8R^9$;

E is selected from phenyl, 2-pyridyl, 4-pyridyl, pyrimidyl, and piperidinyl substituted with 1 R;

R is selected from H, F, Cl, Br, I, OR^3, SR^3, CO_2R^3, NO_2, and CH_2OR^3, and $(CR^8R^9)_tNR^8R^9$;

alternatively, E and R combine to form methylenedioxy or ethylenedioxy;

Z is selected from a bond, C_{1-4} alkylene, $(CH_2)_rO(CH_2)_r$, $(CH_2)_rNR^3(CH_2)_r$, $(CH_2)_rC(O)(CH_2)_r$, $(CH_2)_rC(O)O(CH_2)_r$, $(CH_2)_rOC(O)(CH_2)_r$, $(CH_2)_rC(O)NR^3(CH_2)_r$, $(CH_2)_rNR^3C(O)(CH_2)_r$, $(CH_2)_rOC(O)O(CH_2)_r$, $(CH_2)_rOC(O)NR^3(CH_2)_r$, $(CH_2)_rNR^3C(O)O(CH_2)_r$, $(CH_2)_rNR^3C(O)NR^3(CH_2)_r$, $(CH_2)_rS(O)_p(CH_2)_r$, $(CH_2)_rSO_2NR^3(CH_2)_r$, $(CH_2)_rNR^3SO_2(CH_2)_r$, and $(CH_2)_rNR^3SO_2NR^3(CH_2)_r$, provided that Z does not form a N-N, N-O, N-S, NCH_2N, NCH_2O, or NCH_2S bond with ring M or group A;

R^{1a} and R^{1b} are independently absent or selected from $-(CH_2)_r-R^{1'}$, $-CH=CH-R^{1'}$, $NCH_2R^{1''}$, $OCH_2R^{1''}$, $SCH_2R^{1''}$, $NH(CH_2)_2(CH_2)_tR^{1'}$, $O(CH_2)_2(CH_2)_tR^{1'}$, and $S(CH_2)_2(CH_2)_tR^{1'}$;

alternatively, R^{1a} and R^{1b}, when attached to adjacent carbon atoms, together with the atoms to which they are attached form a 5-8 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^4 and which contains from 0-2 heteroatoms selected from the group consisting of N, O, and S;

alternatively, when Z is C(O)NH and R^{1a} is attached to a ring carbon adjacent to Z, then R^{1a} is a C(O) which replaces the amide hydrogen of Z to form a cyclic imide;

$R^{1'}$ is selected from H, C_{1-3} alkyl, F, Cl, Br, I, -CN, -CHO, $(CF_2)_rCF_3$, $(CH_2)_rOR^2$, NR^2R^{2a}, $C(O)R^{2c}$, $OC(O)R^2$, $(CF_2)_rCO_2R^{2c}$, $S(O)_pR^{2b}$, $NR^2(CH_2)_rOR^2$, $CH(=NR^{2c})NR^2R^{2a}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NHR^{2b}$, $NR^2C(O)_2R^{2a}$, $OC(O)NR^{2a}R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NR^2(CH_2)_rOR^2$, $SO_2NR^2R^{2a}$, $NR^2SO_2R^{2b}$, C_{3-6} carbocyclic residue substituted with 0-2 R^4, and 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

$R^{1''}$ is selected from H, $CH(CH_2OR^2)_2$, $C(O)R^{2c}$, $C(O)NR^2R^{2a}$, $S(O)R^{2b}$, $S(O)_2R^{2b}$, and $SO_2NR^2R^{2a}$;

R^2, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2a}, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, phenethyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system

containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2b}, at each occurrence, is selected from CF_3, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2c}, at each occurrence, is selected from CF_3, OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{3-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

alternatively, R^2 and R^{2a}, together with the atom to which they are attached, combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2 R^{4b} and containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^3, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3a}, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3b}, at each occurrence, is selected from H, C_{1-4} alkyl, and phenyl;

R^{3c}, at each occurrence, is selected from C_{1-4} alkyl, and phenyl;

A is selected from:
C_{3-10} carbocyclic residue substituted with 0-2 R^4, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

B is selected from: H, Y, and X-Y;

X is selected from C_{1-4} alkylene, $-CR^2(CR^2R^{2b})(CH_2)_t-$, $-C(O)-$, $-C(=NR^{1''})-$, $-CR^2(NR^{1''}R^2)-$, $-CR^2(OR^2)-$, $-CR^2(SR^2)-$, $-C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)$, $-S(O)_p-$, $-S(O)_pCR^2R^{2a}-$, $-CR^2R^{2a}S(O)_p-$, $-S(O)_2NR^2-$, $-NR^2S(O)_2-$, $-NR^2S(O)_2CR^2R^{2a}-$, $-CR^2R^{2a}S(O)_2NR^2-$, $-NR^2S(O)_2NR^2-$, $-C(O)NR^2-$, $-NR^2C(O)-$, $-C(O)NR^2CR^2R^{2a}-$, $-NR^2C(O)CR^2R^{2a}-$, $-CR^2R^{2a}C(O)NR^2-$, $-CR^2R^{2a}NR^2C(O)-$, $-NR^2C(O)O-$, $-OC(O)NR^2-$, $-NR^2C(O)NR^2-$, $-NR^2-$, $-NR^2CR^2R^{2a}-$, $-CR^2R^{2a}NR^2-$, O, $-CR^2R^{2a}O-$, and $-OCR^2R^{2a}-$;

Y is selected from:

$(CH_2)_rNR^2R^{2a}$, provided that X-Y do not form a N-N, O-N, or S-N bond,

C_{3-10} carbocyclic residue substituted with 0-2 R^{4a}, and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4a};

R^4, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, F, Cl, Br, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $CH(=NR^2)NR^2R^{2a}$, $CH(=NS(O)_2R^5)NR^2R^{2a}$, $NHC(=NR^2)NR^2R^{2a}$, $C(O)NHC(=NR^2)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $NR^2SO_2R^5$, $S(O)_pR^5$, $(CF_2)_rCF_3$, $NCH_2R^{1''}$, $OCH_2R^{1''}$, $SCH_2R^{1''}$, $N(CH_2)_2(CH_2)_tR^{1'}$, $O(CH_2)_2(CH_2)_tR^{1'}$, and $S(CH_2)_2(CH_2)_tR^{1'}$;

alternatively, one R^4 is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S;

R^{4a}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, $(CH_2)_r$-F, $(CH_2)_r$-Br, $(CH_2)_r$-Cl, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rNR^2R^{2b}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NH(CH_2)_2NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $CH(=NR^2)NR^2R^{2a}$, $NHC(=NR^2)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $C(O)NHSO_2$-C_{1-4} alkyl, $NR^2SO_2R^5$, $S(O)_pR^5$, and $(CF_2)_rCF_3$;

alternatively, one R^{4a} is a 5-6 membered aromatic heterocycle containing from 1-4 heteroatoms selected from the group consisting of N, O, and S and substituted with 0-1 R^5;

R^{4b}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^3$, F, Cl, Br, I, C_{1-4} alkyl, -CN, NO_2, $(CH_2)_rNR^3R^{3a}$, $(CH_2)_rC(O)R^3$, $(CH_2)_rC(O)OR^{3c}$, $NR^3C(O)R^{3a}$, $C(O)NR^3R^{3a}$, $NR^3C(O)NR^3R^{3a}$, $CH(=NR^3)NR^3R^{3a}$, $NH^3C(=NR^3)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, $NR^3SO_2NR^3R^{3a}$, NR^3SO_2-C_{1-4} alkyl, $NR^3SO_2CF_3$, NR^3SO_2-phenyl, $S(O)_pCF_3$, $S(O)_p$-C_{1-4} alkyl, $S(O)_p$-phenyl, and $(CF_2)_rCF_3$;

R^5, at each occurrence, is selected from CF_3, C_{1-6} alkyl, phenyl substituted with 0-2 R^6, and benzyl substituted with 0-2 R^6;

R^6, at each occurrence, is selected from H, OH, $(CH_2)_rOR^2$, F, Cl, Br, I, C_{1-4} alkyl, CN, NO_2, $(CH_2)_rNR^2R^{2a}$, $(CH_2)_rC(O)R^{2b}$, $NR^2C(O)R^{2b}$, $NR^2C(O)NR^2R^{2a}$, $CH(=NH)NH_2$, $NHC(=NH)NH_2$, $SO_2NR^2R^{2a}$, $NR^2SO_2NR^2R^{2a}$, and $NR^2SO_2C_{1-4}$ alkyl;

R^7, at each occurrence, is selected from H, OH, C_{1-6} alkyl, C_{1-6} alkylcarbonyl, C_{1-6} alkoxy, C_{1-4} alkoxycarbonyl, $(CH_2)_n$-phenyl, C_{6-10} aryloxy, C_{6-10} aryloxycarbonyl, C_{6-10} arylmethylcarbonyl, C_{1-4} alkylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{6-10} arylcarbonyloxy C_{1-4} alkoxycarbonyl, C_{1-6} alkylaminocarbonyl, phenylaminocarbonyl, and phenyl-C_{1-4} alkoxycarbonyl;

R^8, at each occurrence, is selected from H, C_{1-6} alkyl and $(CH_2)_n$-phenyl;

alternatively, R^7 and R^8 combine to form a 5 or 6 membered saturated, ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R^9, at each occurrence, is selected from H, C_{1-6} alkyl and $(CH_2)_n$-phenyl;

n is selected from 0, 1, 2, and 3;

m is selected from 0, 1, and 2;

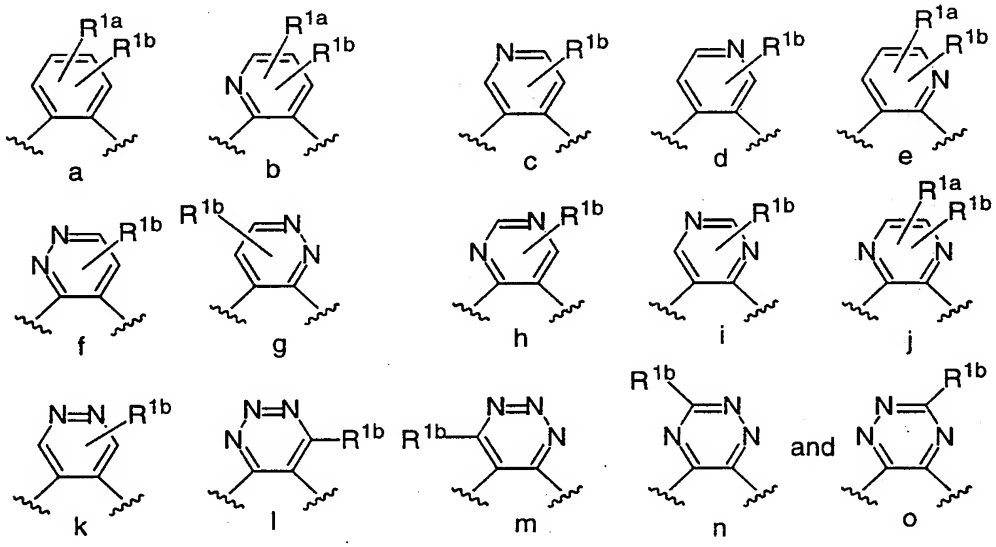
p is selected from 0, 1, and 2;

r is selected from 0, 1, 2, and 3;

s is selected from 0, 1, and 2; and,

t is selected from 0 and 1.

2. A compound according to Claim 1, wherein the compound is of formulae Ia-Io:



wherein:

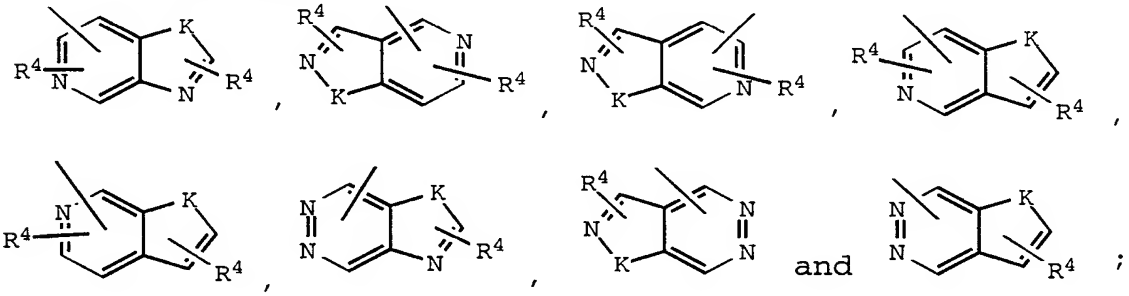
Z is selected from a bond, CH_2O, OCH_2, CH_2NH, $NHCH_2$, $CH_2C(O)$, $C(O)CH_2$, $C(O)NH$, $C(O)NH$, $CH_2S(O)_2$, $S(O)_2(CH_2)$, SO_2NH, and SO_2NH;

B is selected from: Y, X-Y, and NR^2R^{2a};

Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};

phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole, 1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole, 1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, 1,3,4-triazole, benzofuran, benzothiofuran, indole, benzimidazole, benzoxazole, benzthiazole, indazole, benzisoxazole, benzisothiazole, and isoindazole;

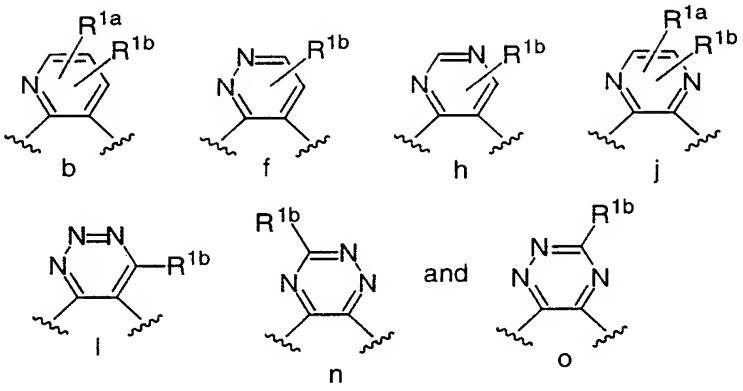
Y may also be selected from the following bicyclic heteroaryl ring systems:



and ;

K is selected from O, S, NH, and N.

3. A compound according to Claim 2, wherein the compound is of formulae:



wherein:

D is selected from $C(=NR^7)NR^8R^9$ and $(CR^8R^9)_tNR^8R^9$;

R is selected from H, F, Cl, OR^3, CH_2OR^3, CH_2NH_2;

A is selected from:
piperidinyl,
piperazinyl,
C_{5-6} carbocyclic residue substituted with 0-2 R^4, and
5-6 membered heteroaryl containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};
phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, benzimidazolyl, oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole, 1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole, 1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, and 1,3,4-triazole.

4. A compound according to Claim 3, wherein:

E is phenyl;

D is selected from $C(=NH)NH_2$ and CH_2NH_2;

R is selected from H, F, Cl, and Br;

A is selected from:
C_{5-6} carbocyclic residue substituted with 0-2 R^4, and
5-6 membered heteroaryl containing from 1-3 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^4;

Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R^{4a};
phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, benzimidazolyl, oxadiazole, thiadiazole, triazole, 1,2,3-oxadiazole, 1,2,4-oxadiazole, 1,2,5-oxadiazole, 1,3,4-oxadiazole, 1,2,3-thiadiazole, 1,2,4-thiadiazole, 1,2,5-thiadiazole, 1,3,4-thiadiazole, 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, and 1,3,4-triazole;

R^2, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2a}, at each occurrence, is selected from H, CF_3, C_{1-6} alkyl, benzyl, phenethyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2b}, at each occurrence, is selected from CF_3, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{5-6} carbocyclic residue substituted

with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

R^{2c}, at each occurrence, is selected from CF_3, OH, C_{1-4} alkoxy, C_{1-6} alkyl, benzyl, C_{5-6} carbocyclic residue substituted with 0-2 R^{4b}, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R^{4b};

alternatively, R^2 and R^{2a}, together with the atom to which they are attached, combine to form a ring selected from imidazolyl, morpholino, piperazinyl, pyridyl, and pyrrolidinyl, substituted with 0-2 R^{4b};

R^4, at each occurrence, is selected from H, =O, OR^2, CH_2OR^2, F, Cl, C_{1-4} alkyl, NR^2R^{2a}, $CH_2NR^2R^{2a}$, $C(O)R^{2c}$, $CH_2C(O)R^{2c}$, $C(O)NR^2R^{2a}$, $CH(=NR^2)NR^2R^{2a}$, $CH(=NS(O)_2R^5)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, NR^2SO_2-C_{1-4} alkyl, $S(O)_2R^5$, and CF_3

provided that if B is H, then R^4 is other than tetrazole, C(O)-alkoxy, and $C(O)NR^2R^{2a}$;

R^{4a}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^2$, F, Cl, C_{1-4} alkyl, NR^2R^{2a}, $CH_2NR^2R^{2a}$, NR^2R^{2b}, $CH_2NR^2R^{2b}$, $(CH_2)_rC(O)R^{2c}$, $NR^2C(O)R^{2b}$, $C(O)NR^2R^{2a}$, $C(O)NH(CH_2)_2NR^2R^{2a}$, $NR^2C(O)NR^2R^{2a}$, $SO_2NR^2R^{2a}$, $S(O)_2R^5$, and CF_3; and,

R^{4b}, at each occurrence, is selected from H, =O, $(CH_2)_rOR^3$, F, Cl, C_{1-4} alkyl, NR^3R^{3a}, $CH_2NR^3R^{3a}$, $C(O)R^3$, $CH_2C(O)R^3$, $C(O)OR^{3c}$, $C(O)NR^3R^{3a}$, $CH(=NR^3)NR^3R^{3a}$, $SO_2NR^3R^{3a}$, NR^3SO_2-C_{1-4} alkyl, $NR^3SO_2CF_3$, NR^3SO_2-phenyl, $S(O)_2CF_3$, $S(O)_2$-C_{1-4} alkyl, $S(O)_2$-phenyl, and CF_3.

5. A compound according to Claim 1, wherein the compound is selected from:

N-(2'-Aminosulfonyl-[1,1']biphen-4-yl)-2-(3'-amidinophenyl)nicotinamide;

N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide;

N-[5-(2-t-butylaminosulfonyl)phenylpyrid-2-yl]-2-(3'-amidinophenyl)nicotinamide; and,

N-[5-(2-aminosulfonyl)phenylpyrid-2-yl]-2-(3'-carboxamidophenyl)nicotinamide;

or a pharmaceutically acceptable salt thereof.

6. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.

7. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 2 or a pharmaceutically acceptable salt thereof.

8. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 3 or a pharmaceutically acceptable salt thereof.

9. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to Claim 4 or a pharmaceutically acceptable salt thereof.

10. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically

effective amount of a compound according to Claim 5 or a pharmaceutically acceptable salt thereof.

11. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 1 or a pharmaceutically acceptable salt thereof.

12. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 2 or a pharmaceutically acceptable salt thereof.

13. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 3 or a pharmaceutically acceptable salt thereof.

14. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 4 or a pharmaceutically acceptable salt thereof.

15. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to Claim 5 or a pharmaceutically acceptable salt thereof.

INTERNATIONAL SEARCH REPORT

Inte .tional Application No
PCT/US 98/12682

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D213/79 A61K31/44

According to International Patent Classification(IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D

Documentation searched other than minimumdocumentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 96 16940 A (YAMANOUCHI PHARMA CO LTD ;HIRAYAMA FUKUSHI (JP); KOSHIO HIROYUKI () 6 June 1996 see the whole document	1-15
Y	& EP 0 798 295 A	1-15
Y	KUNITADA S ET AL: "FACTOR XA INHIBITORS" CURRENT PHARMACEUTICAL DESIGN, vol. 2, no. 5, October 1996, pages 531-542, XP002057653 * see page 538, table 7 * see the whole document	1-15
Y	MAO S -S: "FACTOR XA INHIBITORS" PERSPECTIVES IN DRUG DISCOVERY AND DESIGN, vol. 1, no. 3, 1993, pages 423-430, XP000654087 see the whole document	1-15

-/--

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of theinternational search	Date of mailing of the international search report
13 November 1998	02. 12. 98

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Stellmach, J

2

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

Inte. ational Application No
PCT/US 98/12682

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
Y	EDMUNDS J J ET AL: "THROMBIN AND FACTOR XA INHIBITION" ANNUAL REPORTS IN MEDICINAL CHEMISTRY, vol. 31, 1996, pages 51-60, XP000653962 see the whole document	1-15
Y	TIDWELL R R ET AL: "STRATEGIES FOR ANTICOAGULATION WITH SYNTHETIC PROTEASE INHIBITORS XA INHIBITORS VERSUS THROMBIN INHIBITORS" THROMBOSIS RESEARCH, vol. 19, no. 3, 1 August 1980, pages 339-349, XP000574196 see the whole document	1-15
Y	STUERZEBECHER J ET AL: "SYNTHETIC INHIBITORS OF SERINE PROTEINASES XXIII. INHIBITION OF FACTOR XA BY DIAMIDINES" THROMBOSIS RESEARCH, vol. 17, no. 3/04, 1980, pages 545-548, XP000602215 see the whole document	1-15
Y	WO 95 18111 A (DU PONT MERCK PHARMA) 6 July 1995 cited in the application see the whole document	1-15
Y	WO 96 28427 A (BERLEX LAB ;BUCKMAN BRAD O (US); DAVEY DAVID D (US); GUILFORD WILL) 19 September 1996 cited in the application see the whole document	1-15
P,X	WO 97 38984 A (DU PONT MERCK PHARMA) 23 October 1997 see the whole document	1-15
P,X	WO 97 23212 A (DU PONT MERCK PHARMA) 3 July 1997 see the whole document	1-15
P,X	WO 98 06694 A (DU PONT MERCK PHARMA) 19 February 1998 see the whole document	1-15
P,X	WO 98 11094 A (SCHERING AG) 19 March 1998 see the whole document	1-15
E	WO 98 28269 A (DU PONT MERCK PHARMA) 2 July 1998 see the whole document	1-15

-/--

2

INTERNATIONAL SEARCH REPORT

Inte. .ional Application No
PCT/US 98/12682

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
E	WO 98 28282 A (DU PONT MERCK PHARMA) 2 July 1998 see the whole document -----	1-15

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 98/12682

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.: -
because they relate to subject matter not required to be searched by this Authority, namely:

see FURTHER INFORMATION sheet PCT/ISA/210

2. [ ] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Although claims 11-15 are directed to a diagnostic method practised on the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

For economical reasons (cf. PCT-Search Guidelines, C-III,2.1), a complete search has been limited to the classification units goverend by the compounds listed in claim 5 and in the examples 1-4 in table 1 on page 43 of the description i.e. claims 1 - 10 were searched incompletely).
It is stressed that the small fixed part of the molecule(s) and the large number of theoretically conceivable and chemically totally different families of compounds deriving from combinations of all claimed substituents and linker groups (see 'inter alia' the definition of M, E, R1a, R1b, R2, R2a, R2b, R2c, A, Y, R4 and R4a) which represent all togehter more than 35 structural parameters precludes a comprehensive search (cf. PCT Articles 6 and 15 and PCT Rule 33, Examination Guidelines, B-III, 3.6).

INTERNATIONAL SEARCH REPORT

Information on patent family members

Inte. ional Application No
PCT/US 98/12682

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9616940 A	06-06-1996	AU 688628 B	12-03-1998
		AU 3994295 A	19-06-1996
		CA 2206532 A	06-06-1996
		CN 1167484 A	10-12-1997
		EP 0798295 A	01-10-1997
		FI 972326 A	02-06-1997
		HU 77313 A	30-03-1998
		NO 972482 A	01-08-1997
		NZ 296210 A	27-05-1998
		PL 320486 A	29-09-1997
WO 9518111 A	06-07-1995	US 5563158 A	08-10-1996
		AU 1400095 A	17-07-1995
		US 5691329 A	25-11-1997
WO 9628427 A	19-09-1996	US 5691364 A	25-11-1997
		AU 5299496 A	02-10-1996
		CA 2214685 A	19-09-1996
		EP 0813525 A	29-12-1997
WO 9738984 A	23-10-1997	AU 2733997 A	07-11-1997
WO 9723212 A	03-07-1997	AU 1335897 A	17-07-1997
		EP 0874629 A	04-11-1998
		HR 960597 A	30-04-1998
WO 9806694 A	19-02-1998	AU 4064597 A	06-03-1998
WO 9811094 A	19-03-1998	AU 4384397 A	02-04-1998
WO 9828269 A	02-07-1998	AU 5602098 A	17-07-1998
WO 9828282 A	02-07-1998	AU 6645998 A	17-07-1998